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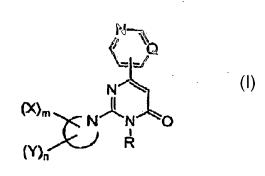
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#### (54) Title: 2, 3, 6-TRISUBSTITUTED-4-PYRIMIDONE DERIVATIVES.



(57) Abstract: A pyrimidone derivative having tau protein kinase 1 inhibitory activity which is represented by formula (I) or a salt thereof, or a solvate thereof or a hydrate thereof; useful for prventive and/or therapeutic treatment of diseass such as neurodegenerative diseases (e.g. Alzheimer disease); wherein Q represents CH or nitrogen atom; R represents a  $C_1$ - $C_{12}$  alkyl group; the ring of Formula (I): represents piperazine ring or piperidine ring; each X independently represents a  $C_1$ - $C_8$  alkyl group, an optionally partially hydrogenated  $C_6$ - $C_{10}$  aryl ring, an indan ring or the like; m represents an integer of 1 to 3; each Y independently represents a halogen atom, a hydroxy group, a cyano group, a  $C_1$ - $C_6$  alkyl group or the like; n represents an integer of 0 to 8; when X and Y or two Y groups are attached on the same carbon atom, they may combine to each other to form a  $C_2$ - $C_6$  alkylene group.

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#### DESCRIPTION

#### 2,3,6-TRISUBSTITUTED -4-PYRIMIDONE DERIVATIVES

## Technical Field

The present invention relates to compounds that are useful as an active ingredient of a medicament for preventive and/or therapeutic treatment of diseases mainly caused by abnormal activity of tau protein kinase 1, such as neurodegenerative diseases (e.g. Alzheimer disease).

#### Background Art

Alzheimer disease is progressive senile dementia, in which marked cerebral cortical atrophy is observed due to degeneration of nerve cells and decrease of nerve cell number. Pathologically, numerous senile plaques and neurofibrillary tangles are observed in brain. The number of patients has been increased with the increment of aged population, and the disease arises a serious social problem. Although various theories have been proposed, a cause of the disease has not yet been elucidated. Early resolution of the cause has been desired.

It has been known that the degree of appearance of two characteristic pathological changes of Alzheimer disease well correlates to the degree of intellectual dysfunction. Therefore, researches have been conducted from early 1980's to reveal the cause of the disease through molecular level investigations of components of the two pathological changes. Senile plaques accumulate extracellularly, and  $\beta$  amyloid protein has been elucidated as their main component (abbreviated as "A  $\beta$ " hereinafter in the specification: Biochem. Biophys. Res. Commun., 120, 855 (1984); EMBO J., 4, 2757 (1985); Proc. Natl. Acad. Sci. USA, 82, 4245 (1985)). In the other pathological change, i.e., the neurofibrillary tangles, a double-helical filamentous substance called paired helical filament (abbreviated

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as "PHF" hereinafter in the specification) accumulate intracellularly, and tau protein, which is a kind of microtubule-associated protein specific for brain, has been revealed as its main component (Proc. Natl. Acad. Sci. USA, 85, 4506 (1988); Neuron, 1, 827 (1988)).

Furthermore, on the basis of genetic investigations, presentlins 1 and 2 were found as causative genes of familial Alzheimer disease (Nature, 375, 754 (1995); Science, 269, 973 (1995); Nature. 376, 775 (1995)), and it has been revealed that presence of mutants of presentlins 1 and 2 promotes the secretion of A  $\beta$  (Neuron, 17, 1005 (1996); Proc. Natl. Acad. Sci. USA, 94, 2025 (1997)). From these results, it is considered that, in Alzheimer disease, A  $\beta$  abnormally accumulates and agglomerates due to a certain reason, which engages with the formation of PHF to cause death of nerve cells. It is also expected that extracellular outflow of glutamic acid and activation of glutamate receptor responding to the outflow may possibly be important factors in an early process of the nerve cell death caused by ischemic cerebrovascular accidents (Sai-shin Igaku [Latest Medicine], 49, 1506 (1994)).

It has been reported that kainic acid treatment that stimulates the AMPA receptor, one of glutamate receptor, increases mRNA of the amyloid precursor protein (abbreviated as "APP" hereinafter in the specification) as a precursor of A  $\beta$  (Society for Neuroscience Abstracts, 17, 1445 (1991)), and also promotes metabolism of APP (The Journal of Neuroscience, 10, 2400 (1990)). Therefore, it has been strongly suggested that the accumulation of A $\beta$  is involved in cellular death due to ischemic cerebrovascular disorders. Other diseases in which abnormal accumulation and agglomeration of A $\beta$  are observed include, for example, Down syndrome, cerebral bleeding due to solitary cerebral amyloid angiopathy, Lewy body disease (Shin-kei Shinpo [Nerve Advance], 34, 343 (1990); Tanpaku-shitu Kaku-san Koso [Protein, Nucleic Acid, Enzyme], 41, 1476 (1996)) and the like. Furthermore, as diseases showing neurofibrillary tangles due to the PHF accumulation, examples

include progressive supranuclear palsy, subacute sclerosing panencephalitic parkinsonism, postencephalitic parkinsonism, pugilistic encephalitis, Guam parkinsonism-dementia complex, Lewy body disease and the like (Tanpakushitu Kakusan Koso [Protein, Nucleic Acid, Enzyme], 36, 2 (1991); Igaku no Ayumi [Progress of Medicine], 158, 511 (1991); Tanpakushitu Kakusan Koso [Protein, Nucleic Acid, Enzyme], 41, 1476 (1996)).

The tau protein is generally composed of a group of related proteins that forms several bands at molecular weights of 48-65 kDa in SDS-polyacrylamide gel electrophoresis, and it promotes the formation of microtubules. It has been verified that tau protein incorporated in the PHF in the brain suffering from Alzheimer disease is abnormally phosphorylated compared with usual tau protein (J. Biochem., 99, 1807 (1986); Proc. Natl. Acad. Sci. USA, 83, 4913 (1986)). An enzyme catalyzing the abnormal phosphorylation has been isolated. The protein was named as tau protein kinase 1 (abbreviated as "TPK1" hereinafter in the specification), and its physicochemical properties have been elucidated (Seikagaku [Biochemistry], 64, 308 (1992); J. Biol. Chem., 267, 10897 (1992)). Moreover, cDNA of rat TPK1 was cloned from a rat cerebral cortex cDNA library based on a partial amino acid sequence of TPK1, and its nucleotide sequence was determined and an amino acid sequence was deduced (Japanese Patent Un-examined Publication [Kokai] No. 6-239893/1994). As a result, it has been revealed that the primary structure of the rat TPK1 corresponds to that of the enzyme known as rat GSK-3  $\,\beta$  (glycogen synthase kinase  $3\beta$ , FEBS Lett., 325, 167 (1993)).

It has been reported that A  $\beta$ , the main component of senile plaques, is neurotoxic (Science, 250, 279 (1990)). However, various theories have been proposed as for the reason why A  $\beta$  causes the cell death, and any authentic theory has not yet been established. Takashima et al. observed that the cell death was caused by A  $\beta$  treatment of fetal rat hippocampus primary culture system, and then found that the TPK1 activity was increased by A  $\beta$  treatment and the cell death by

A β was inhibited by antisense of TPK1 (Proc. Natl. Acad. Sci. USA, 90, 7789 (1993);

Japanese Patent Un-examined Publication [Kokai] No. 6-329551/1994).

In view of the foregoing, compounds which inhibit the TPK1 activity may possibly suppress the neurotoxicity of A  $\beta$  and the formation of PHF and inhibit the nerve cell death in the Alzheimer disease, thereby cease or defer the progress of the disease. The compounds may also be possibly used as a medicament for therapeutic treatment of ischemic cerebrovascular disorder, Down syndrome, cerebral amyloid angiopathy, cerebral bleeding due to Lewy body disease and the like by suppressing the cytotoxicity of A  $\beta$ . Furthermore, the compounds may possibly be used as a medicament for therapeutic treatment of neurodegenerative diseases such as progressive supranuclear palsy, subacute sclerosing panencephalitic parkinsonism, postencephalitic parkinsonism, pugilistic encephalitis, Guam parkinsonism-dementia complex, Lewy body disease, Pick's disease, corticobasal degeneration, frontotemporal dementia, vascular dementia, acute stroke and traumatic injuries, brain and spinal cord trauma, peripheral neuropathies, retinopathies and glaucoma; non-insulin dependent diabetes (such as diabetes type II), and obesity, manic depressive illness, schizophrenia, alopecia, cancers such as breast cancer, non-small cell lung carcinoma, thyroid cancer, T or B-cell leukemia and several virus-induced tumors.

As structurally similar compounds to the compounds of the present invention represented by formula (I) described later, compounds represented by the following formula (A) are known:

wherein R represents 2,6-dichlorobenzyl group, 2-(2-chlorophenyl)ethylamino group, 3-phenylpropylamino group, or 1-methyl-3-phenylpropylamino group (WO98/24782). The compounds represented by formula (A) are characterized to have 4-fluorophenyl group at the 5-position of the pyrimidine ring and a hydroxy group at the 4-position, and not falling within the scope of the present invention. Moreover, main pharmacological activity of the compounds represented by formula (A) is anti-inflammatory effect, whereas the compounds of the present invention represented by formula (I) are useful as a TPK1 inhibitor or a medicament for therapeutic treatment of neurodegenerative diseases, and therefore, their pharmacological activities are totally different to each other.

Patent Document 1: WO 00/18758

Patent Document 2: WO 01/70728

Patent Document 3: WO 01/70729

## Disclosure of the Invention

An object of the present invention is to provide compounds useful as an active ingredient of a medicament for preventive and/or therapeutic treatment of diseases such as Alzheimer disease. More specifically, the object is to provide novel compounds useful as an active ingredient of a medicament that enables radical prevention and/or treatment of the neurodegenerative diseases such as Alzheimer disease by inhibiting the TPK1 activity to suppress the neurotoxicity of A  $\beta$  and the formation of the PHF and by inhibiting the death of nerve cells.

In order to achieve the foregoing object, the inventors of the present invention conducted screenings of various compounds having inhibitory activity against the phosphorylation of TPK1. As a result, they found that compounds represented by the following formula (I) had the desired activity and were useful as an active ingredient of a medicament for preventive and/or therapeutic treatment of

the aforementioned diseases. The present invention was achieved on the basis of these findings.

The present invention thus provides 3-substituted-4-pyrimidone derivatives represented by formula (I) or salts thereof, or solvates thereof or hydrates thereof:

$$(X)_{m} \xrightarrow{N}_{R} O$$

$$(Y)_{n} \xrightarrow{R}$$

$$(X)_{m} \xrightarrow{N}_{R} O$$

wherein Q represents CH or nitrogen atom;

R represents a  $C_1\text{-}C_{12}$  alkyl group which may be substituted; the ring of:

represents piperazine ring or piperidine ring;

each X independently represents

 $X^1 - X^2 -$ 

wherein X¹ represents an oxo group; a C¹-C² alkyl group which may be substituted; a C³-C² cycloalkyl group which may be substituted; an optionally partially hydrogenated C²-C¹0 aryl ring which may be substituted; an indan ring which may be substituted; an optionally substituted heterocyclic ring having 1 to 4 hetero atoms selected from the group consisting of oxygen atom, sulfur atom, and nitrogen atom, and having 5 to 10 ring-constituting atoms in total; an aralkyloxy group; a group represented by -N(Ra)(Rb) wherein Ra and Rb are the same or different and each is hydrogen, a C¹-C² alkyl group which may be substituted, an aralkyl group which may be substituted, an aryl group which may be substituted, C¹-C² alkylcarbonyl group which may be

substituted,

C3-C8 cycloalkylcarbonyl group which may be substituted, aralkycarbonyl group which may be substituted, C6-C10 arylcarbonyl group which may be substituted, C1-C8 alkysulfonyl group which may be substituted, C3-C8 cycloalkylsulfonyl group which may be substituted, aralkysulfonyl group which may be substituted, C6-C10 arylsulfonyl group which may be substituted, C1-C8 alkyloxycarbonyl group which may be substituted, C3-C8 cycloalkyloxycarbonyl group which may be substituted, aralkyoxycarbonyl group which may be substituted, C6-C10 aryloxycarbonyl group which may be substituted, aralkyoxycarbonyl group which may be substituted, aminocarbonyl,

N-C<sub>1</sub>-C<sub>8</sub> alkylaminocarbonyl group which may be substituted,
N, N'-C<sub>1</sub>-C<sub>8</sub> dialkylaminocarbonyl group which may be substituted,
N-C<sub>1</sub>-C<sub>8</sub> alkyl-N'-C<sub>3</sub>-C<sub>8</sub> cycloalkylaminocarbonyl group which may be substituted,
N-C<sub>1</sub>-C<sub>8</sub> alkyl-N'-aralkylaminocarbonyl group which may be substituted,
N-C<sub>1</sub>-C<sub>8</sub> alkyl-N'-C<sub>6</sub>-C<sub>10</sub> arylaminocarbonyl group which may be substituted,
C<sub>3</sub>-C<sub>8</sub> cycloalkylaminocarbonyl group which may be substituted,
N,N'-C<sub>3</sub>-C<sub>8</sub> dicycloalkylaminoycarbonyl group which may be substituted,
N-C<sub>3</sub>-C<sub>8</sub> cycloalkyl-N'-aralkylaminocarbonyl group which may be substituted,
N-C<sub>3</sub>-C<sub>8</sub> cycloalkyl-N'-C<sub>6</sub>-C<sub>10</sub> arylaminocarbonyl group which may be substituted,
N,N'-diaralkylaminocarbonyl group which may be substituted,
N-aralkyl- N'-C<sub>6</sub>-C<sub>10</sub> arylaminocarbonyl group which may be substituted,
C<sub>6</sub>-C<sub>10</sub> arylaminocarbonyl group which may be substituted,

or an optionally substituted heterocyclic ring having 1 to 4 hetero atoms selected

N,N'-C6-C10 diarylaminocarbonyl group which may be substituted,

from the group consisting of oxygen atom, sulfur atom, and nitrogen atom, and having 5 to 10 ring-constituting atoms in total; or Ra and Rb together with the adjacent nitrogen atom form a 4 to 7 membered heterocyclic ring which may further contain 1 to 4 groups selected from an oxygen atom, a sulfur atom, N-Rc (wherein Rc represents a hydrogen atom, a C1-C4 alkyl group which may be substituted, an aralkyl group which may be substituted, C3-C3 cycloalkyl group which may be substituted or an aryl group which may be substituted, C<sub>1</sub>-C<sub>8</sub> alkylcarbonyl group which may be substituted, C<sub>3</sub>-C<sub>8</sub> cycloalkylcarbonyl group which may be substituted, aralkycarbonyl group which may be substituted, C<sub>6</sub>-C<sub>10</sub> arylcarbonyl group which may be substituted, C<sub>1</sub>-C<sub>8</sub> alkysulfonyl group which may be substituted. C<sub>3</sub>-C<sub>8</sub> cycloalkylsulfonyl group which may be substituted, aralkysulfonyl group which may be substituted, C<sub>6</sub>-C<sub>10</sub> arylsulfonyl group which may be substituted, C<sub>1</sub>-C<sub>8</sub> alkyloxycarbonyl group which may be substituted. C3-C8 cycloalkyloxycarbonyl group which may be substituted, aralkyoxycarbonyl group which may be substituted, C<sub>6</sub>-C<sub>10</sub> aryloxycarbonyl group which may be substituted, aminocarbonyl,

N-C<sub>1</sub>-C<sub>8</sub> alkylaminocarbonyl group which may be substituted,
N, N'-C<sub>1</sub>-C<sub>8</sub> dialkylaminocarbonyl group which may be substituted,
N-C<sub>1</sub>-C<sub>8</sub> alkyl-N'-C<sub>3</sub>-C<sub>8</sub> cycloalkylaminocarbonyl group which may be substituted,
N-C<sub>1</sub>-C<sub>8</sub> alkyl-N'-aralkylaminocarbonyl group which may be substituted,
N-C<sub>1</sub>-C<sub>8</sub> alkyl-N'-C<sub>6</sub>-C<sub>10</sub> arylaminocarbonyl group which may be substituted,
C<sub>3</sub>-C<sub>8</sub> cycloalkylaminocarbonyl group which may be substituted,
N,N'-C<sub>3</sub>-C<sub>8</sub> dicycloalkylaminoycarbonyl group which may be substituted,

N-C3-C8 cycloalkyl-N'-aralkylaminocarbonyl group which may be substituted,

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N-C<sub>3</sub>-C<sub>8</sub> cycloalkyl-N'-C<sub>6</sub>-C<sub>10</sub> arylaminocarbonyl group which may be substituted, aralkylaminocarbonyl group which may be substituted, N,N'-diaralkylaminocarbonyl group which may be substituted, N-aralkyl- N'-C<sub>6</sub>-C<sub>10</sub> arylaminocarbonyl group which may be substituted, C<sub>6</sub>-C<sub>10</sub> arylaminocarbonyl group which may be substituted, N,N'-C<sub>6</sub>-C<sub>10</sub> diarylaminocarbonyl group which may be substituted, or an optionally substituted heterocyclic ring having 1 to 4 hetero atoms selected from the group consisting of oxygen atom, sulfur atom, and nitrogen atom, and having 5 to 10 ring-constituting atoms in total), a carbonyl group, a sulfinyl group or a sulfonyl group in the ring, and said 4 to 7 membered heterocyclic ring may optionally be fused with an aryl group which may be substituted;

X2 represents a bond, a carbonyl group, a sulfinyl group, a sulfonyl group, an oxygen atom, a sulfur atom, a C1-C4 alkylene group which may be substituted or N-Rd (Rd represents a hydrogen atom, a C1-C4 alkyl group which may be substituted, an aralkyl group which may be substituted, C3-C8 cycloalkyl group which may be substituted or an aryl group which may be substituted, C1-C8 alkylcarbonyl group which may be substituted, C3-C8 cycloalkylcarbonyl group which may be substituted, aralkycarbonyl group which may be substituted, C6-C10 arylcarbonyl group which may be substituted, C1-C8 alkysulfonyl group which may be substituted, C3-C8 cycloalkylsulfonyl group which may be substituted, aralkysulfonyl group which may be substituted, C6-C10 arylsulfonyl group which may be substituted, C1-C8 alkyloxycarbonyl group which may be substituted, C3-C8 cycloalkyloxycarbonyl group which may be substituted, aralkyoxycarbonyl group which may be substituted.

C6-C10 aryloxycarbonyl group which may be substituted, aminocarbonyl,

N-C<sub>1</sub>-C<sub>8</sub> alkylaminocarbonyl group which may be substituted,

N, N'-C1-C8 dialkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-C3-C8 cycloalkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-aralkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-C6-C10 arylaminocarbonyl group which may be substituted,

C3-C8 cycloalkylaminocarbonyl group which may be substituted,

N,N'-C3-C8 dicycloalkylaminoycarbonyl group which may be substituted,

N-C3-C8 cycloalkyl-N'-aralkylaminocarbonyl group which may be substituted,

 $N-C_3-C_8$  cycloalkyl-N'-C\_6-C\_{10} arylaminocarbonyl group which may be substituted,

aralkylaminocarbonyl group which may be substituted,

N,N'-diaralkylaminocarbonyl group which may be substituted.

N-aralkyl- N'-C6-C10 arylaminocarbonyl group which may be substituted,

C6-C10 arylaminocarbonyl group which may be substituted,

N,N'-C6-C10 diarylaminocarbonyl group which may be substituted,

or an optionally substituted heterocyclic ring having 1 to 4 hetero atoms selected

from the group consisting of oxygen atom, sulfur atom, and nitrogen atom, and

having 5 to 10 ring-constituting atoms in total);

m represents an integer of 1 to 3;

each Y independently represents a halogen atom, a hydroxy group, a cyano group, Y¹-Y³- wherein Y¹ represents a C¹-C³ alkyl group which may be substituted; a C³-C³ cycloalkyl group which may be substituted or a C³-C¹0 aryl ring which may be substituted; Y³ represents a carbonyl group, a sulfinyl group, a sulfonyl group, an oxygen atom, a sulfur atom, a C¹-C⁴ alkylene group which may be substituted or N-Re (Re represents a hydrogen atom, a C¹-C⁴ alkyl group which may be substituted, an aralkyl group which may be substituted, C³-C³ cycloalkyl group which may be substituted or an aryl group which may be substituted,

C1-Cs alkylcarbonyl group which may be substituted,
C3-Cs cycloalkylcarbonyl group which may be substituted,
aralkycarbonyl group which may be substituted,
C6-C10 arylcarbonyl group which may be substituted,
C1-Cs alkysulfonyl group which may be substituted,
C3-Cs cycloalkylsulfonyl group which may be substituted,
aralkysulfonyl group which may be substituted,
C6-C10 arylsulfonyl group which may be substituted,
C1-Cs alkyloxycarbonyl group which may be substituted,
C3-Cs cycloalkyloxycarbonyl group which may be substituted,
C3-Cs cycloalkyloxycarbonyl group which may be substituted,
aralkyoxycarbonyl group which may be substituted,
C6-C10 aryloxycarbonyl group which may be substituted,
aminocarbonyl,

N-C<sub>1</sub>-C<sub>8</sub> alkylaminocarbonyl group which may be substituted,
N, N'-C<sub>1</sub>-C<sub>8</sub> dialkylaminocarbonyl group which may be substituted,
N-C<sub>1</sub>-C<sub>8</sub> alkyl-N'-C<sub>3</sub>-C<sub>8</sub> cycloalkylaminocarbonyl group which may be substituted,
N-C<sub>1</sub>-C<sub>8</sub> alkyl-N'-aralkylaminocarbonyl group which may be substituted,
N-C<sub>1</sub>-C<sub>8</sub> alkyl-N'-C<sub>6</sub>-C<sub>10</sub> arylaminocarbonyl group which may be substituted,
C<sub>3</sub>-C<sub>8</sub> cycloalkylaminocarbonyl group which may be substituted,
N,N'-C<sub>3</sub>-C<sub>8</sub> dicycloalkylaminoycarbonyl group which may be substituted,
N-C<sub>3</sub>-C<sub>8</sub> cycloalkyl-N'-aralkylaminocarbonyl group which may be substituted,
N-C<sub>3</sub>-C<sub>8</sub> cycloalkyl-N'-C<sub>6</sub>-C<sub>10</sub> arylaminocarbonyl group which may be substituted,
N,N'-diaralkylaminocarbonyl group which may be substituted,
N,N'-diaralkyl-N'-C<sub>6</sub>-C<sub>10</sub> arylaminocarbonyl group which may be substituted,
N,N'-diaralkyl-N'-C<sub>6</sub>-C<sub>10</sub> arylaminocarbonyl group which may be substituted,
N,N'-C<sub>6</sub>-C<sub>10</sub> diarylaminocarbonyl group which may be substituted,

from the group consisting of oxygen atom, sulfur atom, and nitrogen atom, and having 5 to 10 ring-constituting atoms in total),

n represents an integer of 0 to 8;

when X and Y or two Y groups are attached on the same carbon atom, they may combine to each other to form a  $C_2$ - $C_6$  alkylene group; and when m is 1, n is 0, and X is  $X^1$ -CO-,

- (1) X does not bind to 3-position of unsubstituted 1-piperazinyl group or does not bind to 3-position of a 4-alkyl-1-piperazinyl group; or
- (2) X does not bind to 3-position or 4-position of non-substituted 1-piperidinyl group.

According to another aspect of the present invention, there is provided a medicament comprising as an active ingredient a substance selected from the group consisting of the 3-substituted-4-pyrimidone derivatives represented by formula (I) and the physiologically acceptable salts thereof, and the solvates thereof and the hydrates thereof. As preferred embodiments of the medicament, there are provided the aforementioned medicament which is used for preventive and/or therapeutic treatment of diseases caused by tau protein kinase 1 hyperactivity, and the aforementioned medicament which is used for preventive and/or therapeutic treatment of neurodegenerative diseases.

As further preferred embodiments of the present invention, there are provided the aforementioned medicament wherein the diseases are selected from the group consisting of Alzheimer disease, ischemic cerebrovascular accidents, Down syndrome, cerebral bleeding due to cerebral amyloid angiopathy, progressive supranuclear palsy, subacute sclerosing panencephalitic parkinsonism, postencephalitic parkinsonism, pugilistic encephalitis, Guam parkinsonism-dementia complex, Lewy body disease, Pick's disease, corticobasal degeneration and frontotemporal dementia, vascular dementia, acute stroke and

traumatic injuries, brain and spinal cord trauma, peripheral neuropathies, retinopathies and glaucoma, non-insulin dependent diabetes (such as diabetes type II), and obesity, manic depressive illness, schizophrenia, alopecia, cancers such as breast cancer, non-small cell lung carcinoma, thyroid cancer, T or B-cell leukemia and several virus-induced tumors; and the aforementioned medicament in the form of pharmaceutical composition containing the above substance as an active ingredient together with one or more pharmaceutical additives.

The present invention further provides an inhibitor of tau protein kinase 1 comprising as an active ingredient a substance selected from the group consisting of the 3-substituted-4-pyrimidone derivatives of formula (I) and the salts thereof, and the solvates thereof and the hydrates thereof.

According to further aspects of the present invention, there are provided a method for preventive and/or therapeutic treatment of diseases caused by tau protein kinase 1 hyperactivity, which comprises the step of administering to a patient a preventively and/or therapeutically effective amount of a substance selected from the group consisting of the 3-substituted-4-pyrimidone derivatives of formula (I) and the physiologically acceptable salts thereof, and the solvates thereof and the hydrates thereof; and a use of a substance selected from the group consisting of the 3-substituted-4-pyrimidone derivatives of formula (I) and the physiologically acceptable salts thereof, and the solvates thereof and the hydrates thereof for the manufacture of the aforementioned medicament.

Best Mode for Carrying Out the Invention

In the present specification, each group has the following meanings.

The alkyl group used herein may be either linear or branched.

The C<sub>1</sub>-C<sub>12</sub> alkyl group represented by R may be, for example, methyl group, ethyl group, n-propyl group, isopropyl group, n-butyl group, isobutyl group, sec-butyl group, tert-butyl group, n-pentyl group, isopentyl group, neopentyl group,

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1,1-dimethylpropyl group, n-hexyl group, isohexyl group, or a linear or branched heptyl group, octyl group, nonyl group, decyl group, undecyl group or dodecyl group. Particularly preferred R is methyl group.

In the specification, when a functional group is defined as "which may be substituted" or "optionally substituted", the number of substituents as well as their types and substituting positions are not particularly limited, and when two or more substituents are present, they may be the same or different.

When the C1-C12 alkyl group represented by R has one or more substituents, the alkyl group may have one or more substituents selected from, for example, the groups consisting of a C3-C8 cycloalkyl group such as cyclopropyl group, cyclobutyl group, cyclopentyl group, cyclohexyl group, cycloheptyl group, cyclooctyl group; a C1-C5 alkoxy group such as methoxy group, ethoxy group, propoxy group, isopropoxy group, butoxy group, isobutoxy group, tert-butoxy group; C1-C3 alkylamino group or C2-C6 dialkylamino group; a C6-C10 aryl group such as phenyl group, 1-naphthyl group, and 2-naphthyl group.

The C<sub>1</sub>-C<sub>8</sub> alkyl group may be, for example, methyl group, ethyl group, n-propyl group, isopropyl group, n-butyl group, isobutyl group, sec-butyl group, tert-butyl group, n-pentyl group, isopentyl group, neopentyl group, 1,1-dimethylpropyl group, n-hexyl group, isohexyl group, or a linear or branched heptyl group or octyl group.

The C1-C4 alkyl group may be, for example, methyl group, ethyl group, n-propyl group, isopropyl group, n-butyl group, isobutyl group, sec-butyl group or tert-butyl group.

The  $C_3$ - $C_8$  cycloalkyl group may be, for example, cyclopropyl group, cyclobutyl group, cyclopentyl group, cyclohexyl group, cyclohexyl group or cycloctyl group.

The optionally partially hydrogenated C<sub>6</sub>-C<sub>10</sub> aryl ring may be, for example a benzene ring, a naphthalene ring, an indan ring or a

### 1,2,3,4-tetrahydronaphthalene ring.

The heterocyclic ring having 1 to 4 hetero atoms selected from the group consisting of oxygen atom, sulfur atom, and nitrogen atom, and having 5 to 10 ring-constituting atoms in total may be, for example, furan ring, dihydrofuran ring, tetrahydrofuran ring, pyran ring, dihydropyran ring, tetrahydropyran ring, benzofuran ring, dihydrobenzofuran, isobenzofuran ring, benzodioxol ring, chromene ring, chroman ring, isochroman ring, thiophene ring, benzothiophene ring, pyrrole ring, pyrrolidine ring, 2-oxopyrrolidine ring, imidazole ring, imidazoline ring, imidazolidine ring, pyrazole ring, pyrazoline ring, pyrazolidine ring, triazole ring, tetrazole ring, pyridine ring, pyridine oxide ring, piperidine ring, 4-oxopiperidine ring, pyrazine ring, piperazine ring, homopiperazine ring, pyrimidine ring, pyridazine ring, indole ring, indoline ring, isoindole ring, isoindoline ring, indazole ring, benzimidazole ring, benzotriazole ring, tetrahydroisoquinoline ring, benzothiazolinone ring, benzoxazolinone ring, purine ring, quinolizine ring, quinoline ring, phthalazine ring, naphthyridine ring, quinoxaline ring, quinazoline ring, cinnoline ring, pteridine ring, oxazole ring, oxazolidine ring, isoxazole ring, isoxazolidine ring, oxadiazole ring, thiazole ring, benzothiazole ring, thiazylidine ring, isothiazole ring, isothiazolidine ring, benzodioxole ring, dioxane ring, benzodioxane ring, dithian ring, morpholine ring, thiomorpholine ring, or phthalimide ring.

The aralkyl group may be, for example, benzyl group, 2-phenylethyl group, 3-phenylpropyl group or 4-phenylbutyl group.

The C<sub>1</sub>-C<sub>4</sub> alkylene group may be, for example, methylene, ethylene, trimethylene or tetramethylene.

The 4 to 7 membered heterocyclic ring which may further contain 1 to 4 groups may be, for example, pyrrolidine, piperidine, morpholine, thiomorpholine, piperazine, homopiperazine, 2-oxopyrrolidine, pyrrole, imidazoline, imidazole, pyrazole, pyrroline, pyrrolidine, imidazolidine, imidazolone, succinimide or

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glutarimide.

The  $C_6$ - $C_{10}$  aryl ring may be, for example, a benzene ring or a naphthalene ring, and the aryl group or the  $C_6$ - $C_{10}$  aryl group may be, for example, a phenyl group or naphthyl group.

When the ring represented by X or  $X^1$  has one or more substituents, the ring may have one or more substituents selected from the group consisting of a C<sub>1</sub>-C<sub>5</sub> alkyl group such as methyl group, ethyl group, propyl group, isopropyl group, butyl group, isobutyl group, sec-butyl group, tert-butyl group, pentyl group, isopentyl group, neopentyl group, 1,1-dimethylpropyl group; C<sub>8</sub>-C<sub>6</sub> cycloalkyl group such as cyclopropyl group, cyclobutyl group, cyclopentyl group, cyclohexyl group; a C<sub>3</sub>-C<sub>6</sub> cycloalkyl-C<sub>1</sub>-C<sub>4</sub> alkyl group such as cyclopropylmethyl, cyclopentylmethyl, cyclohexylmethyl; a C1-C4 hydroxyalkyl group such as hydroxymethyl, hydroxyethyl, hydroxypropyl; a halogen atom such as fluorine atom, chlorine atom, bromine atom, and iodine atom; a C1-C5 halogenated alkyl group such as trifluoromethyl group; hydroxyl group; cyano group; nitro group; formyl group; a benzene ring which may be substituted; a naphthalene ring which may be substituted; an optionally substituted heterocyclic ring having 1 to 4 hetero atoms selected from the group consisting of oxygen atom, sulfur atom and nitrogen atom, and having 5 to 10 ring-constituting atoms in total (same as the above); an amino group; an N- C3-C6 cycloalkyl-N-C1-C4 alkylaminoalkyl group wherein said C1-C4 alkyl may be substituted by hydroxy group or C1-C4 alkoxy group such as N-cyclopropyl-N-methylaminomethyl group, N-cyclohexyl-N-methylaminomethyl group; a C1-C5 monoalkylaminomethyl group such as methylaminomethyl group, ethylaminomethyl group, propylaminomethyl group, isoproylaminomethyl group, butylaminomethyl group, isobutylaminomethyl group, tert-butylaminomethyl group, pentylaminomethyl group, isopentylaminomethyl group; a C2-C10 dialkylaminomethyl group such as dimethylaminomethyl group, diethylaminomethyl group, ethylmethylaminomethyl group,

methylpropylaminomethyl group; pyrrolidinylmethyl group; piperidinylmethyl group; morpholinomethyl group; piperazinylmethyl group; pyrrolylmethyl group; imidazolylmethyl group; pyrazolylmethyl group; triazolylmethyl group; and a group of the formula -E-Rf wherein E represents O, S, SO, SO2, CO or N(R4) and Rf represents a C1-C5 alkyl group (same as the above), a C4-C7 cycloalkyl group (same as the above), a C4-C7 cycloalkylalkl group (same as the above), a C1-C5. hydroxyalkyl group (same as the above), a benzene ring which may be substituted, a naphthalene ring which may be substituted, an optionally substituted heterocyclic ring having 1 to 4 hetero atoms selected from the group consisting of oxygen atom, sulfur atom and nitrogen atom, and having 5 to 10 ring-constituting atoms in total (same as the above), an N-C3-C6 cycloalkyl-N-C1-C4 alkylaminoalkyl group (same as the above), a C1-C5 monoalkylaminoalkyl group (same as the above), C2-C10 dialkylaminoalkyl group (same as the above), pyrrolidinylmethyl group, piperidinylmethyl group, morpholinomethyl group, piperazinylmethyl group, pyrrolylmethyl group, imidazolylmethyl group, pyrazolylmethyl group or triazolylmethyl group,

C1-C8 alkylcarbonyl group which may be substituted,
C3-C8 cycloalkylcarbonyl group which may be substituted,
aralkycarbonyl group which may be substituted,
C6-C10 arylcarbonyl group which may be substituted,
C1-C8 alkysulfonyl group which may be substituted,
C3-C8 cycloalkylsulfonyl group which may be substituted,
aralkysulfonyl group which may be substituted,
C6-C10 arylsulfonyl group which may be substituted,
C1-C8 alkyloxycarbonyl group which may be substituted,
C1-C8 alkyloxycarbonyl group which may be substituted,
C3-C8 cycloalkyloxycarbonyl group which may be substituted,
aralkyoxycarbonyl group which may be substituted,
C6-C10 aryloxycarbonyl group which may be substituted,

aminocarbonyl,

N-C1-C8 alkylaminocarbonyl group which may be substituted,

N, N'-C1-C8 dialkylaminocarbonyl group which may be substituted,

: N-C1-C8 alkyl-N'-C3-C8 cycloalkylaminocarbonyl group which may be substituted,

M-C<sub>1</sub>-C<sub>8</sub> alkyl-N'-aralkylaminocarbonyl group which may be substituted,

N-C<sub>1</sub>-C<sub>8</sub> alkyl-N'-C<sub>6</sub>-C<sub>10</sub> arylaminocarbonyl group which may be substituted,

C3-C8 cycloalkylaminocarbonyl group which may be substituted,

N,N'-C3-C8 dicycloalkylaminoycarbonyl group which may be substituted,

N-C<sub>3</sub>-C<sub>8</sub> cycloalkyl-N'-aralkylaminocarbonyl group which may be substituted,

N-C<sub>3</sub>-C<sub>8</sub> cycloalkyl-N'-C<sub>6</sub>-C<sub>10</sub> arylaminocarbonyl group which may be substituted,

aralkylaminocarbonyl group which may be substituted,

N;N'-diaralkylaminocarbonyl group which may be substituted,

N-aralkyl- N'-C<sub>6</sub>-C<sub>10</sub> arylaminocarbonyl group which may be substituted,

C6-C10 arylaminocarbonyl group which may be substituted,

N.N'-C6-C10 diarylaminocarbonyl group which may be substituted,

and R4 represents a hydrogen atom, a C1-C4 alkyl group which may be substituted, an aralkyl group which may be substituted, C3-C8 cycloalkyl group which may be

substituted or an aryl group which may be substituted,

C<sub>1</sub>-C<sub>8</sub> alkylcarbonyl group which may be substituted,

C<sub>3</sub>-C<sub>8</sub> cycloalkylcarbonyl group which may be substituted,

aralkycarbonyl group which may be substituted,

C<sub>6</sub>-C<sub>10</sub> arylcarbonyl group which may be substituted,

C<sub>1</sub>-C<sub>8</sub> alkysulfonyl group which may be substituted,

C<sub>3</sub>-C<sub>8</sub> cycloalkylsulfonyl group which may be substituted,

aralkysulfonyl group which may be substituted,

C<sub>6</sub>-C<sub>10</sub> arylsulfonyl group which may be substituted,

C1-C8 alkyloxycarbonyl group which may be substituted,

C<sub>3</sub>-C<sub>8</sub> cycloalkyloxycarbonyl group which may be substituted,

aralkyoxycarbonyl group which may be substituted, C6-C10 aryloxycarbonyl group which may be substituted, aminocarbonyl,

N-C<sub>1</sub>-C<sub>8</sub> alkylaminocarbonyl group which may be substituted, N, N'-C1-C8 dialkylaminocarbonyl group which may be substituted, N-C1-C8 alkyl-N'-C3-C8 cycloalkylaminocarbonyl group which may be substituted, N-C<sub>1</sub>-C<sub>8</sub> alkyl-N'-aralkylaminocarbonyl group which may be substituted, N-C1-C8 alkyl-N'-C6-C10 arylaminocarbonyl group which may be substituted, C3-C8 cycloalkylaminocarbonyl group which may be substituted, N,N'-C3-C8 dicycloalkylaminoycarbonyl group which may be substituted, N-C3-C8 cycloalkyl-N'-aralkylaminocarbonyl group which may be substituted, N-C<sub>3</sub>-C<sub>8</sub> cycloalkyl-N'-C<sub>6</sub>-C<sub>10</sub> arylaminocarbonyl group which may be substituted, aralkylaminocarbonyl group which may be substituted, N,N'-diaralkylaminocarbonyl group which may be substituted, N-aralkyl- N'-C6-C10 arylaminocarbonyl group which may be substituted, C<sub>6</sub>-C<sub>10</sub> arylaminocarbonyl group which may be substituted, N,N'-C<sub>6</sub>-C<sub>10</sub> diarylaminocarbonyl group which may be substituted. or an optionally substituted heterocyclic ring having 1 to 4 hetero atoms selected from the group consisting of oxygen atom, sulfur atom, and nitrogen atom, and having 5 to 10 ring-constituting atoms in total.

When the C<sub>6</sub>-C<sub>10</sub> aryl ring represented by Y<sup>1</sup> has one or more substituents, the ring may be substituted by one or more substituents selected from the groups consisting of halogen atoms, a C<sub>1</sub>-C<sub>5</sub> alkyl group, a C<sub>3</sub>-C<sub>6</sub> cycloalkyl group, a C<sub>3</sub>-C<sub>6</sub> cycloalkyloxy group, a C<sub>1</sub>-C<sub>5</sub> alkoxy group, a C<sub>4</sub>-C<sub>7</sub> cycloalkylalkoxy, a C<sub>1</sub>-C<sub>5</sub> alkylthio group, a C<sub>1</sub>-C<sub>5</sub> alkylsulfonyl group, a C<sub>1</sub>-C<sub>5</sub> halogenated alkyl, and a benzene ring.

When the ring represented by X, X<sup>1</sup> or Y<sup>1</sup> has one or more substituents, the substituent may further have one or more substituents selected from the group

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consisting of a C1-C5 alkyl group such as methyl group, ethyl group, propyl group, isopropyl group, butyl group, isobutyl group, sec-butyl group, tert-butyl group, pentyl group, isopentyl group, neopentyl group, 1,1-dimethylpropyl group; C3-C6 cycloalkyl group such as cyclopropyl group, cyclobutyl group, cyclopentyl group, cyclohexyl group; a C3-C6 cycloalkyloxy group such as cyclopropyloxy group, cyclobutyloxy group, cyclopentyloxy group, cyclohexyloxy group; C1-C4 hydroxyalkyl group such as hydroxymethyl group, hydroxyethyl group, hydroxypropyl group, hydroxybutyl group; a C1-C5 alkoxy group such as methoxy group, ethoxy group, propoxy group, isopropoxy group, butoxy group, isobutoxy group, tert-butoxy group, pentyloxy group, and isopentyloxy group; a C4-C7 cycloalkylalkoxy group such as cyclopropylmethoxy group, cyclopentylmethoxy group; a C1-C5 alkylthio group such as methylthio group, ethylthio group, propylthio group, butylthio group, and pentylthio group; a C1-C5 alkylsulfonyl group such as methanesulfonyl group, ethanesulfonyl group, propanesulfonyl group, butanesulfonyl group, and pentanesulfonyl group; a halogen atom such as fluorine atom, chlorine atom, bromine atom, and iodine atom; a C<sub>1</sub>-C<sub>5</sub> halogenated alkyl group such as trifluoromethyl group; a C<sub>1</sub>-C<sub>5</sub> halogenated alkoxy group such as trifluoromethoxy group, 2,2,2-trifluoroethoxy group; hydroxyl group; cyano group; nitro group; formyl group; a C2-C6 alkylcarbonyl group such as acetyl group, propionyl group, butyryl group, and valeryl group; amino group; a C1-C5 monoalkylamino group such as methylamino group, ethylamino group, propylamino group, isopropylamino group, butylamino group, isobutylamino group, tert-butylamino group, pentylamino group. and isopentylamino group; a C2-C10 dialkylamino group such as dimethylamino group, ethylmethylamino group, diethylamino group, methylpropylamino group, and diisopropylamino group; a cyclic amino group such as pyrrolidinyl group, piperidino group, morpholino group; a C2-C10 monoalkylaminomethyl group such as methylaminomethyl group, ethylaminomethyl group, propylaminomethyl group, isoproylaminomethyl group, butylaminomethyl group, isobutylaminomethyl group,

tert-butylaminomethyl group, pentylaminomethyl group, isopentylaminomethyl; a C3-C11 dialkylaminomethyl group such as dimethylaminomethyl group, diethylaminomethyl group, ethylmethylaminomethyl group, methylpropylaminomethyl group; a phenyl group; an aralkylozy group such as benzyloxy, 2-phenylethyloxy, 3-phenylpropyloxy; an aralkyloxycarbonyl group such as benzyloxycarbonyl, 2-phenylehoxycarbonyl; an  $C_2$ - $C_4$  alkanoyloxy- $C_1$ - $C_4$  alkyl group such as acetyloxymethyl, 2-acetyloxyethyl, 2-propionyloxyethyl; an alkanoylamino group such as acetylamino, propionylamino, butyrylamino; N-C1-C4 alkyl-N-alkanoylamino group such as N-methyl-N-acetylamino, N-ethyl-N-acetylamino, N-methyl-N-propionylamino, N-methyl-N-butyrylamino; a heterocyclic ring amino group such as pyridylamino, pyrimidinylamino, thienylamino, furylamino; N-C1-C4 alkyl-N-heterocyclic ring amino group such as N-methyl-N-pyridylamino, N-methyl-N-pyrimidinylamino. N-methyl-N-thienylamino, N-methyl-N-furylamino; a diheterocyclic ring amino group such as dipyridylamino, dipyrimidinylamino, dithienylamino, difurylamino, and the like.

R may preferably be a  $C_1$ - $C_3$  alkyl group, more preferably a methyl group or an ethyl group. The substituent of the alkyl group may preferably be a  $C_3$ - $C_8$  alkyl group.

X may preferably be a benzene ring which may be substituted, a benzyl group which may be substituted, a naphthyl group which may be substituted, a benzofuran ring which may be substituted, a dihydrobenzofuran ring which may be substituted, a benzisoxazole ring which may be substituted, a benzisoxazole ring which may be substituted, a benzisothiazole ring which may be substituted, a benzisothiazole ring which may be substituted, and a benzopyrazole ring which may be substituted; more preferably a benzene ring which may be substituted, a benzyl group which may be substituted. Substituted of X may preferably be selected from the group consisting of a halogen

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atom, a C<sub>1</sub>-C<sub>4</sub> alkyl group, a C<sub>1</sub>-C<sub>4</sub> alkoxy group, a hydroxy group, a nitro group, a cyano group, a perhalogenated C<sub>1</sub>-C<sub>4</sub> alkyl group, a carboxyl group, a C<sub>1</sub>-C<sub>4</sub> alkoxycarbonyl group, a C<sub>1</sub>-C<sub>4</sub> alkylthio group, a C<sub>1</sub>-C<sub>4</sub> alkoxysulfonyl group, amino group which may be substituted by a C<sub>1</sub>-C<sub>4</sub> alkyl group, a benzene ring which may be substituted, and a cyclic amino group which may be substituted.

The compounds represented by the aforementioned formula (I) may form a salt. Examples of the salt include, when an acidic group exists, salts of alkali metals and alkaline earth metals such as lithium, sodium, potassium, magnesium, and calcium; salts of ammonia and amines such as methylamine, dimethylamine, trimethylamine, dicyclohexylamine, tris(hydroxymethyl)aminomethane,

N.N-bis(hydroxyethyl)piperazine, 2-amino-2-methyl-1-propanol, ethanolamine,

N-methylglucamine, and L-glucamine; or salts with basic amino acids such as lysine, δ-hydroxylysine, and arginine. When a basic group exists, examples include salts with mineral acids such as hydrochloric acid, hydrobromic acid, sulfuric acid, nitric acid, phosphoric acid; salts with organic acids such as methanesulfonic acid, benzenesulfonic acid, p-toluenesulfonic acid, acetic acid, propionic acid, tartaric acid, fumaric acid, maleic acid, malic acid, oxalic acid, succinic acid, citric acid, benzoic acid, mandelic acid, cinnamic acid, lactic acid, glycolic acid, glucuronic acid, ascorbic acid, nicotinic acid, and salicylic acid; or salts with acidic amino acids such as aspartic acid, and glutamic acid.

In addition to the 3-substituted-4-pyrimidone derivatives represented by the aforementioned formula (I) and salts thereof, their solvates and hydrates also fall within the scope of the present invention. The 3-substituted-4-pyrimidone derivatives represented by the aforementioned formula (I) may have one or more asymmetric carbon atoms. As for the stereochemistry of such asymmetric carbon atoms, they may independently be in either (R) and (S) configuration, and the pyrimidone derivative may exist as stereoisomers such as optical isomers, or diastereoisomers. Any stereoisomers in a pure form, any mixtures of stereoisomers,

racemates and the like fall within the scope of the present invention.

Preferred compounds of the present invention are represented by formula (II):

$$(X)_{p}$$

$$(X)_{q}$$

$$(Y)_{r}$$

$$(X)_{q}$$

$$(Y)_{r}$$

$$(II)$$

wherein Q, R, X, Y are the same as those defined above; p is 0 or 1; q is 0 or 1; r is an integer of 0 to 6; p+q is 1 or 2;

and Z represents N or  $CZ^1$  wherein  $Z^1$  represents hydrogen atom or Y.

Examples of more preferred classes of compounds represented by formula (II) include:

- (1) those wherein R represents a  $C_1$ - $C_3$  alkyl group which may be substituted by a  $C_3$ - $C_8$  cycloalkyl group;
- (2) the compounds of the above (1) wherein R is methyl group or ethyl group; Y is in 3-, 4- or 5-position of the piperazine ring or the piperidine ring; p+q is 1; and r is an integer of 0 to 3;
- (3) the compounds of the above (2) wherein X is a C<sub>1</sub>-C<sub>8</sub> alkyl group which may be substituted or a C<sub>6</sub>-C<sub>10</sub> aryl ring which may be substituted; Y is a C<sub>1</sub>-C<sub>6</sub> alkyl group which may be substituted; p is 1; q is 0; r is an integer of 0 to 3; and Z is N or CH; (4) the compounds of the above (3) wherein X is a benzene ring which may be substituted, a benzyl group which may be substituted; Y is a methyl group which may be substituted; Z is N and r is 0 or 1;
- (5) the compounds of the above (2) wherein X is a benzene ring which may be substituted, a benzyl group which may be substituted, a benzoyl group which may be substituted, or a benzisothiazol ring which may be substituted; Y is a methyl

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group which may be substituted; Z is N and p is 0;

(6) the compounds of the above (2) wherein X is a  $C_1$ - $C_8$  alkyl group substituted by a benzene ring which may be substituted or a benzene ring which may be substituted;  $\overline{Y}$  is a hydroxy group, a cyano group, or  $\overline{Y}^1$ - $\overline{CO}$ - wherein  $\overline{Y}^1$  is a  $C_1$ - $C_3$  alkyl group;  $\overline{Z}$  is CH or C- $\overline{Y}$  and r is 0 or 1; and

(7) the compounds of the above (6) wherein X is a benzyl group which may be substituted or a benzene ring which may be substituted; Y is a hydroxy group, a cyano group, or an acetyl group; Z is CH or C-Y and r is 0 or 1.

Examples of particularly preferred classes of compounds represented by formula (II) include:

- (1) those wherein R is methyl group, Y is CH<sub>3</sub>O-CO- group or CH<sub>3</sub>CH<sub>2</sub>O-CO- group, Z is N, p is 0, q is 1, r is 0 or 1 and Y is in 3-position of the piperazine ring;
- (2) those wherein R is methyl group, Y is methyl group, benzyl group or acetyl group, Z is N, p is 1, q is 0, r is 0 or 1 and Y is in 4-position of the piperazine ring;
- (3) those wherein R is methyl group, Y is methyl group, Z is N, p is 1, q is 0, r is 1 to 3 and Y is in 3-, 4-, or 5-position of the piperazine ring;
- (4) those wherein R is methyl group, Y is hydroxyl group or cyano group, Z is CH, p is 1, q is 0, r is 0 or 1 and X and Y are attached on the same carbon atom;
- (5) those wherein R is methyl group, Y is hydroxyl group, cyano group or acetyl group, Z is C-Y, p is 0, q is 1 and r is 1.

Examples of preferred compounds of the present invention are shown in the tables below. However, the scope of the present invention is not limited to the following compounds.

Table-1		<u>.</u>			·	
		R <sup>3</sup> R <sup>2</sup> N N N N N N N N N N N N N N N N N N N				
	Int.		Ino	D4	lme -	170
No.	R1 CH3-	R2 H	R3 H	R4 CH3-	R5 H	R6 H
XA2	CH3-	Н	Н	CH3CH2-	н	H
XA3	снз-	Н	н	<b>/</b> \\	н	н
XA4	СН3-	Н	Н	<u> </u>	Н	Н
XA5	СН3-	Н	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	Н
XA6	CH3-	н	Н	<u> </u>	Н	Н
XA7	CH3-	Н	н		Н	н
XA8	CH3-	H	н	<u> </u>	Н	Н
XA9	CH3-	Н	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	Н.
XA10	снз-	Н	н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
- XA11	СН3-	н	н	<u> </u>	Н	Н
XA12	CH3-	Н	н		Н	Н
XA13	СН3-	Н	н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
XA14	CH3-	Н	H.	<u></u>	Н	Н
XA15	CH3-	Н	Н	^^^\	Н	н
XA16	СН3-	Н	Н	Y \	Н	Н
XA17	CH3-	Н	Н	n-C8H17-	Н	Н
XA18	СН3-	H	Н	<u></u>	Н	Н
XA19	СН3-	н :	Н		н	Н
XA20	снз-	н	Н		Н	н
XA21	CH3-	Н	н		Н	н
XA22	СН3-	Н	Н	$\triangleright$	н	н
XA23	СН3-	Н	н	$\Diamond$ - $\Diamond$	Н	H
XA24	CH3-	Н	Н		Н	Н
XA25	СН3-	н	н		Н	Н

No.	R1	R2	R3	R4	R5	R6
XA26	снз-	Н	н		Н	н
XA27	СН3-	н	Н		н .	н
XA28	снз-	н	Н	F	Н	Н
XA29	CH3-	Н	Н	F	н	H
XA30	CH3-	Н	Н	F-C-1	н	H
XA31	СН3-	Н	Н	CI ——;	н	н
XA32	снз-	Н	Н	CI	Н	Н
XA33	CH3-	Н	Н	C{}{	н	Н
- XA34	CH3-	Н	Н	Br	Н	Н
XA35	СН3-	Н	Н	Br.	Н	Н
XA36	снз-	Н	Н	Br—{}	Ħ.	н
XA37	снз-	Н	н	<u></u>	: H	н
XA38	СН3-	Н	н	<b>├</b> -{	Ĥ	н
XA39	СН3-	н	H		Н	н
XA40	снз-	Н	н	CH₃	н	н
XA41	CH3-	Н	н	H <sub>3</sub> C	н	Н
XA42	снз-	Н	Н	H <sub>3</sub> C-{}	н	н
XA43	СН3-	н	Н	C <sub>2</sub> H <sub>5</sub> -{}	Н	Н
XA44	СН3-	н	Н	n-C <sub>3</sub> H <sub>7</sub> -{}	Н	Н
XA45	снз-	Н	Н	n-C <sub>4</sub> H <sub>9</sub> —{}—{	Н	н
XA46	СН3-	Н	Н	OH ←	Н	Н
XA47	СН3-	Н	н	HO	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA48	снз-	н	Н	HO-{_}-}	н	Н
XA49	СН3-	н	Н	OCH <sub>3</sub>	н	Н
XA50	CH3-	Н	Н	H <sub>3</sub> CO	Н	Н
XA51	СН3-	Н	Н	H <sub>3</sub> CO-{{}	Н	Н
XA52	CH3-	Н	Н	C <sub>2</sub> H <sub>5</sub> O-{}	н	Н
XA53	CH3-	н	H	n-C <sub>3</sub> H <sub>7</sub> O-	н	н .
XA54	СН3-	н	Н	n-C <sub>4</sub> H <sub>9</sub> O-	Н	Н
XA55	СН3-	Н	Н	NO <sub>2</sub>	H	н
XA56	снз-	Н	H	O <sub>2</sub> N	Н	н
XA57	СН3-	н	Н	O <sub>2</sub> N-{}	н	H
XA58	СН3-	Н	Н	CN	H	Н
XA59	СН3-	Н	Н	NC \	Н	Н
XA60	СН3-	Н	Н	NC-{}-{	Н	Н
XA61	CH3-	н	Н	CF <sub>3</sub>	н .	Н
XA62	СН3-	н	Н	F <sub>3</sub> C —∤	н	Н
XA63	СН3-	Н	н	F₃C-{_}	Н	Н
XA64	СН3-	Н	Н	COOH	Н	Н
XA65	снз-	Н	Н	HOOC	Н	Н
XA66	СН3-	Н	Н	HOOC-{\rightarrow}{	H	Н
XA67	снз~	Н	Н	CO <sub>2</sub> Me	Н	Н
XA68	СН3-	Н	Н	MeO <sub>2</sub> C	н	Н
XA69	снз-	Н	Н	MeO <sub>2</sub> C-{}	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA70	снз-	н	Н	CO₂Et	н	н
XA71	снз-	н	Н	EtO <sub>2</sub> C	Н	н
XA72	снз-	Н	Н;	EtO <sub>2</sub> C-{}	н	н
XA73	снз-	H ·	Н	SMe	Н	н
XA74	снз-	Н	Н	MeS	Н	H H
XA <b>7</b> 5	СН3-	Н	н	MeS-{_}	Н	Н
XA76	СН3-	Н	Н	SO₂Me	Н	Н
XA77	снз-	н	Н	MeO <sub>2</sub> S 	Н	н
XA78	CH3-	Н	н	MeO <sub>2</sub> S-{{}	н	н
XA79	сн3-	Н	н	NH <sub>2</sub>	Н	Н
08AX	СН3-	н	Н	H <sub>2</sub> N	Н	н
XA81	СН3-	Н	Н	H <sub>2</sub> N-{	н	Н
XA82	СН3-	Н	Н	NMe₂	Н	н
XA83	СН3-	н	Н	Me <sub>2</sub> N —}	н	н
XA84	снз-	н	н	Me <sub>2</sub> N-{	н	н
XA85	снз-	H ·	н		н	Н
XA86	СН3-	Н	H		Н	H
XA87	снз-	Н .	Н	H -	н	н
XA88	СН3-	н	H .	HN	н	Н
XA89	СН3-	Н	Н		н	Н
XA90	СН3-	н	H	6 <del>7</del>	Н	Н
XA91	CH3-	Н	Н	S	н	Н

No.	R1	R2	R3	R4	R5	R6
XA92	СН3-	н	н	s ,	н	Н
XA93	снз-	н	Н	HNN	н	н
XA94	снз-	н.	Н	HN	н	н
XA95	СН3-	Н	Н	HN /	Н	Н
XA96	CH3-	Н	н	N Y	Н	H
XA97	CH3-	Н	Н	ON ,	Н	Н
XA98	СН3-	Н	Н	N=,	Н	Н
XA99	снз-	н	н	N-O V	Н	Н
XA100	СН3-	Н	Н	S <sub>N</sub>	Н	Н.
XA101	СН3-	Н	Н	N= S,	Н	Н
XA102	снз-	H	Н	'	н	Н
XA103	СН3-	н :	Н	/=N O	Н	Н
XA104	снз-	H	Н	Contraction of the contraction o	н	Н
XA105	снз-	Н	Н		н	Н
XA106	снз-	н	н	l '	н	Н
XA107	СН3-	н	н	S Y	н	Н
XA108	СН3-	Н	н	N Z	Н	Н
XA109	СН3-	Н	н	<b>⟨</b> `}-{	Н .	Н
XA110	снз-	н .	Н	N	н	Н .
XA111	СН3-	Н	Н	N	Н	Н
XA112	СН3-	Н	Н	€N-{	Н	Н
XA113	СН3-	Н	Н	N_N	н	Н

No.	R1	R2	R3	R4	R5	R6
XA114	СН3-	Н	н	N=	н	Н
XA115	СН3-	Н	Н	CIN	Н	н
XA116	снз-	н	н		н	Н
XA117	снз-	Н	Н	T H	Н	н
XA118	СН3-	Н	Н	T N	Н	H
XA119	СН3-	н	Н	, Ch	Н	н
XA120	СН3-	Н	н	Ç, H	н	н
XA121	CH3-	Н	Н		н	н
XA122	СН3-	Н	н		Н	н
XA123	СН3-	Н	н		H	Н
XA124	снз-	Н	Н	TO:	Н	Н
XA125	СН3-	Н	н	,CT	Н	Н
XA126	СН3-	н	н	Ţ?	Н	н ·
XA127	CH3-	Н	Н	(T)-1	н	н
XA128	CH3-	н	Н	(T)	Н	H
XA129	СН3-	н	н		Н	Н
XA130	СН3-	Н	Н	TOS	Н	Н
XA131	СН3-	Н	Н	,CTS	Н	н
XA132	СН3-	Н	Н	Ç\s	Н	н
XA133	СН3-	н	Н	OT,	Н	н
XA134	СН3-	Н .	Н		Н	н
XA135	CH3-	Н	Н	TON THE	Н	н

No.	R1	R2	R3	R4	R5	R6
XA136	снз-	H	H	,CTjr	H ·	Н
XA137	СН3-	н	Н	Ţ,	Н	Н
XA138	снз-	Н	Н	(TN+1)	Н	Н
XA139	снз-	Н	Н	Ž <sub>N</sub>	Н	Н
XA140	CH3-	н	н	T N	Н	H
XA141	СН3-	Н	н .		Н	Н
XA142	СН3-	Н	н	Š,	Н	Н
XA143	СН3-	н	Н	'CT'	Н	Н
XA144	СН3-	Н	Н	\LIN	Н	Н
XA145	снз-	Н	н		Н	H
XA146	снз-	Н	Н	(T <sub>S</sub> <sup>→</sup> ;	Н	Н
XA147	СН3-	Н	Н	Ž <sup>N</sup> <sub>s</sub>	Н	Н
XA148	СН3-	Н	н	'T'S	H	H
XA149	СН3-	Н	Н	ı, ÇÎ,	Н	Н
XA150	СН3-	Н	н	ÇÎ,	н	н
XA151	снз-	Н	Н	(T)	н	Н
XA152	СН3-	Н	Н		Н	Н
XA153	снз-	Н	н	TOW .	Н	H
XA154	СН3-	Н	н	y CTôN	Н	Н
XA155	СН3-	Н	Н	ÇZON .	Н	Н
XA156	СН3-	Н	Н	(T <sup>s</sup> N	Н	Н
XA157	снз-	Н	н	Ť,	H	Н

No.	R1	R2	R3	R4	R5	R6
XA158	СН3-	н	н	"TJ"	Н	н
XA159	CH3-	Н	Н	,CTsN	Н	Н
XA160	CH3-	н .	Н	Ţs <sup>n</sup>	Н	н
XA161	снз-	Н	Н	ر ان	Н	н
XA162	CH3-	Н	Н	FO	н	Н
XA163	СН3-	Н	Н	F	Н	Н
XA164	СН3-	Н	Н		н	Н
XA165	CH3-	н	н	CIO	Н	Н
XA166	СН3-	Н	Н	CI	н	Н
XA167	СН3-	н	Н		H	н
XA168	СН3-	н	н	Br O	Н	Н
XA169	CH3-	н	н	Br	Н	Н
XA170	СН3-	н	Н		Н	Н
XA171	снз-	н	Н	CHO	Н	н
XA172	СН3-	н	н	H <sub>3</sub> C	Н	н
XA173	СН3-	н	Н	H.C. J.,	н	Н
XA174	СН3-	н ,	H	CH3O O	Н	H
XA175	снз-	Н	Н	H <sub>3</sub> CO	Н	Н
XA176	СН3-	Н	Н	H.CO	Н	Н
XA177	СН3-	Н	Н	NO 0	Н	Н
XA178	СН3-	Н ·	Н	O <sub>2</sub> N	Н	Н
XA179	СН3-	Н	Н	0.11	Н	Н

No.	R1	R2	R3	R4 OH O	R5	R6
XA180	СН3-	н	Н		н	н
XA181	СН3-	Н	н	но	н	Н
XA182	СН3-	н	Н	HO J	н :	Н
XA183	снз-	Н	н	NH O	Н	Н
XA184	снз-	Н	н	H <sub>2</sub> N	Н	H
XA185	снз-	Н	н	H-N	Н	H
XA186	снз-	Н	н	LEN O	Н	Н
XA187	снз-	Н	н	NC P	Н	H
XA188	снз-	Н	Н	NC J.	H	Н
XA189	снз-	Н	Н	Qi,	Н	Н
XA190	СН3-	н	н	OJ,	Н	Н
XA191	снз-	Н	Н	<u></u>	н	Н
XA192	СН3-	Н	H	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
XA193	снз-	н	н	~\h\r	Н	H
XA194	снз-	н	н	\rangle_{\rangle_{\rangle}}^{\rangle}	н	H
, XA195	снз–	Н	Н		н	H .
XA196	СН3-	Н	,H	~~\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
XA197	СН3-	Н	Н	7	н	Н
XA198	снз-	н	Н	^\\\\ <sub>r</sub>	Н	Н
XA199	CH3-	Н	Н	~~~\	Н	
XA200	СН3-	Н	н	~~~\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
XA201	СН3-	Н	Н	\rightarrow \frac{1}{\rightarrow \frac{1}{\rightar	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA202	снз-	Н	Н .		н	н
XA203	CH3-	н	Н		н	н
XA204	СН3-	Н	Н	\	н	н
XA205	СН3-	H³CO ×	Н	н	н	н
XA206	СН3-	H <sub>3</sub> CO r	Н	СН3-	н	Н
XA207	СН3-	O H₃CO →	Н	CH3CH2-	н	Н
XA208	снз-	H <sub>3</sub> CO <sup>H</sup> y	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	Н
XA209	СН3-	O H₃CO ≻	н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	Н
XA210	снз-	O H₃CO →	H .		н	Н
XA211	снз-	H³CO, \lambda	н	<u> </u>	н	Н
XA212	СН3-	H <sub>3</sub> CO y	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	н
XA213	СН3-	O H₃CO ≻	Н	7	Н	н
XA214	СН3-	H <sub>3</sub> CO · ·	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	н
XA215	СН3- '	H <sub>3</sub> CO Y	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
XA216	СН3-	H <sub>3</sub> CO y	н	<u> </u>	Н	Н
XA217	СН3-	H <sub>3</sub> CO ×	Н	7	Н	н
XA218	СН3-	H <sub>3</sub> CO <sup>+</sup> >	H	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\		Н
XA219	CH3-	O H₃CO →	н	\\	Н	Н
XA220	СН3-	H₃CO ≻	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
XA221	CH3-	O H₃CO →	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
XA222	CH3-	H <sub>3</sub> CO <sup>+</sup> >	Н	n-C8H17-	Н	Н
XA223	СН3-	H <sub>3</sub> CO y	Н		н	Н

No.	RI	R2	R3	R4	R5	R6
XA224	CH3-	H <sub>3</sub> CO ×	Н	Q	Н	Н
XA225	снз-	H³CO ×	Н		Н	Н
XA226	CH3-	O H₃CO r	Н	Q	н	н
XA227	снз-	H³CO, ≻	Н	$\triangleright \rightarrow$	H.	Н
XA228	снз-	H₃CO ≻	Н	$\Diamond$	н	Н
XA229	СН3-	O H₃CO ≻	н	$\bigcirc$	н	Н
XA230	СН3-	O H₃CO ≻	Н		н	н
XA231	СН3-	O H₃CO ≻	Н		н	Н
XA232	снз-	H³CO, λ.	Н	<b>├</b>	н	Н
XA233	снз-	O H₃CO →	Н	F	Н	Н
XA234	СН3-	H³CO, ≻	Н	<b>₹</b>	Н	н <u>;</u>
XA235	СН3-	H³CO, λ	Н	F-{\}-\{	Н	Н :
XA236	СН3-	O H₃CO →	H	CI →	Н	н .
XA237	CH3-	H <sub>3</sub> CO '>	н .	CI	H	Н
XA238	СН3-	H³CO, \	Н	CH	Н	н
XA239	СН3-	H³CO ½	Н	Br	н	н
XA240	СН3-	H <sub>3</sub> CO >	Н	Br.	Н .	н
XA241	СН3-	H³CO_\\	н	Br───┤	Н	Н
XA242	снз-	H <sub>3</sub> CO >	Н	CH₃	н	н
XA243	снз-	H <sub>3</sub> CO >	Н	H <sub>3</sub> C	Н	Н
XA244	снз-	H <sub>3</sub> CO	Н	H <sub>3</sub> C-{	н	Н
XA245	СН3-	O H₃CO ≻	Н	C <sub>2</sub> H <sub>5</sub> -{}-{	Н .	Н

No.	R1	R2	R3	R4	R5	R6
XA246	CH3-	H³CO, ≻	н	n-C <sub>3</sub> H <sub>7</sub> {}	Н	н
XA247	СН3-	H³CO, ≻	н	n-C <sub>4</sub> H <sub>9</sub> -	Н	н
XA248	CH3-	H₃CO ≻	н	OCH <sub>3</sub>	Н	Н
XA249	СН3-	H₃CO ≻	Н	H₃CO {	Н	Н
XA250	СН3-	H₃CO ≻	Н	H <sub>3</sub> CO-{}	Н	Н
XA251	CH3-	H³CO, ≻	Н	C <sub>2</sub> H <sub>5</sub> O-{}-{	Н	н
XA252	СН3	H³CO ½	Н	n-C <sub>3</sub> H <sub>7</sub> O-{}	н	Н
XA253	СН3-	H <sub>3</sub> CO >	Н	n-C <sub>4</sub> H <sub>9</sub> O-{}-{	Н	н
XA254	CH3-	H₃CO ≻	Н	NO <sub>2</sub>	н	Н
XA255	СН3-	O H₃CO ≻	Н	O <sub>2</sub> N	Н	Н
XA256	СН3-	H₃CO ≻	Н	O <sub>2</sub> N-{}	н	н
XA257	СН3-	H³CO_}\	Н	CN →	Н	Н
XA258	снз-	H³CO ≻	Н	NC	Н	н
XA259	СН3	H³CO, ≻	Н	NC-{_}-{	н	н
XA260	СН3-	H³CO_≻	Н	NMe₂ Me₂N	н	Н
XA261	снз-	O H₃CO ⁄r	Н	Me <sub>2</sub> N	Н	н
XA262	CH3-	H <sub>3</sub> CO y	Н	Mo <sub>2</sub> N-(	Н	ļН
XA263	СН3-	O H₃CO →	Н		Н	Н
XA264	СН3-	H <sub>3</sub> CO Y	Н	CC '	Н	Н
XA265	CH3-	H³CO, Y	Н	O <sup>2</sup> ,	Н	н
XA266	CH3-	H <sub>2</sub> CO y	Н	Qi,	Н	Н
XA267	СН3-	H <sub>3</sub> CO >	Н		Н	Н

No.	R1	R2	R3	R4	R5	R6
XA268	СН3-	H³CO_} O	н	R4 O H <sub>p</sub> ,	н .	Н
XA269	СН3-	H³CQ_≻	н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	Н
XA270	СН3-	C <sub>2</sub> H <sub>5</sub> O ,	н	Н	н	Н
XA271	СН3-	C <sub>2</sub> H <sub>5</sub> O y	н	СН3-	Н	Н
XA272	СН3-	C <sub>2</sub> H <sub>5</sub> O y	Н	СН3СН2-	H	H
XA273	снз-	C <sub>2</sub> H <sub>5</sub> O ,	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
XA274	снз-	O C <sub>2</sub> H <sub>5</sub> O // yr	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
XA275	снз-	O C₂H₅O →	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	н
XA276	СН3-	C <sub>2</sub> H <sub>5</sub> O	Н	人、	Н	H.
XA277	СН3-	C <sub>2</sub> H <sub>5</sub> O -	Н	~~	Н	Н
:XA278	СН3-	O C <sub>2</sub> H <sub>5</sub> O ->-	Н	7	Н	Н
XA279	СН3-	O C₂H₅O ≻	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	H	Н
XA280	СН3-	C <sub>2</sub> H <sub>5</sub> O -	H	<b>\</b> \\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
XA281	СН3-	C <sub>2</sub> H <sub>5</sub> O -	Н	Xx	Н	Н
XA282	снз-	O C <sub>2</sub> H <sub>5</sub> O ,	Н	7	Н .	н
XA283	снз-	C <sub>2</sub> H <sub>5</sub> O	н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
XA284	СН3-	O C <sub>2</sub> H <sub>5</sub> O >	Н	L~~.	Н	Н
XA285	СН3-	O C <sub>2</sub> H <sub>5</sub> O ->-	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
XA286	СН3-	O C <sub>2</sub> H <sub>5</sub> O >	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	H	Н
XA287	СН3-	O C <sub>2</sub> H <sub>5</sub> O	Н	n-C8H17-	Н	Н
XA288	снз-	C <sub>2</sub> H <sub>5</sub> O ,	Н		Н	Н
XA289	СН3-	O C <sub>2</sub> H <sub>5</sub> O / y	Н		Н .	Н

No.	R1	R2	R3	R4	R5	R6
XA290	СН3-	C <sub>2</sub> H <sub>5</sub> O y	н		Н	н
XA291	СН3-	O C <sub>2</sub> H <sub>5</sub> O ,	Н		Н	Н
XA292	снз-	O C <sub>2</sub> H <sub>5</sub> O / y	н	$\triangleright \rightarrow$	Н	. Н
XA293	снз-	C <sub>2</sub> H <sub>5</sub> O y	Н	$\Diamond$ -1	Н	Н
XA294	СН3-	O C <sub>2</sub> H <sub>5</sub> O }	Н	$\bigcirc \dashv$	Н	Н
XA295	СН3-	C <sub>2</sub> H <sub>5</sub> O >	Н		н	н
XA296	CH3-	C <sub>2</sub> H <sub>5</sub> O 2	Н		Н	Н
XA297	СН3-	C <sub>2</sub> H <sub>5</sub> O >	Н		Н	н
XA298	СН3-	O C <sub>2</sub> H <sub>5</sub> O 7	н	F	Н	н
XA299	СН3-	O C <sub>2</sub> H <sub>5</sub> O	Н	F	Н	н
XA300	СН3-	C <sub>2</sub> H <sub>5</sub> O	Н	F-(-);	Н	н
XA301	СН3-	C <sub>2</sub> H <sub>5</sub> O -	Н	CI →	Н	Н
XA302	СН3-	C <sub>2</sub> H <sub>5</sub> O ,	н	CI	Н	н
XA303	CH3-	O C <sub>2</sub> H <sub>5</sub> O ×	Н	C⊢(	Н	Н
XA304	СН3-	C <sub>2</sub> H <sub>5</sub> O / y	н	Br	Н	Н
XA305	СН3-	O C <sub>2</sub> H <sub>5</sub> O 7	н	Br.	Н	Н
XA306	СН3-	O C₂H₅O ∵	Н	Br─∰	<u> </u>	Н
XA307	CH3-	C <sub>2</sub> H <sub>5</sub> O >	Н	CH <sub>3</sub>	н	н
XA308	СН3-	O C <sub>2</sub> H <sub>5</sub> O / )-	Н	H <sub>3</sub> C	H	H
XA309	CH3-	C <sub>2</sub> H <sub>5</sub> O }	Н	H <sub>3</sub> C-{	н	Н
XA310	CH3-	C <sub>2</sub> H <sub>5</sub> O ,	Н	C <sub>2</sub> H <sub>5</sub> -{_}-{	н	Н
XA311	СН3-	C <sub>2</sub> H <sub>5</sub> O y	Н	n-C <sub>3</sub> H <sub>7</sub> {}	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA312	СН3-	C <sub>2</sub> H <sub>5</sub> O /	н	n-C <sub>4</sub> H <sub>9</sub> -{_}_}	н	Н
XA313	СН3-	O C <sub>2</sub> H <sub>5</sub> O ✓	Н	OCH₃ <	н	Н
XA314	снз-	C <sub>2</sub> H <sub>5</sub> O 7	Н	H₃CO 	н	н
.XA315	СН3-	C <sub>2</sub> H <sub>5</sub> O <sup>-/</sup> >	Н	H <sub>3</sub> CO-{}-{	н	Н
XA316	СН3-	C <sub>2</sub> H <sub>5</sub> O	Н	C <sub>2</sub> H <sub>5</sub> O-{}-{	Н	Н
XA317	CH3-	C <sub>2</sub> H <sub>5</sub> O y	Н	n-C <sub>3</sub> H <sub>7</sub> O-	Н	н
XA318	снз-	C <sub>2</sub> H <sub>5</sub> O // >r	Н	n-C <sub>4</sub> H <sub>9</sub> O-	н	Н
XA319	CH3-	C <sub>2</sub> H <sub>5</sub> O /	Н	NO <sub>2</sub>	Н	Н
XA320	снз-	C <sub>2</sub> H <sub>5</sub> O ->-	н	O <sub>2</sub> N	Н	Н
XA321	СН3-	O C <sub>2</sub> H <sub>5</sub> O 7	H	O <sub>2</sub> N-{	Н	н
XA322	СН3-	O C₂H₅O ,	Н	CN →	H :	н
XA323	СН3~	C <sub>2</sub> H <sub>5</sub> O y	Н	NC	н :	Н
XA324	снз-	C <sub>2</sub> H <sub>5</sub> O Y	н	NC-{}-{	H	н
XA325	снз-	C <sub>2</sub> H <sub>5</sub> O ,	Н	NMe <sub>2</sub>	Н	н
XA326	снз-	C <sub>2</sub> H <sub>5</sub> O / >	Н	Me <sub>2</sub> N	Н	н
XA327	снз-	O C <sub>2</sub> H <sub>5</sub> O ,	Н	Me <sub>2</sub> N-(	H.	Н
XA328	снз-	C <sub>2</sub> H <sub>5</sub> O }	Н		Н	Н
XA329	СН3-	C <sub>2</sub> H <sub>5</sub> O ->-	н		Н	Н
XA330	снз-	O C <sub>2</sub> H <sub>5</sub> O ->-	Н		Н	Н
XA331	СН3-	O C <sub>2</sub> H <sub>5</sub> O ->-	Н		Н	Н
XA332	СН3-	O C <sub>2</sub> H <sub>5</sub> O 7	н	O)	Н	Н
XA333	снз-	O C <sub>2</sub> H <sub>5</sub> O > O C <sub>2</sub> H <sub>5</sub> O >	н	<u></u>	H	Н

No.	R1		R3		R5	R6
XA334	СН3-	O C <sub>2</sub> H <sub>5</sub> O >	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
XA335	снз		н	Н	Н	н
XA336	CH3-	СН3СН2-	Н	н	н	Н
XA337	CH3-	<u> </u>	H 	н	н	н
XA338	снз-	<b>Y</b>	Н	Н	Н	н
XA339	снз-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	н	Н	н
XA340	CH3-	人人	н	н	Н	н
XA341	СН3-	~	Н	Н	Н	н
XA342	СН3-	丫	Н	H	Н	н
XA343	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	Н	н
XA344	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	н	н
XA345	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	Н	Н
XA346	снз-	7	Н	Н	н .	н
XA347	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	н .	Н
XA348	СН3-		н	н	н	Н
XA349	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	н	н
XA350	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	 Н	Н	Н	H
XA351	CH3-	n-C8H17-	Н	н .	н	Н
XA352	CH3-	1	Н	Н	Н	Н
XA353	СН3-	Q	н	н .	Н	Н
XA354	СН3-		Н	Н	Н	н
XA355	СН3-	Own	Н	Н	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA356	снз-	$\triangleright \rightarrow \uparrow$	н	н	H	  н
XA357	СН3-	$\Diamond$	н	Н	н	Н
XA358	СН3-		Н	н	н	н
XA359	СН3-		Н	Н	н	Н
XA360	СН3-		Н	н	Н	H
XA361	CH3-		Н	Н	Н	Н
XA362	СН3-		н	н .	Н	Н
XA363	CH3-	<b>⊘</b> n.∢	н	Н	н	Н
XA364	СН3-	F ∰-∤	Н	Н	н	н
XA365	СН3-	F{}	Н	н	Н	н
XA366	СН3	F-(-);	Н	Н	н	Н
XA367	СН3-	F-(-)(	Н	H	Н	н
XA368	снз-	F-()····{	Н	Н	Н	Н
XA369	СН3-	CI	Н	Н	Н	Н
XA370	СН3-	CI   	Н	н	H	н
XA371	СН3-	C⊢ <b>(</b>	н	н	н	Н
XA372	СН3-	CH	Н	Н	Н	Н
XA373	СН3-	C⊢∕`\"-{	Н	Н	Н	Н
XA374	СН3-	Br ∰-∤	Н	H	Н	Н
XA375	СН3-	Br.	Н	Н	Н	Н
XA376	СН3-	Br-{}-{	Н	Н	Н	Н
XA377	СН3-	Br-{}	Н	н .	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA378	снз-	Br-{	н	н	Н	н
XA379	СН3-	<u></u>	Н	н	Н	Н
XA <b>380</b>	CH3-	<u></u>	Н	Н	н	н
XA381	CH3-	<b>├</b> ───┤	Н	Н	Н	Н
XA382	снз-	CH <sub>3</sub>	Н	Н	Н	H
XA383	снз-	H <sub>3</sub> C	  H 	н	н	н
XA384	снз-	H <sub>3</sub> C-{}	Н	Н	Н	н
XA385	СН3-	C <sub>2</sub> H <sub>5</sub> -{}	Н	Н	Н	Н
XA386	снз-	n-C <sub>3</sub> H <sub>7</sub> {}-{	Н	Н	Н	Н
XA387	СН3-	n-C <sub>4</sub> H <sub>9</sub> —{}	Н	Н	Н	Н
XA38 <u>8</u>	СН3-	OH OH	Н	H	Н	Н
XA389	СН3-	HO	н	Н	Н	Н
XA390	снз-	HO-{}-}	н	Н	Н	Н
XA391	снз–	OCH <sub>3</sub>	Н	H	Н	Н
XA392	снз-	H <sub>3</sub> CO	Н	Н	Н	Н
XA393	снз-	H <sub>3</sub> CO-{	н	Н	Н	Н
XA394	снз-	H <sub>3</sub> CO-{}	Н	Н	Н	Н
XA395	снз-	H <sub>3</sub> CO-\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	H	Н	Н
XA396	СН3-	OC <sub>2</sub> H <sub>5</sub>	н	Н	Н	Н
XA397	СН3-	C <sub>2</sub> H <sub>5</sub> O	Н	H	н	Н
XA398	CH3-	C <sub>2</sub> H <sub>5</sub> O-{}-{	Н	Н	Н	н
XA399	CH3-	n-C <sub>3</sub> H <sub>7</sub> O-{	Н	Н	н	Н

No.	R1	R2	R3	R4	R5	R6
XA400	СН3-	n-C <sub>4</sub> H <sub>9</sub> O-{\bigcirc}{\}	н	Н	Н	Н
XA401	снз-	NO <sub>2</sub>	Н	Н	Н	н
XA402	снз-	O <sub>2</sub> N	Н	н	н	н
XA403	снз-	O <sub>2</sub> N-{	Н	Н	Н	Н
XA404	снз-	CN	Н	н	Н	Н
XA405	СН3-	NC	Н	Н	Н	Н
XA406	снз-	NC-{}-{	Н		Н	н
XA407	снз-	CF <sub>3</sub>	Н	Н	Н	Н
XA408	снз-	F <sub>3</sub> C 	н	Н	Н	Н
XA409	снз-	F <sub>3</sub> C-{_}{	н	н	H	Н
XA410	снз-	COOH	н	H :	Н	Н
XA411	снз-	HOOC	H	н :	н	Н
XA412	СН3-	H00C-{_}-{	Н	H	н .	Н
XA413	снз-	CO <sub>2</sub> Me	н	н	H	Н
XA414	снз-	MeO <sub>2</sub> C	Н	н	Н	Н
XA415	снз-	MeO <sub>2</sub> C-{}	н	н	H.	н .
XA416	снз-	CO <sub>2</sub> Et	Н	H	н	Н
XA417	CH3-	EtO <sub>2</sub> C	Н	н	Н	Н
XA418	снз-	EtO <sub>2</sub> C-{}{	Н	Н	Н	Н
XA419	снз-	SMe	Н	Н	Н	Н
XA420	снз-	Me\$	Н	Н	Н	Н
XA421	снз-	MeS-{}-{	Н	Н	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA422	снз-	SO₂Me	н	Н	Н	н
XA423	CH3-	MeO <sub>2</sub> S	н	н	н	Н
XA424	СН3-	MeO <sub>2</sub> S-{_}	н	Н	н	н
XA425	CH3-	NH <sub>2</sub>	Н	Н	Н	Н
XA426	СН3-	H <sub>2</sub> N	Н	Н	Н	H
XA427	СН3-	$H_2N-$	Н	Н	Н	Н
XA428	СН3-	NMe₂	Н	Н	Н	Н
XA429	СН3-	Me <sub>2</sub> N	Н	Н	Н	н
XA430	СН3-	Me <sub>2</sub> N-\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	Н	Н
XA431	СН3-	CN-	н	Н	Н	н
XA432	СН3-	CN-Q	Н	Н	н . į	Н
XA433	СН3-	_N-<_}-1	Н	Н	H	H _
XA434	СН3-		Н	н	H =	Н
XA435	снз-	\(\rightarrow\)	Н .	Н	Н	Н
XA436	снз-	_N	Н	н	Н	Н
XA437	снз-	o_n-<	Н	н	Н	Н
XA438	СН3-	O_N-{_}}	Н	Н	H	Н
XA439	СН3	o_n-{}-	Н	H .	Н	Н
XA440	снз–	H <sub>3</sub> CN N	Н	Н	Н	н
XA441	СН3-	H₃CN_N-⟨}	Н	Н	Н	Н
XA442	CH3-		Н	Н	Н	Н
XA443	СН3-	H <sub>3</sub> C CH <sub>3</sub>	Н	н	н	н

No.	R1	R2	R3	R4	R5	R6
XA444	CH3-	CH <sub>3</sub> H <sub>3</sub> C-{\bigcirc}-{\}	н	н	Н	Τ
XA445	СН3-	CH <sub>3</sub> ⟨□)→ H <sub>3</sub> C	Н	Н	н	Н
XA446	СН3-	CH <sub>3</sub> CH <sub>3</sub>	Н	н	н	Н
XA447	CH3-	H <sub>3</sub> C-\(\sum_j\)-\\	Н	н	H.	Н
XA448	СН3-	H₃C H₃C	Н	Н	н	н
XA449	СН3-	F_F	Н	Н	Н	Н
XA450	СН3-	F——F	Н	Н	Н	Н
XA451	СН3-	F F	Н	H ·	Н	Н
XA452	СН3-	F F	Н	Н	Н	Н
XA453	СН3-	F- <b>\</b> }	Н	н	Н	н
XA454	СН3-	F	Н	Н	н	Н
XA455	СН3-	CI_CI	Н	Н	Н	Н
XA456	CH3-	CI—CI	Н	Н	Н	Н
XA457	СН3-	CI	Н	Н	н	Н
XA458	СН3-	CI CI	Н	н	Н	Н
XA459	GH3-	CI CI	H	Н	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA460	СН3-	CI CI	н	Н	Н	Н
XA461	СН3-	H <sub>3</sub> CO_OCH <sub>3</sub>	н	н :	Н	Н
XA462	СН3-	OCH <sub>3</sub> H₃CO-⟨□)→	Н	н	Н	Н
XA463	СН3-	OCH <sub>3</sub> H <sub>3</sub> CO	Н	Н	Н	Н
XA464	СН3	OCH <sub>3</sub> OCH <sub>3</sub>	Н	Н	Н	H
XA465	СН3-	H <sub>3</sub> CO	Н	Н	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA466	СН3-	H₃CO H₃CO	н	Н	Н	Н
XA467	СН3-	F_OCH₃	н	Н	Н	н
XA468	CH3-	OCH₃ F—{	Н	Н	Н	Н
XA469	СН3-	OCH <sub>3</sub>	Н	Н	Н	Н
XA470	CH3-	OCH <sub>3</sub> F—∑…{	H	Н	н	Н
XA471	CH3-	OCH₃ F	Н	Н	н	Н
XA472	СН3-	OCH <sub>3</sub>	Н	Н	Н	н
XA473	СН3-	H₃CO F—	H :	Н	H	Н
XA474	СН3-	H₃CO F	Н	Н	н	н
XA475	СН3-	H₃CO_F	н	Н	н	Н
XA476	СН3-	H₃CO-{\(\)}	Н	Н	Н	н
XA477	СН3-	H₃CO F	н	Н	Н	Н
XA478	СН3-	H₃CO-	Н	Н	Н	н .
XA479	СН3-	CI_OCH₃	Ħ	Н	Н	Н .
XA480	СН3-		Н	Н	Н	Н
XA481	СН3-	OCH₃ CI	Н	Н	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA482	СН3-	OCH <sub>3</sub>	н	н	Н	Н
XA483	СН3-	H₃CQ CI—	н	Н	н	Н
XA484	CH3-	H <sub>3</sub> CO	Н	н	Н	н
XA485	СН3-	H₃CO_CI	H .	Н	н	Н
XA486	СН3-	H₃CO-{\bigci}	н	Н	Н	Н
XA487	СН3-	CI H₃CO	Н	Н	н	н

No.	Ri .	R2	R3	R4	R5	R6
XA488	СН3-	CI, H <sub>3</sub> CO-{\bigcirc}-{\}	Н	Н	Н	Н
XA489	СН3-	F_CH <sub>3</sub>	Н	Н	н	Н
XA490	СН3-	' " '	Н	Н	Н	H
XA491	СН3-	CH <sub>3</sub> F	Н	Н	н	Н
XA492	СН3-	CH₃	н	Н	H ·	Н
XA493	СН3-	H <sub>3</sub> C F—\	н	н	н	Н
XA494	СН3	H <sub>3</sub> C F	H	н	н	н
XA495	СН3-	H₃C F	Н	Н	н	Н
XA496	СН3-	H <sub>3</sub> C-	Н	н	Н	Н
XA497	СН3-	H <sub>3</sub> C	Н	Н	н	Н
XA498	снз-	H₃C-⟨¯¯⟩	Н	Н	н	H
XA499	СН3-	Br_OCH₃	Н	Н	н	Н
XA500	СН3-	OCH <sub>3</sub> Br—⟨S	Н	н	H	н
XA501	СН3-	OCH₃ Br	Н	н	н	H
XA502	снз-	OCH <sub>3</sub> Br	H	н	н	н
XA5 <b>03</b>	СН3-	H₃CO Br—	Н	Н	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA504	СН3-	H₃CO Br	Н	Н		Н
XA <b>50</b> 5	снз-	H <sub>3</sub> CO_Br	Н	Н	н	Н
XA506	СН3-	Br H₃CO-⟨\$\frac{\frac}\fint}}}{\frac{\frac{\frac{\frac{\frac{\frac{\frac{\frac{\frac{\frac{\frac}\fin}}}}}{\frac{\frac{\frac{\frac{\frac{\frac{\frac{\frac{\frac{\frac{\frac{\frac}}}}}{\frac{\frac{\frac{\frac{\frac{\frac{\frac{\frac{\frac{\frac{\frac{\frac{\frac{\frac{\frac{\frac}\frac{\frac{\frac{\frac{\fin}}}}}}{\frac{\frac{\frac{\frac{\frac{\frac{\frac{\frac{\f	Н	Н	Н	Н
XA507	СН3-	H₃CO	Н	Н	Н	Н
XA508	СН3-	Br. H₃CO-⟨□}	Н	Н	Н	Н
XA509	снз–	H₃CO →	Н	н .	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA510	СН3-	OCH <sub>3</sub>	Н	н	Н	н
XA511	СН3-	_N-{_}-OCH3	Н	н	н	Н
XA512	СН3-	H₃CO ≻ —N	Н	Н	н	Н
XA513	СН3-	H <sub>3</sub> CO N-\\\	Н	Н	Н	Н
 XA514	СН3-	CN OCH3	Н	Н	Н	Н
XA515	СН3-	F—————————————————————————————————————	н	Н	Н	Н
XA516	СН3-	OCH <sub>3</sub> F	Н	н	н	Н
XA517	СН3-	H₃CO-{}} F	Н	Н	Н	Н
XA518	СН3-	OCH <sub>3</sub> F-\(\)_\;\} OCH <sub>3</sub>	Н	Н	Н	H
XA519	CH3-	OCH <sub>3</sub> H <sub>3</sub> CO-{_}-} OCH <sub>3</sub>	Н	н	н	Н
XA520	СН3-	CI—CI	Н	н	н	Н
XA521	СН3-	OCH <sub>3</sub> CI—∑_{ CI	Н	Н	Н	Н
XA522	СН3-	H₃CO-{_}} CI	H	н	н	Н
XA523	СН3-	OCH <sub>3</sub> CI—{_}-{ OCH <sub>3</sub>	Н	Н	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA524	СН3-	OCH <sub>3</sub> H <sub>3</sub> CO-\(\bigsim\)-\(\frac{1}{2}\)-\(\frac{1}{2}\) OCH <sub>3</sub>	Н	н	Н	Н
XA525	СН3-	OCH <sub>3</sub>	Н	Н	H	н
XA526	СН3-	H <sub>3</sub> CO	Н	Н	Н	Н
XA527	СН3-	н₃со-⟨_}-{_}-1	Н	н	Н	Н
XA528	снз-	OCH <sub>3</sub> \t	Н	н	н	Н
XA529	СН3-	H <sub>3</sub> CO ,	Н	Н	н	Н
XA530	СН3-	H₃CO-⟨ <u></u>	Н	H	Н	Н
XA531	СН3-	OCH <sub>3</sub>	н	: H	Н	н

No.	R1	R2	R3	R4	R5	R6
XA532	СН3-	H₃CQ	Н	н	Н	Н
XA533	ĊH3-	H₃CO-⟨Ş	Н	Н	Н	н
XA534	СН3	<b>∅</b> -	Н	Н	н	Н
XA535	СН3-	<u></u>	Н	Н	н	Н
XA536	СН3-	F-{_}-{_}-{_}-{_}-{_}-{_}-{_}-	Н	Н	Н	Н
XA537	СН3-		Н	Н	Н	Н
XA538	CH3-	<u></u>	Н	н	н	Н
XA539	CH3-	F-(-)-(-)	н .	н	Н	Н
XA540	СН3-		Н	н	Н	Н
XA541	СН3-		Н	Н	Н	Н
XA542	СН3-	F-()-()	Н	н .	Н	н .
XA543	СН3-		Н	H	Н	Н
XA544	СН3-	CC	Н	Н	Н	Н
XA545	СН3-	N H	Н	Н	Н	Н
XA546	СН3-	HN	Н	Н	Н	Н
XA547	СН3-	O - {	H	Н	H	Н

No.	R1	R2	R3	R4	R5	R6
XA548	СН3-	5	Н	Н	Н	Н
XA549	СН3-	(S)	Н	Н	H	Н
XA550	СН3-	\$₹	Н	н	н	Н
XA551	СН3-	HN	Н	н	Н	Н
XA552	СН3-	HN 55.	Н	Н	Н	Н
XA553	СН3-	HN.	Н	Н	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA554	снз-	/-N	н	Н	Н	н
XA555	СН3-		Н	н	н	Н
XA556	снз-	,	Н	Н .	Н	H
XA557	снз-	N-O	Н	н	н	н
XA558	снз-	s <sub>N</sub> ,	н	н	Н	H
XA559	СН3-	N= S,	Н	Н	Н	н
XA560	CH3-	N-S	н	Н	Н	H
XA561	CH3-	/=N O,∕∕γ	Н	Н	Н	н
XA562	снз–	C <sub>N</sub> ,	Н	н	Н	Н
XA563	снз-	N ,	Н	Н	Н	н
XA564	CH3-	EN S,∕∕,	н	Н	н	Н
XA565	CH3-	S 7	н	Н	Н	н
XA566	сңз-	N ,	Н	Н	Н	Н
XA567	СН3-	<b>C</b> N 1.	Н.	Н	Н	Н
XA568	СН3-	N	Н	Н	н	Н
XA569	снз-	N_}{	н	н .	Н	н
XA570	СН3-	CN ₹	н	Н	н	Н
XA571	СН3-	N_N	Н	Н	н	Н .
XA572	СН3-	N=>-{	Н	н	н	Н
XA573	СН3-	CTN .	Н	Н	Н	H
XA574	СН3-	C N	н	Н	Н	Н
XA575	снз-	H	Н	Н	Н	Н

No.	R1	R2 .	R3	R4	R5	R6
XA576	СН3-		н	Н	н	н
XA577	CH3-	, CIN	н	н	н	н
XA578	снз-	Çî <sub>zi</sub>	Н	Н	Н	Н
XA579	СН3-		Н	н	Н	н
XA580	снз-		H	н	н	H
XA581	снз-		н	Н	Н	н
XA582	снз-	, CO	Н	н	н	н
XA583	снз-	,CI)	н	н	н	Н
XA584	снз-		н	Н	Н	н .
XA585	снз-		н	н	н	н
XA586	СН3-		Н	Н	Н	Н
XA587	снз-		Н	Н	H	н
XA588	СН3-	T)	H	Н	Н	Н
XA589	СН3-	,(II)	Н	Н	Н	н
XA590	СН3-	Çs —	Н	Н	Н	Н
XA591	снз-		Н	Н	Н	н
XA592	СН3-	Th	Н	Н	Н	н
XA593	снз-		н	Н	Н	н
XA594	СН3-	, Ch	н	Н	Н	н
XA595 ·	снз-	(T)n	Н	Н	н	н
XA596	СН3-	CTN-1	Н	H	Н	Н
XA597	снз-	K N	Н	Н	н	Н

No.	R1	R2	R3	R4	R5	R6
XA598	снз-	なくな。 マ	Н	Н	Н	Н
XA599	СН3	O, T	Н	Н	Н	н
XA600	снз-	<b>≈</b> ~	H	Н	H	Н
XA601	СН3-	T N	Н	н	н	Н
XA602	СН3-	4. (I)	H	Н	Н	· H
XA603	снз-	Ţ <sup>N</sup>	Н	Н	Н	Н
XA604	снз-	(Ts <sup>+</sup> )	Н	н	Н	Н
XA605	СН3-	T <sub>N</sub>	H	н	H	Н
XA606 .	СН3	TO N	Н	Н	Н	н
XA607	СН3-	\L\S	н	Н	Н	Н
XA608	СН3-	Q s	н	Н	Н	H :
XA609	СН3-	Cir	Н	Н	Н	н <sup>:</sup>
XA610	снз-	Č(r	H	Н	Н	н <u>.</u>
XA611	СН3-	~CC%	н	н	н	н
XA612	снз-	,CT31	Н	н	Н	Н
XA613	СН3-	ÇT''	н	н	Н	Н
XA614	СН3-	S, N	Н	н .	Н	Н
XA615	снз-	Č ŠV	Н	Н	н	н
XA616	СН3-	TIN	Н	н	н	Н
XA617	снз-	,CTsN	Н	н	Н	Н
XA618	CH3-	ÇTşn	Н	н	н	Н
XA619	СН3-	Ţ,	Н	Н	н ,	H

No.	R1	R2	R3	R4	R5	R6
XA620	снз-	,CC),	Н	Н	н	Н
XA621	снз-	) )	Н	н	н	Н
XA622	снз-	<del>-</del>	Н	н	Н	Н
XA623	СН3-	СН3-	Н	СН3	Н	Н
XA624	снз-		H 	СН3	Н	H
XA625	снз-	<b>^</b> \	Н	СН3	Н	Н
XA626	снз-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	СН3	Н	Н
XA627	СН3-	<b>√</b> √\` .	Н	СН3	Н	н
XA628	СН3-	人、	Н	СН3	Н	Н
XA629	снз-	$\uparrow$	Н	СН3	н	н
XA630	снз-	<b>*</b>	Н	СН3	н	Н
XA631	СН3-	<b>^</b>	Н	снз	н	Н
XA632	снз-	<b>\</b> \\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	H	СН3	н	Н
XA633	снз-	Xx	Н	СНЗ	н	Н
XA634	СН3-	7	н	СНЗ	Н	Н
XA635	СН3	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	СНЗ	Н	Н
XA636	СН3-		Н	СНЗ	Н	lH
XA637	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	СНЗ	Н	н
XA638	СН3	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	СН3	н	Н
XA639	CH3-	n-C8H17-	Н	сн3	н	Н
XA640	СН3-	لمرمح	Н	СН3	Н	Н
XA641	СН3-		Н	СН3	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA642	снз-		Н	СНЗ	н	Н
XA643	снз-		Н	СН3	н	Н
XA644	СН3-	$\triangleright \dashv$	Н	<b>СН3</b>	н	Н
XA645	СН3-	$\Diamond$	Н	СНЗ	Н	Н
XA646	снз-		Н	СНЗ	н	H
XA647	снз-		Н	СН3	H	Н
XA648	СН3-	$\bigcirc$ $\dashv$	H	СНЗ	н	н
XA649	снз-		H	снз	н	Н
XA650	СН3-		H	снз	Н	н
XA651	снз-	<u></u>	Н	СНЗ	Н	Н
XA652	снз-	F	Н	снз	Н	Н
XA653	снз-	<b>F</b> {	н	снз	Н	н
XA654	СН3-	F-();	Н	СНЗ	Н	Н
XA655	СН3-		Н	снз	Н	Н
XA656	снз-	F	H	СНЗ	Н	Н
XA657	СН3-	CI	Н	СНЗ	Н	Н
XA658	снз-	CI	Н	СНЗ	Н	н
XA659	СН3-	C⊢ <b>∕</b>	Н	СНЗ	Н	Н
XA660	СН3-	C⊢ <b>◯</b> →	н	СНЗ	н	Н
XA661	СН3-	C⊢∕_>™{	Н	СНЗ	Н	н
XA662	СН3-	Br ∰_{	Н	СНЗ	н	Н
XA663	СН3-	Br	Н	СН3	н	Н

No.	R1	R2	R3	R4	R5	R6
XA664	CH3-	Br─∰	Н	снз	Н	н
XA665	CH3-	Br—{}	н	СН3	Н	н
XA666	снз-	Br-{	Н	СНЗ	н :	н
XA667	CH3-	<u></u>	Н	СНЗ	Н	Н
XA668	СН3-		Н	СНЗ	н	Н
XA669	CH3-		Н	СНЗ	н	н
XA670	СН3-	CH₃ △	Н	СНЗ	Н	Н
XA671	СН3-	H <sub>3</sub> C	Н	СН3	H	н
XA672	СН3-	H <sub>3</sub> C-{}	н	СН3	Н	Н
XA673	CH3-	. C <sub>2</sub> H <sub>5</sub> —{	Н	СН3	Н	Н
XA674	СН3-	n-C <sub>3</sub> H <sub>7</sub> {}-{	Н	СНЗ	Н	Н
XA675	CH3-	n-C <sub>4</sub> H <sub>9</sub> {}-{	Н	СН3	Н	Н
XA676	CH3- =	OH ———	Н	СНЗ	Н	н
XA677	CH3-	HO	Н	СНЗ	Н	Н
XA678	СН3-	HO-{\bigcirc}{	Н	СНЗ	Н	Н
XA679	СН3-	OCH <sub>3</sub>	н	СНЗ	Н	Н
XA680	СН3-	H₃CO —}	Н	СН3	Н	Н
XA681	СН3-	H <sub>3</sub> CO-{	Н	СН3	н	Н
XA682	СН3-	H <sub>3</sub> CO-{}	Н	СНЗ	Н	Н
XA683	CH3÷	H <sub>3</sub> CO-{}\\{	Н	СН3	н	Н
XA684	СН3-	OC <sub>2</sub> H <sub>5</sub>	Н	СН3	Н	Н
XA685	СН3-	C <sub>2</sub> H <sub>5</sub> O	Н	СН3	Н	н

No.	R1	R2	R3	R4	R5	R6
XA686	CH3-	C <sub>2</sub> H <sub>5</sub> O-{	H	СНЗ	Н	Н
XA687	CH3-	n-C <sub>3</sub> H <sub>7</sub> O-	н	снз	н	Н
XA688	СН3-	n-C <sub>4</sub> H <sub>9</sub> O-	Н	снз	Н	Н
XA689	снз-	NO <sub>2</sub>	Н	снз	Н	Н
XA690	СН3-	O <sub>2</sub> N	Н	снз	Н	Н
XA691	снз-	$O_2N-$	Н	снз	Н	Н
XA692	снз-	CN C	Н	СНЗ	Н	Н
XA693	снз-	NC	Н	СНЗ	Н	Н
XA694	снз–	NC-{}	Н	снз	Н	Н
XA695	СН3-	CF₃	Н	снз	Н	Н
XA696	снз–	F <sub>3</sub> C ——;	Н	снз	H :	Н
XA697	СН3-	F <sub>3</sub> C-\_\	Н	снз	н :	Н
XA698	снз-	COOH	Н	снз	н .	Н
XA699	СН3-	HOOC	н	снз	Н	Н
XA700	СН3	HOOC-{\rightarrow}	Н	СНЗ	н	Н
XA701	СН3-	CO₂Me	Н	СНЗ	н	Н
XA702	СН3	MeO <sub>2</sub> C	Н	СНЗ	н	Н
XA703	СН3-	MeO <sub>2</sub> C-	H .	СН3	н	Н
XA704	СН3-	CO <sub>2</sub> Et	Н	СНЗ	н	н
XA705	СН3-	EtO <sub>2</sub> C	Н	СНЗ	н	Н
XA706	СН3-	EtO <sub>2</sub> C-{}	Н	СНЗ	Н	н
XA707	СН3-	SMe	Н	СНЗ	н	н

No.	R1	R2	R3	R4	R5	R6
XA708	снз-	MeS	Н	СНЗ	Н	Н
XA709	СН3-	MeS-{_}	Н	снз	Н	Н
XA710	снз-	SO₂Me	н	снз	Н	Н
XA711	СН3-	MeO <sub>2</sub> S —∤	н	снз	н	Н
XA712	СН3-	MeO <sub>2</sub> S-{}	н	снз	Н	Н
XA713	СН3-	NH <sub>2</sub>	Н	СНЗ	н	Н
XA714	CH3-	H <sub>2</sub> N	Н	СНЗ	н	Н
XA715	CH3-	H <sub>2</sub> N-√	Н	СНЗ	Н	Н
XA716	CH3	NMe <sub>2</sub>	Н	СН3	Н	н
XA717	СН3-	Me₂N	Н	CH3	Н	Н
XA718	снз-	Me <sub>2</sub> N-√	Н	СН3	Н	н <u>:</u>
XA719	СН3-	Cn-	Н	СН3	Н	H
XA720	СН3~	CN-Q	Н	СНЗ	Н	Н :
XA721	СН3-	_N-<_}-;	Н	СНЗ	Н	Н
XA722	снз-		H	СНЗ	Н	н
XA723	СН3-	(`n-⟨\)	н	СНЗ	Н	Н
XA724	снз	_N- <u>_</u> 1	Н	СН3	Н	Н
XA725	СН3-	O_N-{_}	Н	СН3	Н	Н
XA726	СН3-	ON-Q}	Н	СНЗ	Н	Н
XA727	СН3-	O_N-{_}-}	Н	СНЗ	Н	Н
XA728	СН3-	H <sub>3</sub> CN_N_	Н	СН3	н	Н
XA729	СН3-	H <sub>3</sub> CN_N-{_}	Н	СНЗ	Н	Н

No.	RI	R2	R3	R4	R5	R6
XA730	СН3~	H₃CN_N-{_}-{	Н	СН3	Н	Н
XA731	СН3-	H₃C_CH₃ →	H	СНЗ	Н	H
XA732	СН3-	CH <sub>3</sub>	H	СНЗ	Н	H
XA733	СН3-	CH <sub>3</sub> H <sub>3</sub> C	H	СНЗ	Н	Н
XA734	СН3-	CH₃ CH₃	Н	СНЗ	н	Н
XA735	СН3-	H <sub>3</sub> C H <sub>3</sub> C-\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	CH3	Н	Н
XA736	снз-	H <sub>3</sub> C H <sub>3</sub> C	н	СНЗ	н	Н
XA737	СН3-	F_F	Н	СН3	н	Н
XA738	СН3-	F——F	Н	СНЗ	Н	Н
XA739	СН3-	F F	Н	СНЗ	Н	Н
XA740	СН3-	F F	Н	СНЗ	н	Н
XA741	СН3-	F—————————————————————————————————————	Н	СНЗ	Н	Н
XA742	снз-	F F	Н	СНЗ	Н	Н
XA743	CH3-	CI_CI	Н	СНЗ	н	Н
XA744	СН3-	CI—CI	Н	сн <b>з</b>	н	Н

No.	R1	R2	R3	R4	R5	150
XA745	СН3	CI	Н	СНЗ	Н	R6 H
XA746	СН3-	CI	Н	СНЗ	Н	Н
XA747	СН3-	CI———	Н	СНЗ	Н	Н
XA748	СН3-	CI	Н	СНЗ	Н	н
XA749	СН3-	H <sub>3</sub> CO_OCH <sub>3</sub>	Н	СНЗ	Н	Н
XA750	СН3-		Н	СНЗ	Н	н
XA751	СН3-	OCH <sub>3</sub> → H <sub>3</sub> CO	Н	СН3	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA752	СН3-	OCH <sub>3</sub> OCH <sub>3</sub>	н	снз	н	Н
XA753	СН3-	H <sub>3</sub> CO	Н	снз	н	H
XA754	СН3	H₃CO H₃CO	Н	снз	н	Н
XA755	СН3-	F_OCH <sub>3</sub>	Н	снз	Н	н
XA756	СН3-	OCH₃ F—◯→	Н	снз	н	Н
XA757	СН3-	OCH <sub>3</sub>	Н	снз	н	Н
XA758	СН3-	OCH <sub>3</sub>	Н	СН3	Н	Н
XA759	СН3-	OCH <sub>3</sub>	Н	СН3	н	Н
XA760	СН3-	OCH <sub>3</sub> → F	Н	CH3 ·	Н	Н
XA761	СН3-	H₃CO F————————————————————————————————————	H	CH3	Н	Н
XA762	СН3-	H₃CO F	Н	СНЗ	н	Н
XA763	СН3-	H₃CO_F	Н	СН3	н	Н
XA764	СН3-	H₃CO-⟨=⟩F	H H	CH3	Н	н
XA765	СН3-	H₃CO F	Н	СНЗ	н	н
XA766	CH3-	H <sub>3</sub> CO-	н	СНЗ	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA767	СН3-	CI_OCH <sub>3</sub>	Н	СНЗ	н	Н
XA768	СН3-	OCH₃ CI—	Н	СНЗ	Н	Н
XA769	СН3-	OCH <sub>3</sub>	н	СНЗ	Н	Н
XA770	СН3-	OCH₃ CI	Н	СН3	Н	Н
XA771	СН3-	H <sub>3</sub> CO CI─────────	Н	СНЗ	Н	Н
XA772	СН3-	H₃CO CI	Н	СН3	Н	Н
XA773	СН3	H₃CO_CI	Н	СН3	H : '	Н

No.	R1	R2	R3	R4	R5	R6
XA774	СН3-	H³CO-{	н	сн3	Н	Н
XA775	СН3-	H³CO :	Н	СН3	н	Н
XA776	СН3-		н	СНЗ	Н	Н
XA777	CH3-	F_CH₃	Н	снз	Н.	
XA778	СН3-	CH <sub>3</sub> F—√}	Н	СН3	Н	Н
XA779	СН3-	CH₃	Н	СНЗ	Н	Н
XA780	CH3-	CH₃	н	СН3	н	Н
XA781	CH3	H <sub>3</sub> C F—{}	Н	СНЗ	н	Н
XA782	CH3-	H₃C ——{ F	Н	СНЗ	Н	н
XA783	СН3-	H <sub>3</sub> C F	Н	СН3	Н	Н
XA784	СН3-	H <sub>3</sub> C-⟨=⟩	Н	СНЗ	Н	Н
XA785	СН3-	H <sub>3</sub> C	H	СНЗ	Н	Н
XA786	СН3-	H <sub>3</sub> C-⟨S	Н	снз	Н	Н
XA787	СН3-	Br_OCH₃	Н	СН3	н	н
XA788	СН3-	OCH <sub>3</sub>	Н	снз .	н	Н

No.	RI	R2	R3	R4	R5	R6
XA789	СН3-	Br	H	СН3	Н	Н
XA790	CH3-	OCH₃ Br	Н	снз	Н	Н
XA791	СН3-	H₃CO Br—⟨¯¯)—;	Н	СНЗ	Н	н
XA792	СН3-	H <sub>3</sub> CO Br	Н	СНЗ	Н	Н
XA793	CH3-	H <sub>3</sub> CO_Br	Н	СН3	н	Н
XA794	СН3-	Br H₃CO-√→	Н	СНЗ	Н	Н
XA795	СН3-	H <sub>3</sub> CO	Н .	СНЗ	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA796	СН3-	Br,	Н	снз	н	Н
XA797	СН3-	H <sub>3</sub> CO >	Н	СНЗ	Н	Н
XA798	СН3	OCH <sub>3</sub>	Н	снз	н	Н
XA799	СН3-	N-C->OCH3	Н	снз	н	H .
XA800	СН3-	H <sub>3</sub> CO	Н	снз	Н	Н
XA801	снз–		Н	сн3	Н	Н
XA802	СН3-	OCH <sub>3</sub>	Н	сн3	Н	Н
XA803	снз-	F-(	: H	СНЗ	н	Н
XA804	СН3-	OCH <sub>3</sub> F-⟨_}-; F	H	СНЗ	Н	H
XA805	СН3-	H₃CO-{_} F	Н	СНЗ	Н	Н
XA806	СН3-	OCH <sub>3</sub> F-<->	H	СНЗ	Н	Н
XA807	СН3-	OCH <sub>3</sub> H <sub>3</sub> CO-{}} OCH <sub>3</sub>	Н	СНЗ	н	Н
XA808	снз-	CI—CI	Н	СНЗ	H.	Н
XA809	СН3-	OCH <sub>3</sub> CI—{_}} CI	Н	СНЗ	н	H
XA810	СН3-	CI H <sub>3</sub> CO-{_}{} CI	Н	снз	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA811	СН3-	, OCH³	н	СН3	Н	Н
XA812	CH3-	OCH3	H	СН3	Н	н
XA813	CH3-	OCH <sub>3</sub>	Н	СН3	Н	Н
XA814	CH3-	H <sub>3</sub> CO	Н	СН3	н	Н
XA815	СН3-	H <sub>3</sub> CO-{_}-{_}-{_}-{_}-{_}-{_}-{_}-{_}-{_}-{_}	Н	СНЗ	н	Н
XA816	СН3-	OCH <sub>3</sub> \\	Н	СНЗ	Н	Н
XA817	CH3-	H <sub>3</sub> CO	Н	СН3 :	н	Н

No.	R1	R2	R3	R4	R5	R6
XA818	СН3-	н₃со-⟨∑-⟨	Н	СН3	н .	Н
XA819	CH3-	OCH <sub>3</sub>	Н	СНЗ	н	Н
XA820	СН3-	H <sub>3</sub> CO	Н	снз	н	Н
XA821	СН3-	H <sub>3</sub> CO-{\\	Н	снз	H	Н
XA822	СН3-	<u></u>	Н	СНЗ	Н	Н
XA823	СН3-	F	Н	СНЗ	H	Н
XA824	СН3-	F-{}-{}-{}-{}	H	СНЗ	Н	Н
XA825	СН3-	F	Н	СНЗ	н	Н
XA826	СН3-		H	СНЗ	н	Н
XA827	СН3-	F-()-() <sup>1</sup>	Н	СНЗ	н	н
XA828	СН3-		Н	СН3	н	Н
XA829	СН3-		Н	СНЗ	Н	н
XA830	СН3-	F-()	Н ,	СНЗ	Н	Н
XA831	СН3-		Н	СНЗ	н	Н
XA832	СН3-	CC '	Н	СНЗ	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA833	СН3-	(CYN+)	Н	СН3	Н	Н
XA834	СН3-		Н	снз	Н	Н
XA835	СН3-	FZ C	Н	СНЗ	Н	Н
XA836	СН3		Н	снз	Н	Н
XA837	СН3-	, NH	Н	снз	Н .	Н
XA838	СН3-	Ç, ZH	Н	снз	Н	Н
XA839	СН3-		Н	СНЗ	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA840	СН3-		Н.	СН3	Н	Н
XA841	снз-	Č;	Н	СН3	Н	н
XA842	СН3~	'CI'	Н	CH3	Н	н ,
XA843	снз-	,CT	Н	СНЗ	Н	н
XA844	СН3	Ĉ.	Н	СН3	Н	Н
XA845	СН3-		н	СН3	Н	Н
XA846	СН3-	O S	Н	СНЗ	Н	H-
XA847	СН3-		Н	СН3	н	Н
XA848	CH3-	TTS	Н	СН3	Н	н
XA849	СН3	,CI\$	Н	СНЗ	Н .	Н
XA850	снз-	QT\$	н	СНЗ	н	н
XA851	СН3-	OLY ST	Н	СНЗ	Н	H
XA852	CH3-	] 	н	  СНЗ -	H	Н
XA853	СН3-		Н	СН3	Н	Н
XA854	снз–	, LTh	н .	СНЗ	Н	Н
XA855	СН3-	Q Z	н	СН3	Н	Н
XA856	СН3-	CT X X	Н	СНЗ	Н	Н
XA857	СН3-	rz çz	Н	сн3	Н	Н
XA858	СН3-	T N N N N N N N N N N N N N N N N N N N	Н	СН3	Н	Н
XA859	СН3-	(I°)→	н	сн3	Н	Н
XA860	СН3-	N N	Н	СН3	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA861	СН3-	r TN	Н	СНЗ	н	Н
XA862	CH3-	i CIN	Н	СН3	н	Н
XA863	СН3-		H	снз	н	Н
XA864	снз-	O's	Н	снз	Н	н
XA865	СН3-	Ţ, N	Н	СНЗ	Н	H
XA866	СН3-	/ CI's	Н	СН3	н	Н
XA867	CH3-	i CI's	Н	СН3	н	Н
XA868	СН3-	T s	н	СН3	н	Н
XA869	CH3	CT,	H	СН3	Н	н
XA870	СН3-	ČC,	н	снз	Н	H
XA871	СН3-	TON.	н	снз	Н	Н
XA872	СН3-	"CTO"	H	сн3	Н	Н
XA873	СН3-	Ĩ,	н -	СН3	Н	Н
XA874	СН3-	CT, N	Н	СН3	н	Н
XA875	CH3-	T <sub>s</sub> n	Н	СН3	Н	Н
XA876	снз-	. CI'N	Н	СН3	н	Н
XA877	СН3-	(CI'SN	Н	СНЗ	Н	Н
XA878	СН3-	Ţsn	н	СН3	Н	Н
XA879	СН3-	Ŷ.	Н	СН3	Н	Н
XA880	СН3-	,CC	Н	СН3	Н	Н
XA881	CH3-	· (C);	Н	СНЗ	Н	Н
XA882	СН3-		Н	СНЗ	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA883	снз-	СН3-	H		Н	H
XA884	СН3-	СН3СН2-	Н	Q.	Н	Н
XA885	снз-	<b>^</b> \	Н		H <sup>.</sup>	н
XA886	снз-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н		Н	Н
XA887	СН3-	<b>\\\</b>	H	Q	Н	H
XA888	снз-	人、	Н		Н	Н
XA889	снз-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н		н	н
XA890	снз-	7'	Н	Q	н	н
XA891	снз-	<b>^</b>	Н	Q	Н	Н
XA892	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	Or	H .	Н
XA893	СН3-	Xr	Н	Q.	н	н
XA894	снз-	7	Н	Q.	Н	Н
XA895	снз-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н		Н	Н
XA896	СН3-	L~r	Н	Q.	Н	Н
XA897	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	Q.	Н	Н
XA898	СН3-		Н	Qr ·	н	Н
XA899	СН3-	n-C8H17-	н .	Q	Н	Н
XA900	снз-		н	Q.	н	Н
XA901	СН3-	Or .	н		Н	н
XA902	снз-		н		Н	н
XA903	СН3-		Н	Qr	н .	Н
XA904	СН3-		Н	Q.	Н	н

No.	R1	R2	R3	R4	R5	R6
XA905	СН3-	$\Diamond$ - $\downarrow$	Н	Q	н	н
XA906	СН3-		Н	Qu	Н	Н
XA907	СН3:		Н	Qu	Н	н
XA908	CH3-	$\bigcirc$	н	Qu	н	Н
XA909	CH3-		н		н	H
XA910	CH3		Н		Н	н
XA911	СН3	<b>⊘</b> m{	н		Н	Н
XA912	CH3-	F →	Н		Н	Н
XA913	CH3-	F{	Н		н	Н
XA914	СН3-	F-(	Н		Н	Н
XA915	СН3-	F-(-)(	Н		н	н
XA916	снз-	F-{_}\{	Н		н	Н
XA917	снз-	CI →	Н		н	н
XA918	снз-	CI →	Н		н	н
XA919	СН3-	C⊢ <b>(</b> )—∤	Н		н	н
XA920	снз–	C⊢ <b>(</b> )~{	Н		н	Н
XA921	СН3-	CI—(	H		Н	Н
XA922	снз–	Br ∰–ţ	Н		Н	Н
XA923	СН3-	Br{	Н		н	Н
XA924	СН3-	Br—{}	Н	Q	н	Н
XA925	СН3-	Br—{}	Н	Q	н	Н
XA926	СН3-	Br—{	Н	Q	н	Н

No.	R1 -	R2	R3	R4	R5	R6
XA927	снз-		н		н	Н
XA928	СН3-	<u></u>	Н	Q	Н	н
XA929	СН3-	<b>├</b> -{}-{	Н	Q	н .	Н
XA930	СН3-	CH₃	H	Q.	н	Н
XA931	снз-	H <sub>3</sub> C	Н	Qu	Н	H H
XA932	снз-	H <sub>3</sub> C-{	Н	Qu	Н	н
XA933	СН3-	C <sub>2</sub> H <sub>5</sub> -{	Н	Qu	Н	Н
XA934	СН3-	n-C <sub>3</sub> H <sub>7</sub> {}-{	Н	Qu	Н	н
XA935	СН3-	n-C <sub>4</sub> H <sub>9</sub> -{}-{	Н	Qu	Н	н
XA936	СН3-	OH OH	Н	Q	Н	н
XA937	СН3-	HO	Н	Q	Н	Н
XA938	CH3-	HO-{\}_{\}	н	Q	Н	Н
XA939	CH3-	OCH <sub>3</sub>	Н	Q	Н	н
XA940	СН3-	H₃CO —∤	Н	Q	H	н
XA941	СН3-	H₃CO-{_}}-{	Н	Q	Н	н
XA942	CH3-	H <sub>3</sub> CO-{_}-{	Н	Q	Н	Н
XA943	СН3-	H <sub>3</sub> CO-	Н	Qu	Н	н
XA944	СН3-	OC <sub>2</sub> H <sub>5</sub>	Н	Q	Н	Н
XA945	СН3-	C <sub>2</sub> H <sub>5</sub> O	Н	Q	Н	Н
XA946	СН3-	C <sub>2</sub> H <sub>5</sub> O-{\bigcite{\chi}-{\chi}	H		Н	Н
XA947	СН3-	n-C <sub>3</sub> H <sub>7</sub> O-({}	н		Н	Н
XA948	СН3-	n-C <sub>4</sub> H <sub>9</sub> O-	Н		Н	Н

No.	R1	R2	R3	R4	R5	R6
XA949	СН3-	NO <sub>2</sub>	н	Qu	Н	н
XA950	СН3-	O <sub>2</sub> N	Н	Qu	н	н
XA951	СН3-	02N-{}	Н	Qu	н	н :
XA952	СН3-	CN ⇒	н	Q	н	Н
XA953	снз-	NC 	н		н	Н
XA954	СН3-	NC-{}	н	Q	Н	н
XA <b>9</b> 55	СН3-	CF <sub>3</sub>	н	Q	н	н
XA956	СН3-	F <sub>3</sub> C 	H		н	Н
XA957	СН3-	F <sub>3</sub> C-{}-{	Н		н	н
XA958	СН3-	COOH	Н		Н	н
XA959	СН3-	HOOC	Н		н	н
XA960	снз-	HOOC-{_}-{	Н		н	Н
XA961	СН3-	CO <sub>2</sub> Me	Н		н	Н
XA962	СН3-	MeO <sub>2</sub> C —}	н		н	н
XA963	СН3-	MeO <sub>2</sub> C-{{}	Н		н .	н
XA964	снз-	CO <sub>2</sub> Et	н .		н	н
XA965	CH3-	EtO <sub>2</sub> C	Н		Н	н
XA966	снз-	EtO <sub>2</sub> C-{}	Н		н	Н
XA967	СН3-	SMe	Н		Н	Н
XA968	CH3-	MeS —∤	Н		н	Н
XA969	СН3-	MeS-{}-{	Н		Н	Н
XA970	СН3-	SO₂Me	Н		Н	Н

No.	R1	R2	R3	R4	R5	R6
XA971	СН3-	MeO <sub>2</sub> S	н		Н	Н
XA972	CH3-	MeO <sub>2</sub> S-{}-{	Н		Н	H
XA973	СН3-	NH <sub>2</sub>	Н		Н	н
XA974	СН3	H <sub>2</sub> N	Н	Q	н	н
XA975	CH3-	$H_2N$	Н		Н	Н
XA976	СН3-	NMe <sub>2</sub>	Н	Q.,	Н	н
XA977	снз-	Me <sub>2</sub> N	Н		н	Н
XA978	СН3-	Me <sub>2</sub> N-	Н	Qr	Н	Н
XA979	СН3-	Cn-	Н	Q	н	н
XA980	СН3-	Cn-C	Н	Or	н .	н
XA981	СН3-		Н	Qr_	Н	H
XA982	снз-	\(\)\_\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Q	Н	н
XA983	СН3-	N-Q	Н	Q	Н	н
XA984	СН3-		н	Qr	Н	н
XA985	снз-	O_N-{_>	Н	Or	Н	н
XA986	снз-	○N-(	Н		Н	. Н
XA987	СН3-	0_N-{_}-}	Н		н	н
XA988	СН3-	H₃CN_N-⟨S	н		н	Н
XA989	СН3-	H <sub>3</sub> CN N-	н		н	Н
XA990	СН3-	H <sub>3</sub> CN N-{_}{	Н	Ox.	Н	н
XA991	CH3-	H <sub>3</sub> C CH <sub>3</sub>	Н		Н	Н
XA992	СН3-	CH <sub>3</sub> H <sub>3</sub> C-⟨}-{	н	Ox	н	н

No.	R1	R2	R3	R4	R5	R6
XA993	СН3-	CH <sub>3</sub> → H <sub>3</sub> C	Н	Q	H	Н
XA994	СН3-	CH₃ CH₃	н	Q	H	Н
XA995	СН3-	H <sub>3</sub> C H <sub>3</sub> C-{}	Н	Qu	н	Н
XA996	СН3-	H₃C H₃C	Н	Q	Н	Н
XA997	СН3-	F F	Н	Q.	н	Н
XA998	СН3-	F—————————————————————————————————————	Н	Q	н	Н
X <b>A</b> 999	СН3-	F F	Н	Q	Н	Н
XA1000	СН3-	F F	Н		Н	Н
XA1001	СН3-	F—	Н		Н	Н
XA1002	СН3-	F F	Н	Qr	н	Н
XA1003	СН3-	CICI	Н		Н	H
XA1004	СН3-	CI—(	Н	Q	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA1005	СН3-	a √ a	н		н	H
XA1006	СН3-	ā	H		Н	Н
XA1007	СН3-	CI CI	н	Q	Н	н
XA1008	СН3-	CI CI	н		Н	Н
XA1009	СН3-	H₃CO_OCH₃	н	Q	н	Н
XA1010	СН3-	OCH₃ H₃CO-{\bigci}{\}	Н	Q	Н	Н
XA1011	СН3-	OCH₃ → H₃CO	Н	Q	Н	н
XA1012	СН3-	OCH <sub>3</sub> OCH <sub>3</sub>	Н		Н	Н
XA1013	СН3-	H <sub>3</sub> CO H <sub>3</sub> CO	Н	Qr	н	Н
XA1014	СН3-	H <sub>3</sub> CO → H <sub>3</sub> CO	Н	Q	Н	Н

No.	R1	R2	R3	R4	R5	R6
	СН3-	F_OCH <sub>3</sub>	Н	Q	Н	Н
XA1016	снз-	OCH <sub>3</sub> F—√	Н		H	Н
XA1017	СН3-	OCH <sub>3</sub>	Н		Н	Н
XA1018	снз-	OCH <sub>3</sub>	н		н	Н
XA1019	СН3-	OCH <sub>3</sub> F	H		Н	Н
XA1020	СН3- <sub>:</sub>	OCH <sub>3</sub> F	Н		Н	Н
XA1021	СН3-	H₃CO F—	Н		Н	Н
XA1022	СН3-	H₃CO F	Н		Н	Н
XA1023	СН3-	H <sub>3</sub> CO_F	Н		Н	Н
XA1024	СН3-	H₃CO-⟨¯)→	Н		Н	н
XA1025	СН3-	H <sub>3</sub> CO	Н		Н	Н
XA1026	СН3-	H <sub>3</sub> CO-⟨¯¯⟩∤	н		Н	Н

No.	R1	R2 .	R3	In4		
XA1027		CI OCH₃	Н	R4	R5 H	H
XA1028	СН3-	OCH <sub>3</sub>	Н		Н	Н
XA1029	СН3-	OCH <sub>3</sub>	H	Q	H	Н
XA1030	СН3-	OCH <sub>3</sub> CI	Н	Q	н	н
XA1031	СН3-	H <sub>3</sub> CO CI—⟨¯¯)—;	н	Q	н	н
XA1032	СН3-	H <sub>3</sub> CO	Н	Q	H ::	Н
XA1033	СН3-	H₃CO_CI	Н	Q	. H	Н
XA1034	СН3-	H <sub>3</sub> CO-CI	Н	Q	Н	Н
XA1035	СН3-	CI → H₃CO	Н		Н	Н
XA1036	СН3-	CI H <sub>3</sub> CO-	H	Q	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA1037	снз-	F_CH <sub>3</sub>	Н	Q	н	H .
XA1038	СН3-	CH <sub>3</sub> F-{-}-}	Н	Q	н	Н
XA1039	СН3-	CH₃	н	Q	н	Н
XA1040	CH3-	CH₃	Н	Q	н	Н
XA1041	CH3-	H <sub>3</sub> C F—\_}_{}	Н	Q.	н	Н
XA1042	СН3-	H <sub>3</sub> C F	Н	Q	н	H ;
XA1043	CH3-	H <sub>3</sub> CF	Н	Q	Н	Н
XA1044	CH3~	H <sub>3</sub> C-⟨∑∕-}	н	Q	н	Н
XA1045	СН3-	H <sub>3</sub> C	н	Q	н	Н
XA1046	СН3-	H <sub>3</sub> C	Н	Q	н	Н
XA1047	СН3-	Br OCH₃	Н	Q	н	H
XA1048	СН3-	OCH₃ Br—⟨¯¯	Н	Qr	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA1049	снз-	OCH <sub>3</sub> Br	Н		H .	Н
XA1050	СН3-	OCH <sub>3</sub>	н	Q	Н	н
XA1051	СН3-	H₃CO Br—√Ž	Н		н	Н
XA1052	СН3-	H <sub>3</sub> CO Br	Н	Q	Н	Н
XA1053	СН3-	H₃CO_Br	Н		Н	н
XA1054	СН3-		Н	Q	Н	Н
XA1055	СН3-	Br → H <sub>3</sub> CO	H ,		Н	Н
XA1056	СН3-	Br. H <sub>3</sub> CO-	Н		Н	Н
XA1057	СН3-	H <sub>3</sub> CO >	Н	Q	Н	Н
XA1058	СН3-	OCH₃ ○N-(□)	Н		н	н

No.	R1	R2	R3	R4	R5	R6
XA1059	CH3-				H	н
XA1060	СН3-	H <sub>3</sub> CO >	Н		Н	Н
XA1061	СН3-		Н		H	Н
XA1062	СН3-	OCH <sub>3</sub>	Н	Q	Н	Н
XA1063	СН3-	F-{-}} F	Н	Qu	H	Н
XA1064	СН3-	OCH <sub>3</sub> F—⟨_}-; F	Н	Q	Н	Н
XA1065	СН3-	H₃CO-{_}-{} F	Н		Н	н
XA1066	СН3-	OCH <sub>3</sub> F-\(\)\_\} OCH <sub>3</sub>	н		Н .	Н
XA1067	СН3-	H <sub>3</sub> CO-{>-{ OCH <sub>3</sub>	Н		H	Н
XA1068	СН3-	CI CI—⟨}} CI	Н		Н	Н
XA1069	СН3-	OCH <sub>3</sub> CI—{ CI	Н	Q	Н	н
XA1070	СН3-	CI H₃CO-⟨}} CI	Н		Н	Н

No.	R1	R2	R3	R4	R5	R6
	СН3-	OCH <sub>3</sub> CI—()-} OCH <sub>3</sub>	Н		Н	Н
XA1072	сн3-	H <sub>3</sub> CO-(_)-; OCH <sub>3</sub>	Н	Qu	н	Н
XA1073	CH3-	OCH <sub>3</sub>	Н	Qr	н	Н
XA1074	СН3-	H <sub>3</sub> CO	Н	Q	н	Н
XA1075	СН3-	H₃CO- <b>⟨_</b> }-{_}-{;	Н		н	Н
XA1076	СН3-	OCH <sub>3</sub> ,\	н		Н	Н
XA1077	CH3-	H <sub>3</sub> CO	н		Н	Н
XA1078	CH3-	н₃со-⟨∑-⟨∑	Н	Qu	н	н
XA1079	CH3-	OCH <sub>3</sub>	Н	Q	Н	Н
XA1080	CH3-	H <sub>3</sub> CO	Н	Q	H	н

No.	R1	R2	R3	R4	R5	R6
XA1081	снз-	H₃CO-⟨ <u></u>	Н	Qu	Н	н
XA1082	СН3-		Н	Qu	н	Н
XA1083	СН3-		Н	Qu	Н	н
XA1084	СН3-	F-{_}-{_}-}	Н	Qr	Н	Н
XA1085	снз~	<u></u>	Н	Qr	Н	H
XA1086	CH3-		Н	Qu	Н	Н
XA1087	СН3-		Н	Qu	Н	Н
XA1088	СН3-	Q-\(\delta\)	Н		Н	Н
XA1089	СН3	D-O	н	Q	н	Н
XA1090	CH3-		н	Q	Н	Н
XA1091	СН3-		н	Qx	н	Н
XA1092	СН3-	CCT'	н	Qx	н	н
XA1093	CH3-		Н	Ox	н -	Н
XA1094	CH3-		Н	Q	Н	н
XA1095	СН3-	LZ Z	Н		Н	Н
XA1096	CH3-	TON	Н		Н	н
XA1097	CH3-	,Cr	н		Н	н
XA1098	СН3-	Ţì	Н	Qi	Н	Н
XA1099	СН3-		Н		Н	Н
XA1100	СН3-		Н		Н	Н
XA1101	СН3-		Н		Н .	Н
XA1102	CH3-	103	Н		Н	Н

No.	R1	R2	R3	R4	R5	R6
XA1103	СН3-	,CC),	Н		н	н
XA1104	СН3-	Ţ.	Н		н	Н
XA1105	снз-	();	Н		н	н
XA1106	СН3-		Н		Н	Н
XA1107	СН3-	Ü;	Н		Н .	H H
XA1108	СН3-	'CI's	Н	Q	H	Н
XA1109	СН3-	\(\infty\)	Н	Qr_	н	н
XA1110	СН3-	QT\$	Н	Qu	Н	н
XA1111	СН3-	O'N N	H		Н	H
XA1112	СН3-		н		H	Н
XA1113	снз-	Cir	Н	Qu	Н	н
XA1114	СН3-	N N	Н	Qi	н	H
XA1115	СН3-	CTP.	Н	Qui	н	Н
XA1116	снз-	OTN →	Н	Q	н	Н
XA1117	СН3-	IZ, Z	Н		H	Н
XA1118	снз-	'CIN	Н		Н	н
XA1119	СН3-	(I)	Н		н	Н
XA1120	СН3-	Ĩ,	Н		н	Н
XA1121	СН3-	', OLN	Н		н	н
XA1122	СН3-	y CO	Н		н	Н
XA1123	СН3-	Ţ,	Н		н	Н
XA1124	СН3-	I S	Н		Н	Н

No.	R1	R2	R3	R4	R5	R6
XA1125	СН3-	Ţ <sub>N</sub>	Н	Qu	н	Н
XA1126	СН3-	'CI's	н	Qu	н	Н
XA1127	СН3-	, CI <sup>N</sup>	н :	Qu	н	Н
XA1128	снз-	Ç <sup>N</sup> s	Н	Qu	Н	н
XA1129	СН3-		Н	Qu	Н	Н
XA1130	снз-	Ĩ,	Н	Qu	Н	Н
XA1131	снз-		н	Qu	н	Н
XA1132	снз-	,CT	Н	Q.,	н	Н
XA1133	снз-	Ĉ.	Н		н	н
XA1134	СН3-	(),N	Н		н	н
XA1135	снз-	T's N	Н		Н	Н
XA1136	СН3-	TIN	н		Н	Н
XA1137	СН3-	'(CLSN	Н		Н	н
XA1138	CH3-	Ţŝ <sup>n</sup>	Н		Н	н
XA1139	СН3-	Č.	Н		Н	Н
XA1140	снз-	,CT	Н		Н	н
XA1141	онз-	(C)	Н		Н	Н
XA1142	CH3-		Н		Н	н
XA1143	СН3-	сн3-	Н	O A	Н	н
XA1144	СН3-	онзон2-	Н	<u></u>	Н	Н
XA1145	СН3-	<b>∕</b> ~``\	Н	Ŷ,	H	Н
XA1146	СН3	1	Н	Ů,	н	Н

No.	R1	R2	R3	R4	R5	R6
XA1147	СН3-	<b>\\\</b>	н	Ŷ,	Н	Н
XA1148	СН3-	人工	Н	,	Н	Н
XA1149	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	, s	н	н
XA1150	снз-	7,	Н	Î,	Н	Н
XA1151	снз-	<b>/</b> \/\\	H	Ŷ,	Н	Н
XA1152	снз-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	<u></u>	Н	Н
XA1153	снз-	×x	Н	Ů,	Н	Н
XA1154	СН3-	7	Н	Ŷ,	Н	Н
XA1155	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Ů,	Н	Н
XA1156	снз-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	<u></u>	Н	Н
XA1157	снз-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Ů,	Н	Н
XA1158	снз-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Ů,	Н	Н
XA1159	СН3-	n-C8H17-	Н	<u> </u>	Н	Н
XA1160	СН3-		Н		н	н
XA1161	снз-	Qu	H .	Ŷ,	Н	Н
XA1162	снз-		Н	Ů,	Н	Н
XA1163	СН3-		Н	Å,	н	Н
XA1164	снз-	$\rightarrow$	Н	Ŷ,	Н	Н
XA1165	снз-	$\Diamond$ - $\Diamond$	Н	Ů,	Н	Н
XA1166	СН3-	$\bigcirc \dashv$	Н	<u></u>	Н	Н
XA1167	СН3-		Н	Ů,	Н	н
XA1168	снз-		Н	Ů,	Н	Н.

No.	R1	R2	R3	R4	R5	R6
XA1169	снз-	<b>◯</b> −{	Н	Ů,	Н	Н
XA1170	СН3-		Н	Ŷ,	Н	Н
XA1171	снз-	<b>⊘</b> ⊪{	Н	Ŷ,	Н	Н
XA1172	снз-	<b>△</b> -₁	Н	Å,	Н	Н
XA1173	снз-	<b>├</b>	Н	O A	Н	Н
XA1174	СН3-	F-()1	Н	<u></u>	Н	Н
XA1175	снз-	F-(>-{	Н	<u></u>	н	Н
XA1176	снз-	F-{_}\_\_\{	Н	<u></u>	Н	Н
XA1177	снз-	CI CI	Н	<u></u>	Н	Н
XA1178	снз-	CI	Н		н	Н
XA1179	снз-	C⊢ <b>⟨</b> {}	н	<u> </u>	Н	Н
XA1180	CH3-	C⊢ <b>(</b> )—{	Н		Н	н
XA1181	снз-	C	H	· ·	Н	Н
XA1182	снз-	Br Д→	Н	<u></u>	н	Н
XA1183	снз-	Br	Н	,	Н	Н
XA1184	СН3-	Br-{}-{	Н	Å,	Н	Н
XA1185	СН3-	Br <b>-∕_</b> {	Н	<u></u>	Н	Н
XA1186	СН3-	Br—⟨`m∤	Н	Ŷ,	Н	Н
XA1187	СН3-	<b>\_</b> {\}	Н	<u></u>	Н	Н
XA1188	СН3-	<u></u>	H	O	Н	Н
XA1189	СН3-		Н	<u></u>	Н	Н
XA1190	СН3-	CH₃	Н	<u></u>	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA1191	СН3-	H₃C —}-{	Н	Ů,	н	Н
XA1192	СН3-	H <sub>3</sub> C-{}-{	н	O	Н	Н
XA1193	CH3-	C <sub>2</sub> H <sub>5</sub> -{	Н	Ů,	Н	H
XA1194	CH3-	n-C <sub>3</sub> H <sub>7</sub> —{	Н		Н	Н
XA1195	СН3-	n-C <sub>4</sub> H <sub>9</sub> —{}	Н	٩	Н	H
XA1196	СН3	OH ○  →	Н	<u></u>	н	Н
XA1197	СН3-	HO —	Н	Ŷ,	H .	Н
XA1198	СН3-	HO-{\rightarrow}-{\rightarrow}	Н	<u></u>	Н	н
XA1199	снз-	OCH₃  	н	Ŷ,	Н	Н
XA1200	СН3-	H <sub>3</sub> CO	Н	<u></u>	Н	Н
XA1201	СН3-	H <sub>3</sub> CO-{_}-{	Н	<u></u>	Н	Н
XA1202	СН3-	H₃CO-{_}	Н	<u></u>	Н	Н
XA1203	СН3-	H <sub>3</sub> CO-{	Н	Ŷ,	н	Н
XA1204	снз-	OC <sub>2</sub> H <sub>5</sub>	Н	<u></u>	Н	Н
XA1205	СН3-	C <sub>2</sub> H <sub>5</sub> Q	Н	Ŷ,	н	Н
XA1206	снз-	C <sub>2</sub> H <sub>5</sub> O-{}-{	Н		Н	Н
XA1207	СН3-	n-C <sub>3</sub> H <sub>7</sub> O-{}-{	H.	<u></u>	Н .	H
XA1208	СН3-		Н	<u></u>	Н	Н
XA1209	СН3-	(/	н .	Å,	Н	Н
XA1210	СН3-	O <sub>2</sub> N —	Н	Ů,	н	Н
XA1211	СН3-		Н	Å,	н	Н
XA1212	СН3-	CN	Н	<u></u>	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA1213	СН3-	NC	Н	<u></u>	Н	Н
XA1214	СН3-	NC-{}	Н	Î,	Н	н
XA1215	снз-	CF <sub>3</sub>	Н	Å,	Н	Н
XA1216	СН3-	F <sub>3</sub> C —}	Н	Ů,	н	н
XA1217	CH3-	F <sub>3</sub> C-{}	Н	O <sub>r</sub>	н	Н
XA1218	СН3-	COOH COOH	Н	<u></u>	Н	н
XA1219	СН3-	HOOC	Н	O A	Н	н
XA1220	СН3-	HOOC-{_}-{	Н	Ŷ,	Н	Н
XA1221	CH3-	CO <sub>2</sub> Me	н.	) Ly	Н	Н
XA1222	снз-	MeO <sub>2</sub> C 	Н	Å,	н	Н
XA1223	СН3-	MeO <sub>2</sub> C-{{}	Н	Ů,	Н	н
XA1224	СН3-	CO <sub>2</sub> Et	Н	Å,	Н	Н
XA1225	СН3-	EtO <sub>2</sub> C	Н	<u></u>	Н	н
XA1226	снз-	EtO <sub>2</sub> C-{}	Н		н	Н
XA1227	СН3-	SMe	Н	<u></u> ,	н	Н
XA1228	СН3-	MeS	Н	<u></u> ,	Н	Н
XA1229	СН3-	MeS-{_}-{	Н	<u></u>	Н	Н
XA1230	СН3	SO <sub>2</sub> Me	Н	<sup>1</sup> √y <sub>1</sub>	Н	Н
XA1231	СН3-	MeO <sub>2</sub> S —∤	Н	Ů,	H	Н
XA1232	CH3-	MeO <sub>2</sub> S-{{}	Н	O A	Н	Н
XA1233	CH3-	NH <sub>2</sub>	Н		Н	Н
XA1234	CH3-	H <sub>2</sub> N	Н	<u></u>	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA1235	СН3-	$H_2N$	н	<u>,</u>	Н	Н
XA1236	СН3-	NMe <sub>2</sub>	Н	Î,	Н	Н
XA1237	СН3-	Me <sub>2</sub> N	н	. Å,	н	Н
XA1238	СН3-	Me <sub>2</sub> N	Н	Ŷ,	Н	Н
XA1239	СН3-		Н	Ŷ,	н	Н
XA1240	СН3-	(N-())	Н	Ŷ,	H	Н
XA1241	СН3-		Н	<u></u> ,	H	Н
XA1242	СН3- 		Н	<u>,</u>	Н	Н
XA1243	СН3-	N-Q	H	Å,	Н	Н
XA1244	СН3-	N-{}-}	Н	· Å,	Н	Н
XA1245	СН3-	O_N-{_>	H	Å,	Н	Н
XA1246	СН3-	O_N-{}	Н	<u>گ</u>	.H	Н
XA1247	СН3-	O_N-{}	Н	Î,	Н	Н
	СН3-	H <sub>3</sub> CN N—	Н	L <sub>g</sub> ,	Н	Н
XA1249	СН3-	H3CN N-	H	<u>ڳ</u>	н	H

No.	R1	R2_	R3	R4	R5	R6
XA1250	CH3-	H <sub>3</sub> CN N-{_}	Н	Ŷ,	Н	Н
XA1251	CH3-	H <sub>3</sub> C CH <sub>3</sub>	Н	<u>L</u> ,	Н	Н
XA1252	CH3-	H <sub>3</sub> C—{CH <sub>3</sub>	Н	Î,	Н	Н
XA1253	СН3-	CH <sub>3</sub> H <sub>3</sub> C	Н	Ŷ,	Н	Н
XA1254	СН3-	CH <sub>3</sub> CH <sub>3</sub>	Н	Î,	н	Н
XA1255	СН3-	H <sub>3</sub> C{}	Н	<u></u>	н	Н
XA1256	СН3-	H₃C H₃C	H ;	L,	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA1257	СН3-	F F	н	Ŷ,	Н	Н
XA1258	СН3-	F F	Н	l,	Н	Н
XA1259	снз-	F F	Н	Ŷ,	н	Н
XA1260	СН3-	F F	H	١,	н	Н
XA1261	СН3-	F———;	Н	<u></u> ,	н	Н
XA1262	СН3-	F F	Н	L,	н	Н
XA1263	СН3-	CI_CI	Ĥ	<u></u> <u>L</u> ,	н	H
XA1264	СН3-	CI—CI	Н	l,	Н	Н
XA1265	снз-	CI CI	Н	Î,	Н	Н
XA1266	СН3-	CI	Н	<u>,</u>	Н	Н
XA1267	снз-	CI CI	Н	Å,	Н	H
XA1268	СН3-	CI CI	Н	گ <sub>ا</sub>	Н .	Н
XA1269	СН3-	H <sub>3</sub> CO_OCH <sub>3</sub>	Н	<u>,</u>	Н	Н
XA1270	СН3-	H <sub>3</sub> CO-C	Н	<u>,</u>	Н	Н
XA1271	снз-	OCH <sub>3</sub> → H <sub>3</sub> CO	Н	١,	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA1272	СН3~	OCH <sub>3</sub>	Н	Î,	Н	Н
XA1273	СН3-	H <sub>3</sub> CO	Н	1,	Н	Н
XA1274	СН3-	H₃CO H₃CO	Н	Ŷ,	Н	Н
XA1275	снз-	F_OCH <sub>3</sub>	H .	Ŷ,	H	Н
XA1276	СН3-	OCH <sub>3</sub>	Н	Î,	Н	Н
XA1277	СН3-	OCH <sub>3</sub>	Н	Î,	Н	Н
XA1278	СН3-	OCH <sub>3</sub>	Н	Ŷ,	H	Н

No.	R1	R2	R3	R4	R5	R6
XA1279	СН3-	OCH <sub>3</sub>	Н	<u></u>	н	Н
XA1280	CH3-	OCH₃ F	Н	<u>گ</u>	Н	Н
XA1281	CH3-	H₃CO F—√—}	Н	Å,	Н	Н
XA1282	СН3-	H <sub>3</sub> CO F	Н	Ŷ,	н	н
XA1283_	СН3-	H₃CO_F	Н	Ŷ,	Н	Н
XA1284	СН3-	H₃CO-⟨S→	Н	Å,	Н	Н
XA1285	СН3-	H₃CO F	Н	Ŷ,	Н	Н
XA1286	СН3-	H₃CO-⟨S	Н	<u>}</u> ,	H	Н
XA1287	СН3-	CI_OCH <sub>3</sub>	H	<u>,</u>	H	H
XA1288	СН3-	OCH <sub>3</sub>	Н	l,	Н	Н
XA1289	СН3	OCH <sub>3</sub> CI	Н	Î,	Н	Н
XA1290	СН3-	OCH₃ CI	H	l,	Н	Н
XA1291	СН3-	H₃CO CI—	Н	Ĵ,	Н	Н
XA1292	СН3-	H₃CO CI	Н	Ŷ,	Н	Н
XA1293	СН3-	H₃CO_CI	Н	Î,	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA1294	СН3-	H₃CO-⟨¯¯⟩}	Н	Ŷ,	Н	H
XA1295	СН3-	CI H <sub>3</sub> CO	Н	٤,	н	Н
XA1296	СН3-	CI H₃CO-⟨¯}→{	Н	Å,	н	Н
XA1297	СН3-	F_CH₃	Н	Î,	н	н
XA1298	СН3-	CH <sub>3</sub> F—√√-{	Н	Ŷ,	Н	Н
XA1299	СН3-	CH₃ F	Н	L,	Н	Н
XA1300	СН3-	CH₃ F	н	0,	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA1301	СН3-	H <sub>3</sub> C F—{}	H	Ŷ,	Н	Н
XA1302	СН3-	H <sub>3</sub> C	Н	Ŷ,	Н	Н
XA1303	СН3-	H <sub>3</sub> C_F →	Н	Ŷ,	н	Н
XA1304	СН3-	H <sub>3</sub> C-⟨□ F	Н	Î,	Н	H
XA1305	СН3	H₃C F	Н	<u></u> ,	н	Н
XA1306	СН3-	H <sub>3</sub> C-√	Н	<u>,</u>	н	Н
XA1307	СН3-	Br_OCH₃	Н	Î,	H :	Н
XA1308	СН3-	OCH <sub>3</sub>	Н	<u></u>	Н	Н
XA1309	СН3-	OCH <sub>3</sub>	Н	1,	H	Н
XA1310	СН3-	OCH <sub>3</sub> ⇒ Br	Н	Î,	Н	Н
XA1311	СН3-	H₃CO Br————————————————————————————————————	Н	Î,	Н	н ,
XA1312	СН3-	H <sub>3</sub> CO Br	Н	Î,	Н	Н
XA1313	СН3	H₃CO_Br	Н	Î,	Н	Н
XA1314	СН3-	H <sub>3</sub> CO-⟨□⟩	Н	<u></u> ,,	Н	Н
XA1315	СН3-	Br H <sub>3</sub> CO	Н	Î,	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA1316	СН3-	Br, H₃CO-⟨	Н	1,	н	н
, XA1317	СН3-	H <sub>3</sub> CO	Н	<u></u>	Н	Н
XA1318	снз-	OCH <sub>3</sub>	Н	Ŷ,	Н	Н
XA1319	СН3-	N-⟨_}-OCH <sub>3</sub>	Н	<u></u>	н .	Н
XA1320	снз–	H <sub>3</sub> CO > N	Н	À,	Н	Н
XA1321	снз–		Н	À,	н	Н
XA1322	СН3-	OCH₃	Н	l,	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA1323	СН3-	F-{-}} F	Н	Î,	Н	Н
XA1324	CH3-	OCH <sub>3</sub> F-{}_}\$ F	Н	<u></u>	н	Н
XA1325	СН3-	H₃CO-{_}} F	н	l,	н	Н
XA1326	СН3-	OCH <sub>3</sub> F-{_}-} OCH <sub>3</sub>	Н	l,	Н	Н
XA1327	СН3-	OCH <sub>3</sub> H <sub>3</sub> CO-{_}-} OCH <sub>3</sub>	Н	2	Н	Н
XA1328	СН3-	CI	Н	<u>,</u>	Н	Н
XA1329	СН3-	OCH₃ CI—CI	H	Ļ,	Н	H
XA1330	СН3-	CI	Н	Ŷ,	H	Н
XA1331	СН3-	,OCH³	H	گ <sub>ي</sub>	Н	H
XA1332	СН3-	,OCH³	Н	<u></u> ,	Н	Н
XA1333	СН3-	OCH <sub>3</sub>	Н		Н	Н
XA1334	СН3-	H₃CO ————————————————————————————————————	Н		Н	Н
XA1335	СН3-		Н	Ŷ,	Н	H ·
XA1336	СН3-	OCH <sub>3</sub> }	Н	2,	Н	Н
XA1337	СН3-	H <sub>3</sub> CO ,	Н	Ŷ,	Н	Н

No.	R1	R2	R3	R4	Inc	T
XA1338	CH3-	H <sub>3</sub> CO-{}	Н	<u></u>	H .	R6
XA1339	СН3-	OCH <sub>3</sub>	Н	<u></u>	н	н
XA1340	СН3-	H <sub>3</sub> CO	Н	Ŷ,	Н	Н
XA1341	СН3-	H₃CO-⟨_	Н	Ŷ,	Н	Н
XA1342	СН3-	F	Н	Ŷ,	н	Н
XA1343	СН3-	F	Н	Î,	н	Н
XA1344	СН3- <u>:</u>	F-{_}-{_}-{_}-{_}-{_}-{_}-{_}-{_}-{_}-{_}	H	Î,	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA1345	СН3-	<u></u>	Н	Ů,	Н	Н
XA1346	снз-		Н	Å,	н	н
XA1347	СН3		Н	Ŷ,	н	Н
XA1348	снз-	<b>₫</b> ₽	Н	<u>ڳ</u>	Н	Н
XA1349	снз-		Н	Å,	Н	Н
XA1350	СН3-		Н	Ŷ,	Н	н
XA1351	СН3-		Н	Å,	н	н
XA1352	снз-		Н	Å,	Н	Н
XA1353	СН3-	CT)-1	Н	Ŷ,	н	Н
XA1354	CH3-		н	Ŷ,	Н	Н
XA1355	СН3-		Н	<u></u>	H	Н
XA1356	снз–		Н		Н	Н
XA1357	снз–	, CT	Н	,	Н	Н
XA1358	СН3-	ÇT <sub>R</sub>	Н	Ů,	Н	н
XA1359	снз–		Н	<u></u>	Н	н
XA1360	СН3-		н	Å,	Н	Н
XA1361	снз-	Ŭ;	Н	Ů,	н	Н
XA1362	снз-	'CI'	Н	Ŷ,	Н	Н
XA1363	снз-	,CT)	Н	<u>ڳ</u>	Н	Н
XA1364	снз-	Ţ,	Н	<u></u>	Н	Н
XA1365	снз-	(T)-1	Н	Ů,	Н	Н
XA1366	СН3-		Н	Å,	н	Н

No.	RI	R2	R3	R4	R5	R6
XA1367	снз-	Ö	Н	Ů,	н	н
XA1368	СН3-	TIS	н	Ů,	н	н
XA1369	СН3-	,CTS	Н	L,	Н	Н
XA1370	снз-	ÇTŞ	Н	Ů,	Н	Н
XA1371	СН3-	C N H	Н	<u></u>	Н	н
XA1372	СН3-		Н	, in	н	Н
XA1373	СН3-	TON	Н	<u></u>	Н	н
XA1374	снз-	, CIN	Н	l <sub>j</sub> ,	Н	Н
XA1375	СН3-	Ţŗ,	Н		н	н
XA1376	СН3	(CTN)→1	н	· 🔍	н	H .
XA1377	СН3-	Ţ, Z,	Н	<u></u>	Н	н :
XA1378	СН3-	, CL,	Н	Ů,	н	н.
XA1379	снз-	(In No.	Н	Ŷ,	Н	н
XA1380	снз-	Č,	Н	Q <sub>f</sub>	Н	н
XA1381	СН3-	Y N	Н		Н	н
XA1382	CH3-	, IN	н	<u></u>	Н	н
XA1383	СН3-	Ž,	н	Û,	н	н
XA1384	СН3-	(I)	Н	<u></u>	н	Н
XA1385	СН3-	Ž <sub>N</sub> ,	Н	2,	Н	Н
XA1386	СН3-	, Cl	Н	2,	н	Н
XA1387	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	<u></u>	н	Н
XA1388	СН3-	S S	Н	Ŷ,	н	Н

No.	R1	R2	R3 ·	R4	R5	Inc
XA1389	СН3-	CŽ.	Н	2,	Н	R6 H
XA1390	СН3-	J'a	Н	Ů,	н	н
XA1391	СН3-	TCT)	Н		Н	Н
XA1392	снз-	,CT3"	н	<u></u>	Н	Н
XA1393	снз-	ÇTon	Н	Ů,	Н	Н
XA1394	СН3-	CI,N	Н	O N	Н	Н
XA1395	снз-	USN .	н	Ŷ,	Н	н
XA1396	снз-	T CIEN .	н	Ů,	H <sub>.</sub>	Н
XA1397	СН3-	,CT <sub>S</sub> N	Н	٩	Н	Н
XA-1398	СН3-	~ sh	Н	Ŷ,	н	Н
XA1399	СН3-	Ţ.	Н	Ŷ,	Н	Н
XA1400	снз-	,CC;	Н	Ŷ,	Н	Н
XA1401	снз-		н	<u></u>	Н	Н
XA1402	снз-	Ċ;	Н	<u></u>	н	Н
XA1403	СН3-	СН3-	СН3-	Н	Н	Н
XA1404	СН3-	СН3СН2-	СН3-	Н	Н	н
XA1405	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	СН3-	н	Н	Н
XA1406	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	СН3-	Н	Н	
XA1407	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	СН3-	Н	Н	Н
XA1408	СН3-	<u></u>	СН3-	Н	Н	Н
XA1409	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	СН3-	Н	Н	Н
XA1410	СН3-	7'	СН3-	Н	Н	H

XA1411         OH3-         H		No.	R1	R2	R3 '	R4	R5	- Inc
XA1412         CH3-         CH3-         H <t< td=""><td></td><td></td><td>J</td><td>\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\</td><td></td><td></td><td>NJ NJ</td><td>R6</td></t<>			J	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\			NJ NJ	R6
XA1413         CH3-         CH3-         H <t< td=""><td></td><td>XA1411</td><td>CH3-</td><td></td><td>СН3-</td><td>H</td><td>Н</td><td>Н</td></t<>		XA1411	CH3-		СН3-	H	Н	Н
XA1414         CH3-         CH3-         H <t< td=""><td></td><td>XA1412</td><td>СН3-</td><td></td><td>СН3-</td><td>Н</td><td>Н</td><td>Н</td></t<>		XA1412	СН3-		СН3-	Н	Н	Н
XA1415       CH3-       CH3-       H       H       H         XA1416       CH3-       CH3-       H       H       H         XA1417       CH3-       CH3-       H       H       H         XA1418       CH3-       CH3-       H       H       H         XA1419       CH3-       D-C8H17-       CH3-       H       H       H         XA1420       CH3-       CH3-       H       H       H       H         XA1421       CH3-       CH3-       H       H       H       H         XA1422       CH3-       CH3-       H       H       H       H         XA1423       CH3-       CH3-       H       H       H       H         XA1424       CH3-       CH3-       H       H       H       H         XA1425       CH3-       CH3-       H       H       H       H         XA1427       CH3-       CH3-       H       H       H       H         XA1429       CH3-       CH3-       H       H       H       H         XA1431       CH3-       CH3-       H       H       H       H       H		XA1413	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	СН3-	н :	Н	н
XA1416         CH3-         CH3-         H <t< td=""><td></td><td>XA1414</td><td>снз-</td><td>7</td><td>СН3-</td><td>Н</td><td>н</td><td>Н</td></t<>		XA1414	снз-	7	СН3-	Н	н	Н
XA1417       CH3-       CH3-       H <t< td=""><td></td><td>XA1415</td><td>СН3-</td><td>\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\</td><td>СН3-</td><td>Н</td><td>н</td><td>H</td></t<>		XA1415	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	СН3-	Н	н	H
XA1418       CH3-       CH3-       H       H       H         XA1419       CH3-       n-C8H17-       CH3-       H       H       H         XA1420       CH3-       CH3-       H       H       H         XA1421       CH3-       CH3-       H       H       H         XA1422       CH3-       CH3-       H       H       H         XA1423       CH3-       CH3-       H       H       H         XA1424       CH3-       CH3-       H       H       H         XA1425       CH3-       CH3-       H       H       H         XA1426       CH3-       CH3-       H       H       H         XA1427       CH3-       CH3-       H       H       H         XA1428       CH3-       CH3-       H       H       H         XA1430       CH3-       CH3-       H       H       H         XA1431       CH3-       CH3-       H       H       H         XA1432       CH3-       CH3-       H       H       H		XA1416	снз-		СН3-	н	н	Н
XA1419       CH3-       n-C8H17-       CH3-       H       H       H       H         XA1420       CH3-       CH3-       H       H       H       H         XA1421       CH3-       CH3-       H       H       H       H         XA1422       CH3-       CH3-       H       H       H       H         XA1423       CH3-       CH3-       H       H       H       H         XA1424       CH3-       CH3-       H       H       H       H         XA1425       CH3-       CH3-       H       H       H       H         XA1426       CH3-       CH3-       H       H       H       H         XA1427       CH3-       CH3-       H       H       H       H         XA1428       CH3-       CH3-       H       H       H       H         XA1430       CH3-       CH3-       H       H       H       H         XA1431       CH3-       CH3-       H       H       H       H         XA1432       CH3-       CH3-       H       H       H       H       H		XA1417	снз-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	СН3-	Н	н	Н
XA1420       CH3-       CH3-       H       <	-	XA1418	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	СН3-	Н	Н	Н
XA1421       CH3-       CH3-       H       H       H         XA1422       CH3-       CH3-       H       H       H         XA1423       CH3-       CH3-       H       H       H         XA1424       CH3-       CH3-       H       H       H         XA1425       CH3-       CH3-       H       H       H         XA1426       CH3-       CH3-       H       H       H         XA1427       CH3-       CH3-       H       H       H         XA1428       CH3-       CH3-       H       H       H         XA1429       CH3-       CH3-       H       H       H         XA1431       CH3-       CH3-       H       H       H         XA1432       CH3-       CH3-       H       H       H		XA1419	СН3-	n-C8H17-	СН3-	Н	Н	н
XA1422       CH3-       H       H       H       H         XA1423       CH3-       CH3-       H       H       H       H         XA1424       CH3-       CH3-       H       H       H       H         XA1425       CH3-       CH3-       H       H       H       H         XA1426       CH3-       CH3-       H       H       H       H         XA1427       CH3-       CH3-       H       H       H       H         XA1428       CH3-       CH3-       H       H       H       H         XA1430       CH3-       CH3-       H       H       H       H         XA1431       CH3-       CH3-       H       H       H       H         XA1432       CH3-       CH3-       H       H       H       H       H		XA1420	снз-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	CH3-	Н	Н	н
XA1423       CH3-       CH3-       H       H       H         XA1424       CH3-       CH3-       H       H       H         XA1425       CH3-       CH3-       H       H       H         XA1426       CH3-       CH3-       H       H       H         XA1427       CH3-       CH3-       H       H       H         XA1428       CH3-       CH3-       H       H       H         XA1429       CH3-       CH3-       H       H       H         XA1431       CH3-       CH3-       H       H       H         XA1432       CH3-       CH3-       H       H       H		XA1421	СН3-	Q	СН3-	н	н	Н
XA1424       CH3-       CH3-       H       H       H         XA1425       CH3-       CH3-       H       H       H         XA1426       CH3-       CH3-       H       H       H         XA1427       CH3-       CH3-       H       H       H         XA1428       CH3-       CH3-       H       H       H         XA1429       CH3-       CH3-       H       H       H         XA1430       CH3-       CH3-       H       H       H         XA1431       CH3-       CH3-       H       H       H		XA1422	снз-		СН3-	н	н	Н
XA1425       CH3-       H       H       H       H         XA1426       CH3-       H       H       H       H         XA1426       CH3-       H       H       H       H         XA1427       CH3-       CH3-       H       H       H         XA1428       CH3-       CH3-       H       H       H         XA1429       CH3-       CH3-       H       H       H         XA1430       CH3-       CH3-       H       H       H         XA1431       CH3-       CH3-       H       H       H         XA1432       CH3-       CH3-       H       H       H		XA1423	СН3-	Qui	СН3-	н	Н	Н
XA1426       CH3-       CH3-       H       <		XA1424	СН3-	ightharpoonup	СН3-	Н	н	Н
XA1427 CH3- CH3- H H H H H H H XA1428 CH3- CH3- H H H H H H XA1430 CH3- CH3- H H H H H H XA1431 CH3- CH3- H H H H H H H H H H XA1432 CH3- CH3- H H H H H H H H H H H H H H H H H H	L	XA1425	СН3-	$\Diamond$ - $\downarrow$	СН3	н	Н	Н
XA1428       CH3-       CH3-       H       H       H         XA1429       CH3-       CH3-       H       H       H         XA1430       CH3-       CH3-       H       H       H         XA1431       CH3-       CH3-       H       H       H         XA1432       CH3-       CH3-       H       H       H		XA1426	СН3-		СН3-	Н	Н	Н
XA1429 CH3- CH3- H H H  XA1430 CH3- CH3- H H H  XA1431 CH3- CH3- H H H  XA1432 CH3- CH3- H H H  XA1432 CH3- CH3- H H H	-	XA1427	СН3-		СН3-	Н	Н	Н
XA1430 CH3- CH3- H H H  XA1431 CH3- CH3- H H H  XA1432 CH3- CH3- H H H  XA1432 CH3- CH3- H H H	  -	XA1428	CH3-		СН3-	н	Н	Н
XA1431 CH3~ CH3~ H H H  XA1432 CH3~ CH3~ H H H	;  -	KA1429	CH3-		CH3-	н	Н	н
XA1432 CH3- F CH3- H	)  -	(A1430	СН3-		СН3-	Н	Н	Н
XA1432 CH3- (CH2- III	>	(A1431	CH3-		СН3-	Н	Н	Н
	Х	(A1432	CH3-	l <i>i</i>	СН3-	Н	Н	H

No.	R1	R2	R3	R4	los -	
		Ę		1117	R5	R6
XA1433	СН3-		СН3-	H	н	н
XA1434	СН3-	F-{_}-{	СН3	н	Н	н
XA1435	снз-	F-(>-{	СН3-	н	Н	н
XA1436	СН3-	F-{	СН3-	Н	Н	н
XA1437	СН3-	CI →	СН3-	Н	Н	Н
XA1438	снз-	CI	СН3-	Н	н	Н
XA1439	снз-	C⊢{{}	СН3-	Н	Н	. Н
XA1440	СН3-	CH	СН3-	Н	Н	Н
XA1441	СН3-	CH	СН3-	Н	Н	н
XA1442	СН3-	Br	СН3-	Н	Н	Н
XA1443	СН3-	Br	СН3-	н <u>;</u>	Н	н
XA1444	снз-	Br-{}-{	СН3-	H :	Н	Н
XA1445	СН3-	Br—∰	СН3-	H	Н	Н
XA1446	СН3-	Br—€…	СН3-	Н	н	H
XA1447	CH3-	<b>◯</b> -₁	СН3-	Н	н	Н
XA1448	СН3-		СН3-	Н	Н	Н
XA1449	CH3-		СН3-	Н	Н	н
XA1450	CH3-	CH <sub>3</sub>	СН3-	Н	Н	Н
XA1451	СН3-	H <sub>3</sub> C	CH3-	Н	н	Н
XA1452	СН3-	H <sub>3</sub> C-{}{	CH3-	Н	Н	Н
XA1453	CH3-	C <sub>2</sub> H <sub>5</sub> —{	CH3-	Н	н	Н
XA1454	CH3-	n-C <sub>3</sub> H <sub>7</sub> -{	СН3-	Н	н	Н
			·	<del></del>	<u> </u>	<u> </u>

No.	R1	R2	R3	R4	R5	R6
XA1455	СН3-	n-C₄H <sub>9</sub> -∕}	СН3-	н	н	Н
XA1456	снз-	OH ◯→	СН3-	н	н	н
XA1457	СН3-	HO	СН3-	Н	Н	н
XA1458	снз-	но-{-}}	СН3-	Н	Н	н
XA1459	СН3-	OCH₃	CH3-	н	н	н
XA1460	снз-	H₃CO 	СН3-	H 	Н	н
XA1461	снз-	H <sub>3</sub> CO-{_}	СН3-	Н	Н	н
XA1462	СН3-	H₃CO- <b>(</b> )—{	CH3-	Н	Н	Н
XA1463	снз-	H <sub>3</sub> CO-{\bigs\mu\!	СН3-	Н	Н	н
XA1464	СН3-	OC <sub>2</sub> H <sub>5</sub>	СН3-	Н	Н	н
XA1465	СН3-	C <sub>2</sub> H <sub>5</sub> O	CH3-	н	H :	Н
XA1466	CH3-	C <sub>2</sub> H <sub>5</sub> O-{	СН3-	н	H	Н
XA1467	СН3	n-C <sub>3</sub> H <sub>7</sub> O-{}-{	CH3	Н	н =	Н
XA1468	снз-	n-C <sub>4</sub> H <sub>9</sub> O-{}-{	CH3-	н	н	Н
XA1469	снз-	NO <sub>2</sub>	CH3-	н	н	н
XA1470	СН3-	O <sub>2</sub> N	снз-	Н	н	Н
XA1471	СН3-	O <sub>2</sub> N-{}-{	снз-	н	Н	н
XA1472	СН3-	CN →	снз-	Н	Н	н
XA1473	СН3-	NC	снз-	Н	Н	Н
XA1474	СН3-	NC-{}	СН3-	Н	Н	Н
XA1475	СН3-	NH <sub>2</sub>	СН3-	н	Н	Н
XA1476	СН3-	H <sub>2</sub> Ň ⟨□⟩	СН3-	н	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA1477	CH3-	$H_2N-$	СН3-	н	н	Н
XA1478	СН3-	NMe <sub>2</sub>	CH3-	H	н	Н
XA1479	СН3-	Me <sub>2</sub> N	СН3-	Н	Н	н
XA1480	СН3-	Me <sub>2</sub> N-	СН3-	Н	Н	н
XA1481	CH3-	Cn-<	СН3-	н	Н	н
XA1482	СН3-	CN-Q	снз-	Н	н	Н
XA1483	СН3-		СН3-	н	н	н
XA1484	снз-	\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_	снз-	н	н	н
XA1485	CH3-	On-€}	СН3-	Н	Н	Н
XA1486	СН3-	N-{_}-	СН3-	Н	Н	н
XA1487	СН3-	O_N- <u>{</u> _	СН3-	Н	н	Н
XA1488	снз-	O_N-Q}	СН3-	Н	Н	н
XA1489	СН3-	o_n- _\	СН3-	н	н .	Н
XA1490	снз-	H₃CN_N-	СН3-	Н	Н	Н
XA1491	СН3-	H₃CN N-⟨	CH3-	Н	Н	н
XA1492	СН3-	H <sub>3</sub> CN_N-{_}	СН3-	н	н	н
XA1493	снз-	OCH <sub>3</sub>	СН3-	н	Н	н
XA1494	СН3-	OCH <sub>3</sub>	СН3-	н	Н	н
XA1495	СН3-	OCH <sub>3</sub> F——	CH3-	н	Н	н
XA1496	СН3-		CH3-	Н	Н	Н
XA1497	СН3-		СН3-	н	н	н
XA1498	CH3-	CH3-	Н	н	снз-	Н

No.	R1	R2	R3	R4	R5	R6
XA1499	СН3-	CH3CH2-	Н	Н	снз-	Н
XA1500	СН3-	<b>∕</b> ∕∖	Н	Н	СН3-	Н
XA1501	снз-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н .	Н	CH3-	н
XA1502	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	СН3-	Н
XA1503	СН3-	人才	Н	Н	СН3-	H
XA1504	СН3-	~~`	Н	н	снз-	H.
XA1505	СН3-	7	Н	Н	СН3-	Н
XA1506	СН3-	<b>^ ^ \</b>	Н	Н	СН3-	н
XA1507	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	н	СН3-	Н
XA1508	СН3-	大ス	Н	Н	СН3-	н
XA1509	СН3-	7	Н	н	СН3-	н
XA1510	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	СН3-	н
XA1511	СН3-	L	Н	Н	CH3-	н
XA1512	снз-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	СН3-	Н
XA1513	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	СН3-	Н
XA1514	СН3-	n-C8H17-	Н	Н	СН3-	н
XA1515	снз-		Н	Н	СН3-	H
XA1516	СН3-	Q	Н	H	снз-	Н
XA1517	СН3-		Н	Н	СН3	Н
XA1518	СН3-		Н	Н	снз-	н
XA1519	СН3-	$\rightarrow$	Н	Н	СН3-	н
XA1520	ОН3-	$\Diamond$ -1	н	Н	CH3-	Н

No.	R1	R2	R3	R4	R5	R6
XA1521	СН3-		н	Н	СН3-	н
XA1522	СН3-		Н	Н	снз-	Н
XA1523	СН3-		Н	Н	СН3-	Н
XA1524	СН3-		н	H	СН3-	Н
XA1525	СН3-		Н	н	СН3-	H
XA1526	снз-	<u></u>	Н	н	СН3-	н
XA1527	снз-	<u></u>	Н	Н	СН3	Н
XA1528	снз-	<b>├</b> ;	н	Н	СН3-	Н
XA1529	снз-	F-(	Н	Н	СН3-	Н
XA1530	снз-	F-(>-1	Н	н	СН3-	Н
XA1531	снз-	•	Н	Н .	СН3-	Н
XA1532	снз-	CI :	Н	н	СН3-	Н
XA1533	снз-	CI ·	н	н	СН3-	Н
XA1534	снз-	C⊢ <b>(_</b> ){	H	Н	СН3-	Н
XA1535	снз-	C⊢ <b>(_</b> >-{	Н	Н	СН3-	Н
XA1536	снз-	CH	Н	Н	СН3-	Н
XA1537	снз-	Br →	Н	н	CH3-	Н
XA1538	снз-	Br.	н	H	СН3-	Н
XA1539	СН3-	Br—{}	Н	Н	СН3-	Н
XA1540	снз-	Br——	Н	Н	СН3-	н
XA1541	снз~	Br—⟨⟩ııı∢	Н	Н	CH3-	Н
XA1542	снз-		Н	Н	CH3-	Н

No.	R1	R2	R3	R4	R5	R6
XA1543	снз-	   	Н	н	СН3-	Н
XA1544	СН3-	<b>⊢</b> ⊘→;	Н	Н	СН3-	н
XA1545	сн3-	CH₃ <—}	H 	н	СН3-	Н
XA1546	снз-	H₃C >{	Н	н	СН3-	н
XA1547	снз-	H <sub>3</sub> C-{}-{	Н	Н	СН3-	Н
XA1548	СН3-	C <sub>2</sub> H <sub>5</sub> {	Н	н	СН3-	н
XA1549	СН3	n-C <sub>3</sub> H <sub>7</sub> {_}}{	Н	н	СН3-	Н
XA1550	СН3-	n-C <sub>4</sub> H <sub>9</sub> -{}	Н	н	снз-	Н
XA1551	СН3-	OH	Н	H	СН3-	Н
XA1552	СН3-	HO ———	Н	н .	снз-	н
XA1553	СН3-	HO-{\bigcirc};	Н	: H :	снз-	н
XA1554	CH3-	OCH₃ ◯>–{	Н	H	снз-	н
XA1555	СН3-	H₃CO 	Н	H <sup>-</sup>	СН3-	Н
XA1556	СН3-	H <sub>3</sub> CO-{}	Н	н	снз-	н
XA1557	СН3-	H₃CO-{\rightarrow}-	Н	н	снз-	Н
XA1558	снз-	H <sub>3</sub> CO-{խուկ	Н	Н	снз-	Н
XA1559	СН3-	OC <sub>2</sub> H <sub>5</sub>	Н	Н	снз-	Н
XA1560	снз-	C <sub>2</sub> H <sub>5</sub> O	Н	Н	снз-	Н
XA1561	СН3-	C <sub>2</sub> H <sub>5</sub> O-{}-{	Н	Н	снз-	Н
XA1562	СН3-	n-C <sub>3</sub> H <sub>7</sub> O-{-\bigcite{\bigcite{-\bigcite{-\bigcite{-\bigcite{-\bigcite{-\bigcite{-\bigcite{-\bigcite{-\bigcite{-\bigcite{-\bigcite{\bigcite{-\bigcite{	Н	Н	снз-	Н
XA1563	CH3-	r-C <sub>4</sub> H <sub>9</sub> O-{{}}	Н	Н	снз-	Н
XA1564	СН3-	NO <sub>2</sub>	Н	н	СН3-	Н

No.	R1	R2	R3	R4	R5	R6
XA1565	СН3-	O <sub>2</sub> N	Н	Н	СН3-	Н
XA1566	СН3-	O <sub>2</sub> N-{}	Н	Н	СН3-	н
XA1567	снз-	CN	Н	Н	СН3-	Н
XA1568	СН3-	NC{	Н	Н	СН3-	Н
XA1569	СН3-	NC-{}	н	Н	СН3-	H
XA1570	снз-	NH <sub>2</sub>	н	Н	СН3-	н
XA1571	СН3-	H <sub>2</sub> N	Н	H	СН3-	н
XA1572	снз-	H <sub>2</sub> N-{	н	Н	снз-	Н
XA1573	СН3-	NMe <sub>2</sub>	Н	Н	СН3-	Н
XA1574	СН3-	Me <sub>2</sub> N	Н	н	СН3-	Н
XA1575	СН3-	Me <sub>2</sub> N—	Н	Н	CH3-	Н
XA1576	СН3-	(N-(S)	Н	Н	CH3-	H.
XA1577	СН3~		Н	Н	СН3-	Н
XA1578	СН3-	_N}\	н	Н	СН3-	Н
XA1579	СН3-		Н	Н	СН3-	Н
XA1580	СН3-		Н	Н	СН3-	Н
XA1581	СН3-	N-(	Н	Н	СН3-	н
XA1582	CH3-	O_N_	Н	Н	СН3-	н
XA1583	CH3-	<b>○</b> '- <b>(</b> )	Н .	Н	СН3-	Н
XA1584	СН3-	O_N-{_}-	Н	H	СН3-	Н
XA1585	СН3-	H₃CN_N-	Н	Н	CH3~	Н
XA1586	СН3-	H₃CN_N-⟨	Н	Н.	СН3-	Н

No.	R1	R2	R3	R4	R5	R6
XA1587	СН3-	H₃CN_N-{_}-}	н	н	СН3-	н
XA1588	СН3-	OCH <sub>3</sub>	н	Н	СН3-	Н
XA1589	снз-	OCH <sub>3</sub>	Н	Н	СН3-	н
XA1590	СН3-	OCH <sub>3</sub> F-\(\sigma\)\!\!\	Н	н	СН3-	Н
XA1591	СН3-		Н	Н	СН3	Н
XA1592	снз-		Н	Н	СН3-	н
XA1593	СН3-	CH3-	Н	Н	снз–	СН3-
XA1594	снз-	СН3СН2-	Н	н	СН3-	CH3-
XA1595	снз-	<b>^</b> \	Н	н	снз-	СН3-
XA1596	снз-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	снз-	СН3-
XA1597	снз-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	снз-	СН3-
XA1598	снз–	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Ĥ	снз-	СН3-
XA1599	СН3-	$\uparrow$	H	Н	СН3-	CH3-
XA1600	СН3-	<u> </u>	Н	н	СН3-	CH3-
XA1601	СН3	<b>/</b> \/\\\	Н	Н	СН3-	CH3-
XA1602	СН3-	<b>\</b> \\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	СН3-	СН3-
XA1603	СН3-	××	Н	Н	СН3-	СН3
XA1604	СН3-	$\nearrow$	Н	Н	СН3-	CH3-
XA1605	СН3-	<b>\\\\</b> \\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	н	СН3-	СН3
XA1606	СН3-		н.	Н	СН3-	CH3-
XA1607	СН3-	<b>^</b> \\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	СН3-	СН3
XA1608	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	СН3-	СН3-

N.	0.	R1	R2	R3	R4	R5	R6
XA1	609	снз-	n-C8H17-	Н	н	СН3-	CH3-
XA1	610	СН3-		н	Н	СН3-	СН3-
XA1	611	снз-	Qu	Н	Н	СН3-	CH3-
XA1	612	СН3-		н	Н	СН3-	СН3-
XA1	613	снз-		Н	Н	СН3-	СН3-
. XA1	614	снз-	$\triangleright \rightarrow$	H <sub>.</sub>	н	СН3-	снз-
XA1	615	снз	<b>♦</b>	Н	Н	СН3-	снз-
XA16	616	СН3-		Н	н	СН3-	СН3-
XA16	617	СН3-		н .	Н	СН3-	СН3-
XA16	318	СН3-		Н	н	CH3-	СН3-
XA16	319	СН3-	<b>△</b> →	Н	н	СН3-	СН3-
XA16	20	СН3-		Н	Н	СН3	СН3-
XA16	21	СН3-	<u></u>	Н	Н	CH3-	СН3-
XA16	22	снз–	<u></u>	Н	Н	СН3	СН3-
XA16	23	СН3-	<u></u>	Н	Н	СН3-	СН3-
XA16	24	СН3-	F-(	Н	Н	СН3-	СН3-
XA16	25	СН3-	F-{\}-\	H	Н	СН3-	СН3-
XA16	26	СH3-		Н	Н	СН3-	CH3-
XA16:	27	СН3-	<u></u>	H	н	СН3-	СН3-
XA16:	28	СН3-		1	Н	CH3-	CH3-
XA16:	29 0	онз- <sup>(</sup>		1	H	CH3-	СН3-
XA160	30	онз-		1	Н	CH3-	CH3-

No.	R1	R2	R3	R4	R5	R6
XA1631	СН3-	CI—⟨>ı{	Н	Н	СН3-	СН3-
XA1632	СН3-	Br ∰-	Н	Н	снз-	снз-
XA1633	CH3-	Br.	Н	Н	СН3-	CH3-
XA1634	СН3-	Br—⟨{}	Н	Н	СН3-	СН3-
XA1635	СН3-	Br——	н	Н	СН3-	СН3-
XA1636	СН3-	Br—€∑…{	н	Н	СН3-	СН3-
XA1637	СН3-	<b>□</b>	Н	Н	СН3-	СН3-
XA1638	CH3-	   	Н	н	СН3-	СН3-
XA1639	СН3-	<b>├</b> ──}-{	Н	Н	СН3-	СН3
XA1640	СН3-	CH <sub>3</sub>	Н	H	СН3-	СН3-
XA1641	СН3-	H <sub>3</sub> C \_\_{	; H	Н	СН3-	снз–
XA1642	CH3-	H <sub>3</sub> C-{}	H	Н	снз-	снз-
XA1643	СН3-	C <sub>2</sub> H <sub>5</sub> -{}-{	H <sup>*</sup>	Н	СН3-	СН3-
XA1644	СН3-	n-C <sub>3</sub> H <sub>7</sub> -	н	Н	СН3-	СН3-
XA1645	СН3-	n-C <sub>4</sub> H <sub>9</sub> —{_}	Н	н	СН3-	снз-
XA1646	СН3-	OH OH	Н	Н	СН3	снз-
XA1647	CH3-	HO	Н	Н	СН3-	снз-
XA1648	CH3-	HO-{\bigcirc}	Н	Н	снз-	СН3-
XA1649	CH3-	OCH₃ ├─-{	Н	н	СН3-	CH3-
XA1650	СН3-	H <sub>3</sub> CO	Н	Н	СН3-	CH3-
XA1651	снз-	H <sub>3</sub> CO-{}-{	Н	Н	СН3-	CH3-
XA1652	СН3-	H <sub>3</sub> CO-{>-{	Н	Н	снз-	снз-

No.	R1	R2	R3	R4	R5	R6
XA1653	СН3-	H <sub>3</sub> CO-{\bigs\n\fi	н	н	СН3-	снз-
XA1654	СН3-	OC <sub>2</sub> H <sub>5</sub>	Н	Н	СН3-	СН3-
XA1655	снз-		н	н	СН3-	СН3-
XA1656	CH3-	C <sub>2</sub> H <sub>5</sub> O-{}-{	Н	н	снз-	СН3-
XA1657.	СН3-	n-C <sub>3</sub> H <sub>7</sub> O-{}-{	Н	Н	СН3-	СН3-
XA1658	СН3-	n-C <sub>4</sub> H <sub>9</sub> O-{{}	Н	Н	СН3-	СН3-
XA1659	СН3-	NO <sub>2</sub>	Н	Н	СН3-	СН3-
XA1660	снз-	O <sub>2</sub> N	Н	Н .	СН3-	СН3-
XA1661	снз-	O <sub>2</sub> N-{}	Н	Н	снз-	СН3-
XA1662	СН3-	CN	Н	Н	СН3-	СН3-
XA1663	СН3-	NC .	Н	Н	СН3-	СН3-
XA1664	СН3-	NC-{}-{	Н	Н	СН3-	СН3-
XA1665	СН3-	NH <sub>2</sub>	Н	н	CH3-	СН3-
XA1666	СН3-	H <sub>2</sub> N	Н	н	CH3-	СН3-
XA1667	СН3-	H <sub>2</sub> N-{}	Н	н	СН3-	СН3-
XA1668	СН3-	NMe <sub>2</sub>	Н	н	СН3-	СН3-
XA1669	CH3-	Me <sub>2</sub> N	Н	Н	СН3-	СН3-
XA1670	СН3-	Me₂N-⟨¯}{	Н	н	СН3-	СН3-
XA1671	CH3-	CN-	Н	Н	СН3-	СН3-
XA1672	СН3-		Н	Н	СН3-	СН3-
XA1673	СН3-	_v}-≀	Н	Н .	СН3-	CH3-
XA1674	СН3-	_N	Н	Н	СН3-	СН3-

No.	R1	R2	R3	R4	R5	R6
XA1675	СН3-	Ov-Q	Н	Н	СН3-	СН3
XA1676	СН3-	N-()-;	H	н	СН3-	СН3-
XA1677	СН3-	<b>○</b> N- <b>(</b> )	Н	Н	СН3-	CH3-
XA1678	СН3-		Н	н	СН3-	CH3
XA1679	СН3-	o_n-{_}-;	Н	Н	снз-	CH3-
XA1680	снз–	H3CN N-	Н	Н	снз-	СН3-
XA1681	снз-	H₃CN_N-⟨}	Н	Н	СН3-	CH3-
XA1682	снз–	H₃CN_N-{_}-}	Н	Н	СН3-	CH3-
XA1683	снз-	OCH <sub>3</sub>	Н	Н	снз-	CH3-
XA1684	снз–	OCH <sub>3</sub> F—(S—)	н	Н	снз-	CH3-
XA1685	снз-	OCH <sub>3</sub> F——	Н	H	сн3-	CH3-
XA1686	СН3-		Н	Н	СН3-	CH3-
XA1687	СН3-		Н	Н	снз-	СН3-
XA1688	СН3-	СН3-	Н	СН3-	СН3-	СН3-
XA1689	СН3-	снзсн2-	н	снз-	снз-	CH3-
XA1690	снз–	<b>△</b> \\	н	снз-	снз-	CH3-
XA1691	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	СН3~	СН3-	CH3-
XA1692	снз–	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	сн3-	снз-	СН3-
XA1693	снз-	人工	Н	СН3-	СН3-	CH3-
XA1694	СН3-	7	Н	СН3	снз-	CH3-
XA1695	СН3-	汁	Н	снз-	СН3-	СН3-
XA1696	СН3-	<b>^</b> ~\	Н	CH3-	СН3-	СН3-

No.	R1	R2	R3	R4	R5	R6
XA1697	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	СН3-	снз-	СН3-
XA1698	СН3-	X.	Н	СН3-	СН3-	СН3-
XA1699	СН3-	7	Н	сн3-	снз-	СН3-
XA1700	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	СН3-	СН3-	снз-
XA1701	снз-		Н	СН3-	СН3-	СН3
XA1702	снз-	^^\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	СН3-	СН3-	снз-
XA1703	снз-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	CH3~	СН3-	снз-
XA1704	СН3-	n-C8H17-	H	СН3-	СН3-	снз-
XA1705	СН3-		H 	СН3-	СН3-	СН3-
XA1706	СН3-		Н	СН3-	СН3	СН3-
XA1707	снз-		H 	СН3-	СН3	СН3-
XA1708	СН3-		H	СН3-	СН3-	СН3
XA1709	снз-	$\triangleright$	H	СН3-	СН3	CH3-
XA1710	снз-	$\Diamond \dashv$	Н	СН3-	СН3-	CH3-
XA1711	СН3-		Н	СН3-	СН3-	CH3-
XA1712	СН3-		Н	СН3-	СН3-	СН3-
XA1713	СН3-		Н	СН3-	СН3-	CH3-
XA1714	CH3-	<u>_</u> }	Н	СН3-	СН3-	CH3-
XA1715	СН3-		Н	СН3-	СН3-	СН3-
XA1716	CH3-	<u></u>	Н	СН3-	СН3-	СН3-
XA1717	СН3-	F	Н	СН3-	СН3-	СН3-
XA1718	СН3-	<b>├</b>	H	СН3-	СН3-	CH3-

No.	R1	R2	R3	R4	R5	R6
XA1719	снз-	F-{_}-	н	СН3-	снз-	СН3-
XA1720	снз-	F-(){	Н	СН3-	снз-	СН3
XA1721	снз-	F-()::	Н	CH3-	сн3-	СН3-
XA1722	снз-	CI	Н	СН3-	СН3-	СН3-
XA1723	снз-	CI	Н	СН3-	снз-	CH3-
XA1724	СН3-	c⊢ <b>(</b> )—{	Н	СН3-	СН3-	СН3~
XA1725	снз-	CI—(	Н	СН3-	СН3-	CH3-
XA1726	снз-		Н	СН3-	СН3-	CH3-
XA1727	снз-	Br ·	Н	CH3-	СН3-	снз-
XA1728	снз-	Br	Н	СН3-	СН3-	СН3-
XA1729	СН3-	Br—⟨ <u>·</u> _}-{	Н	CH3-	СН3-	СН3-
XA1730	снз-	Br—{	Н	CH3-	СН3-	CH3-
XA1731	СН3-	Br—⟨ <u>±</u> >m{	Н	СН3-	CH3-	СН3-
XA1732	СН3-	<b>□</b>	Н	СН3-	CH3-	CH3-
XA1733	снз-		Н	СН3-	СН3-	СН3-
XA1734	снз–	<del>-</del>	Н	CH3-	CH3-	СН3-
XA1735	СН3-	\(_\)_\	Н	СН3-	СН3-	СН3-
XA1736	СН3-	H <sub>3</sub> C —}	Н	CH3-	CH3-	CH3-
XA1737	снз-	H <sub>3</sub> C-{}	Н	СН3-	CH3-	СН3-
XA1738	снз-	C <sub>2</sub> H <sub>5</sub> —{	Н	СН3-	CH3	СН3-
XA1739	снз-	n-C <sub>3</sub> H <sub>7</sub> {	Н	СН3-	CH3-	СН3-
XA1740	СН3-	n-C <sub>4</sub> H <sub>9</sub> -{}	Н	СН3-	CH3-	СН3-

No.	R1	R2	R3	R4	R5	R6
XA1741	снз-	OH OH	н	СН3-	СН3-	снз-
XA1742	снз-	HO	H	СН3-	снз-	СН3-
XA1743	СН3-	HO-{}	Н	снз-	снз-	CH3-
XA1744	снз-	OCH <sub>3</sub>	Н	СН3-	СН3-	СН3-
XA1745	СН3-	H₃CO 	Н	снз-	снз-	снз-
XA1746	снз-	H <sub>3</sub> CO-{	Н	СН3-	снз-	СН3-
XA1747	снз-	H <sub>3</sub> CO-{}	Н	СН3-	СН3-	СН3-
XA1748	СН3-	H <sub>3</sub> CO-	Н	СН3-	СН3-	СН3-
XA1749	СН3-	OC <sub>2</sub> H <sub>5</sub>	Н	CH3-	СН3-	СН3-
XA1750	СН3-	C <sub>2</sub> H <sub>5</sub> O	Н ,	СН3-	СН3-	СН3-
XA1751	снз-	C <sub>2</sub> H <sub>5</sub> O-{}-{	н	СН3-	СН3-	СН3-
XA1752	СН3-	n-C <sub>3</sub> H <sub>7</sub> O-	Н	СН3-	СН3-	СН3-
XA1753	СН3-	<u> </u>	Н	СН3	CH3	СН3-
XA1754	СН3-	NO <sub>2</sub>	Н	СН3-	СН3-	СН3-
XA1755	СН3-	O <sub>2</sub> N }	Н	СН3-	СН3-	СН3-
XA1756	СН3	O <sub>2</sub> N-{	Н	СН3-	CH3-	СН3-
XA1757	снз-	CN →	Н	СН3-	СН3-	СН3-
XA1758	СН3-	NC	Н	СН3-	СН3-	СН3-
XA1759	СН3-	NC-{}-{	Н	СН3-	CH3-	СН3-
XA1760	СН3-		Н	СН3-	СН3-	СН3-
XA1761	СН3-	H <sub>2</sub> N	Н	СН3-	СН3-	CH3~
XA1762	СН3-	$H_2N-$	Н	снз-	СН3-	CH3-

No.	R1	R2	R3	R4	R5	R6
XA1763	СН3-	NMe <sub>2</sub>	Н	СН3-	CH3-	СН3-
XA1764	CH3-	Me <sub>2</sub> N	Н	СН3-	СН3	СН3-
XA1765	СН3-	Me <sub>2</sub> N-\\	Н	СН3-	СН3-	СН3-
XA1766	СН3-		н	снз-	СН3-	СН3-
XA1767	СН3-	(N-{_})	Н	СН3-	СН3-	CH3-
XA1768	СН3-	[\n-{\}-\	Н	СН3-	СН3-	СН3-
XA1769	СН3-		Н	СН3-	СН3-	CH3-
XA1770	снз-	(N-()	Н	СН3-	СН3-	СН3-
XA1771	СН3-	\(\n-\(\)\-\(\)	Н	СН3-	СН3-	СН3-
XA1772	СН3-		Н	снз-	СН3-	СН3-
XA1773	СН3-		Н	снз-	снз-	СН3-
XA1774	СН3-	O_N-{}-}	Н	СН3-	снз-	СН3-
XA1775	СН3-	H <sub>3</sub> CN N-	Н	СН3-	СН3-	CH3-
XA1776	СН3-	H <sub>3</sub> CN N-	н .	СН3-	СН3-	СН3-
XA1777	СН3-	H <sub>3</sub> CN_N-{_}_/	Н	СН3-	СН3-	CH3-
XA1778	CH3-	OCH <sub>3</sub>	Н	СН3-	СН3-	СН3
XA1779	CH3-	OCH <sub>3</sub>	Н	СН3-	СН3-	СН3-
XA1780	СН3-	OCH <sub>3</sub> F-∕∑h-∤	Н	СН3-	CH3-	СН3~
XA1781	СН3-		Н	СН3-	СН3-	СН3-
XA1782	СН3-	OJ'	Н	СН3-	СН3-	СН3-
XA1783	СН3СН2-	СН3-	Н	Н	Н	Н
XA1784	СН3СН2-	СН3СН2-	Н	Н	Н	н

No.	R1	R2	R3	R4	R5	R6
XA1785	СН3СН2-	<b>∼</b> \	н	Н	Н	Н
XA1786	СН3СН2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	н	Н
XA1787	СНЗСН2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	H;	Н	Н
XA1788	снзсн2-	<u></u>	Н	Н	Н	Н
XA1789	снзсн2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	н	Н	Н
XA1790	СН3СН2-	<b>六</b>	Н	н	н	Н
XA1791	СН3СН2-	<b>^</b>	н	н	Н	Н
XA1792	СН3СН2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	н	н	Н
XA1793	СН3СН2-	Xr _	н	Н	Н	Н
XA1794	СН3СН2-	7	н	Н	Н	Н
XA1795	СН3СН2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	н	Н
XA1796	СН3СН2-		Н ,	Н	н	н
XA1797	СН3СН2-	^^^\\	Н	Н	н	Н
XA1798	СН3СН2-		Н	н	н	н
XA1799	СН3СН2-	n-C8H17-	Н	Н	н	н
XA1800	СН3СН2-		Н	Н	Н	н
XA1801	СН3СН2-		Н	Н	Н	Н
XA1802	СН3СН2-		н	Н	Н	Н
XA1803	СН3СН2-		Н	Н	Н	Н
XA1804	СН3СН2-	$\triangleright \rightarrow$	Н	Н	Н	Н
XA1805	СН3СН2-	$\Diamond$	Н	Н	Н	Н
XA1806	CH3CH2-		Н	Н	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA1807	снзсн2-		н	Н	н	н
XA1808	СН3СН2-		Н	н	Н	Н
XA1809	СН3СН2-		Н	н	н :	н
XA1810	СН3СН2-		Н	Н	Н	Н
XA1811	СН3СН2-	<b>⊘</b> ∜	Н	н	н	Н
XA1812	СН3СН2-		Н	н	н	н
XA1813	СН3СН2-	F	Н	Н	Н	Н
XA1814	СН3СН2-	F-{}-{	Н	н	Н	н
XA1815	СН3СН2-	F-(-)	H ·	н	Н	Н
XA1816	СН3СН2-	F——>···-{	Н	Н	Н	Н
XA1817	СН3СН2-	CI	Н	н	H	Н
XA1818	СН3СН2-	CI	Н	Ĥ	Н	н
XA1819	СН3СН2-	C⊢ <b>(_</b> )—{	Н	н	Н	н .
XA1820	СН3СН2-	C⊢ <b>(</b> )—{	Н	Н	Н	Н
XA1821	СН3СН2-		Н	Н	Н	Н
XA1822	СН3СН2-	Br 	Н	Н	Н	Н
XA1823	СН3СН2-	Br. 【	Н	н	Н	Н
XA1824	СН3СН2-	Br- <b>\_</b> }	Н	Н	Н	Н
XA1825	СН3СН2-	Br- <del>{</del> }	Н	Н	н	Н
XA1826	СН3СН2-	Br—⟨〉···{	Н	Н	Н	Н
XA1827	СН3СН2-	<b>□</b> -;	Н	н	Н	Н
XA1828	СН3СН2-	<u> </u>	Н	Н	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA1829	СН3СН2-	<del>-</del>  - - - - - - - - - - - - - - - - - -	н	Н	Н	н
XA1830	СН3СН2-	CH <sub>3</sub>	Н	Н	Н	н
XA1831	СН3СН2-	H <sub>3</sub> C	н	Н	н	н
XA1832	СН3СН2-	H <sub>3</sub> C-{	н	Н	Н	н
XA1833	СН3СН2-	C <sub>2</sub> H <sub>5</sub> —{}	Н	н	н	Н
XA1834	СН3СН2-	n-C <sub>3</sub> H <sub>7</sub> —{}	Н	н	н	Н
XA1835	СН3СН2-	n-C <sub>4</sub> H <sub>9</sub> —{}	Н	Н	Н	н
XA1836	СН3СН2-	OH OH	Н	н	Н	H
XA1837	СНЗСН2-	HO —>	Н	н	Н	н
XA1838	СН3СН2-	HO-{	Н	Н	Н	Н
XA1839	СН3СН2-	OCH <sub>3</sub>	Н	н :	Н	Н
XA1840	СН3СН2-	H <sub>3</sub> CO	Н	Н	Н	Н
XA1841	СН3СН2-	H <sub>3</sub> CO-{}-{	н	н	Н	Н
XA1842	СН3СН2~	H₃CO-{_}-{	Н	Н	Н	Н
XA1843	СН3СН2-		Н	н	Н	Н
XA1844	СНЗСН2-	OC <sub>2</sub> H <sub>5</sub>	Н	Н	н	Н
XA1845	СН3СН2-	C <sub>2</sub> H <sub>5</sub> O	Н	Н	н	Н
XA1846	СН3СН2-	C <sub>2</sub> H <sub>5</sub> O-{}-{	Н	Н	Н	Н
XA1847	СН3СН2-	r-C <sub>3</sub> H <sub>7</sub> O-(){	Н	Н	Н	Н
XA1848	СН3СН2-		H <sub>.</sub>	Н	Н	н
XA1849	СН3СН2-	\ <u>_</u> /_}	Н	Н	Н	н
XA1850	СН3СН2-	O <sub>2</sub> N ;	H	Н	Н	Н

No.	R1	R2	R3	R4	R5	IR6
XA1851	СН3СН2-	O <sub>2</sub> N-{}	Н	Н	H	Н
XA1852	СН3СН2-	CN	Н	н	Н	Н
XA1853	СН3СН2-	NC	Н	н	н	Н
XA1854	СН3СН2-	NC-{}-{	Н	н	н	Н
XA1855	СН3СН2-	NH <sub>2</sub>	Н	н	н	Н
XA1856	СНЗСН2-	H <sub>2</sub> N	Н	н	н	Н
XA1857	СН3СН2-	$H_2N$	Н	н	н	н
XA1858	СН3СН2-	NMe <sub>2</sub>	Н	н	Н	Н
XA1859	СН3СН2-	Me <sub>2</sub> N	Н	н	Н	Н
XA1860	СН3СН2-	Me <sub>2</sub> N-√	Н	Н	Н	Н
XA1861	СН3СН2-	CN-S	Н	Н	Н	Н
XA1862	СН3СН2-	CN-C	Н	Н	Н	Н
XA1863	СН3СН2-	(n-(>)	Н	Н	Н	Н
XA1864	СН3СН2-	\_\_\_\_\_\_	Н	н	Н	н
XA1865	СН3СН2-	(N-(2)	Н	н	н	Н
XA1866	СНЗСН2-	_N-{_}-!	Н	Н	н	Н
XA1867	СН3СН2-	©N-{	Н	Н	Н	Н
XA1868	СН3СН2-	o_n-{}	Н	Н	н	н
XA1869	СН3СН2-	o_n- <u>(</u> )-;	Н	Н	Н	Н
XA1870	СН3СН2-	H₃CN N-	Н	Н .	Н	Н
XA1871	СНЗСН2-	H₃CN_N-⟨_}	Н	Н	Н	н
XA1872	CH3CH2-	H <sub>3</sub> CN_N-{_}-{	Н	Н	Н	H

No.	R1	R2	R3	R4	R5	R6
XA1873	СН3СН2-	OCH <sub>3</sub>	Н	Н	н	Н
XA1874	СН3СН2-	· ,	н	н	H	Н
XA1875	СНЗСН2-	OCH <sub>3</sub>	Н	Н	н	Н
XA1876	снзсн2-		н	н	Н	Н
XA1877	снзсн2-		Н	Н	н	H
XA1878	СН3СН2-	СН3-	Н	снз-	Н	Н
XA1879	СН3СН2-	СН3СН2-	Н	снз-	Н	Н
XA1880	СН3СН2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	снз-	Н	Н
XA1881	снзсн2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	СН3-	н	Н
XA1882	СН3СН2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	снз-	н	Н
XA1883	снзсн2-	人人	Н	СН3-	н .	H
XA1884	снзсн2-		н՝	СН3-	Н	Н
XA1885	СН3СН2-	7	Н	СН3-	Н	Н
XA1886	снзсн2-	<b>^</b>	Н	СН3-	н	Н
XA1887	СН3СН2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	СН3-	Н	Н
XA1888	СН3СН2-	<u> </u>	Н	снз-	н	Н
XA1889	СН3СН2-	7	Н	СН3-	н	н
XA1890	СН3СН2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	СН3-	н	Н
XA1891	СН3СН2-		Н	СН3-	н	Н
XA1892	снзсн2-	<b>^</b>	Н	СН3-	Н	Н
XA1893	СН3СН2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	СН3-	Н	Н
XA1894	снзсн2-	n-C8H17-	Н	СН3-	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA1895	снзсн2-		Н	СН3-	Н	н
XA1896	СН3СН2-		н	СН3-	н	Н
XA1897	CH3CH2-		н	СН3-	Н	Н
XA1898	СН3СН2-		н	снз-	н	Н
XA1899	СН3СН2-	ightharpoonup	Н	СН3	H	Н
XA1900	СН3СН2-	$\Diamond$ -1	Н	СН3-	Н	Н
XA1901	СН3СН2-		H	СН3-	н	Н
XA1902	СН3СН2-		Н	СН3-	Н	Н
XA1903	СН3СН2-		Н	CH3-	Н	Н
XA1904	СН3СН2-	<b>◯</b> −₁	Н	CH3-	Н	н
XA1905	СНЗСН2-		Н	CH3-	Н	н
XA1906	СНЗСН2-	<b>⊘</b> :∤	Ħ.	CH3-	Н	Н
XA1907	СНЗСН2-	F	н	CH3-	Н	Н
XA1908	СНЗСН2-	F	Н	СН3-	Н	Н
XA1909	СНЗСН2-	F-(	Н	СН3-	Н	н
XA1910	СН3СН2-	F(-)(	Н	СН3	Н	Н
XA1911	CH3CH2-		Н	СН3-	н	Н
XA1912	СНЗСН2-	CI	Н	СН3-	Н	Н
XA1913	СН3СН2-	CI	Н	СН3-	н́	н
XA1914	СН3СН2-	c⊢	н <sub>.</sub>	СН3-	Н	н
XA1915	СНЗСН2-	CH-(_)	Н	СН3-	Н	Н
XA1916	СНЗСН2-	C⊢ <b>⟨</b> _} <sub>!!</sub> {	H	СН3-	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA1917	СНЗСН2-	Br —}	Н	СН3-	Н	Н
XA1918	СНЗСН2-	Br.	н	СН3-	Н	Н
XA1919	снзсн2-	Br- <b>(</b> )-{	Н	СН3-	Н	Н
XA1920	Снзсн2-	Br- <b>⟨_</b> > <del>-</del> {	н .	сн3-	Н	н
XA1921	СНЗСН2-	Br—{	н	СН3-	Н	Н
XA1922	СНЗСН2-	<b>◯</b> -₁	Н	СН3-	Н	Н
XA1923	СНЗСН2-	<b>├</b>	Н	СН3-	Н	н
XA1924	СНЗСН2-	<del>-</del>	Н	СН3-	H	н
XA1925	СН3СН2-	CH₃ ◯>–{	Н	СН3-	н	Н
XA1926	Снзсн2-	H <sub>3</sub> C	Н	СН3-	н	Н
XA1927	СН3СН2-	H <sub>3</sub> C-{}-{	Н	СН3-	н	Н
XA1928	СН3СН2-	C <sub>2</sub> H <sub>5</sub> {}	Н	СН3-	Н	н
XA1929	СНЗСН2-	n-C <sub>3</sub> H <sub>7</sub> {}-{	Н	CH3-	H	Н
XA1930	СН3СН2-	n-C <sub>4</sub> H <sub>9</sub> -{}-{	Н	СН3-	н	Н
XA1931	СНЗСН2-	OH	Н	СН3-	н	Н
XA1932	снзсн2-	HO	Н	СН3-	н	Н
XA1933	СН3СН2-	HO-{\bigcirc}-{	Н	СН3-	Н	Н
XA1934	Снзсн2-	OCH <sub>3</sub>	Н	СН3-	н	Н
···XA1935	СН3СН2-	H₃CO ——;	Н	СН3-	Н	Н
XA1936	СН3СН2-	H₃CO-⟨}-{	Н	СН3-	Н	Н
XA1937	СН3СН2-	H <sub>3</sub> CO-(	Н	СН3-	н	Н
XA1938	СНЗСН2-	H <sub>3</sub> CO-	H •	снз-	Н	Н

No.	R1	R2	R3	R4	R5	R6
VATOOR	01100110	OC <sub>2</sub> H <sub>5</sub>				110
XA1939	CH3CH2-	<u>⟨_</u> ⟩_{ C <sub>2</sub> H <sub>5</sub> Q	Н	CH3-	Н	Н
XA1940	СН3СН2-	J	н	СН3-	Н	н
XA1941	снзсн2-	C <sub>2</sub> H <sub>5</sub> O-{}-{	Н	СН3-	Н	н
XA1942	СН3СН2-	n-C <sub>3</sub> H <sub>7</sub> O-	Н	CH3-	н	н
XA1943	СН3СН2-	n-C <sub>4</sub> H <sub>9</sub> O-	Н	CH3-	н	Н
XA1944	снзсн2-	NO <sub>2</sub>	Н	СН3-	н	Н
XA1945	СН3СН2-	O <sub>2</sub> N	Н	СН3-	Н	Н
XA1946	СН3СН2-	O <sub>2</sub> N-{}	н	СН3-	н	Н
XA1947	СНЗСН2-	CN △	Н	СН3-	Н	H .
XA1948	СН3СН2-	NC	Н	СН3-	Н	н
XA1949	СН3СН2-	NC-{}	Н	СН3-	Ĥ	Н
XA1950	СНЗСН2-	NH <sub>2</sub>	Н	СН3-	Н	Н
XA1951	СН3СН2-	H <sub>2</sub> N	Н	СН3-	Н	н
XA1952	СН3СН2-	H <sub>2</sub> N-{\bigcirc}-{\bigcirc}	н	СН3-	Н	Н
XA1953	СН3СН2-	NMe <sub>2</sub>	Н	СН3-	н	Н
XA1954	СН3СН2-	Me <sub>2</sub> N · ⟨¯⟩–⊰	Н	СН3-	н	Н
XA1955	СН3СН2-	Me <sub>2</sub> N-√∑	Н	СН3-	Н	Н
XA1956	СНЗСН2-	Cn-C	Н	СН3-	н	Н
XA1957	СН3СН2-	(N-Q)	Н	СН3-	Н	Н
XA1958	СН3СН2-	_N-{_}-;	Н	СН3-	Н	н
XA1959	СН3СН2-	\n-\_\)	Н	СН3-	н	н
XA1960	CH3CH2-	\\\-\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	СН3-	Н	Н

No.	R1	R2	R3	R4	R5	R6
XA1961	СН3СН2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	СН3-	н	н
XA1962	СН3СН2-		Н	СН3-	Н	Н
XA1963	СНЗСН2-	<u> </u>	Н	СН3-	Н	Н
XA1964	СН3СН2-	O_N-{_}-\	Н	СН3-	Н	н
XA1965	СН3СН2-	H <sub>3</sub> CN_N_	Н	СН3	Н	Н
XA1966	СН3СН2-	H <sub>3</sub> CN N-	н	СН3-	Н	Н
XA1967	СН3СН2-	H3CN_N-{_}-{	Н	СН3-	Н	Н
XA1968	СН3СН2~	OCH₃ F—⟨∑}—{	H	снз-	н	н
XA1969	СН3СН2-	OCH <sub>3</sub> F—(S)→(	Н	СН3-	н	н
XA1970	СН3СН2-	OCH <sub>3</sub> F——>ı{	H	СН3-	н	Н
XA1971	СН3СН2-		Н	снз-	н	Н
XA1972	СН3СН2-		Н	СН3-	Н	Н

No.	STRUCTURE
XA1973	
VA 4074	CI N N O CH <sub>3</sub>
XA1974	Br N O CH <sub>3</sub>
XA1975	CH <sub>3</sub> O N CH <sub>3</sub> O CH <sub>3</sub>
XA1976	CIH CIH

XA1977	CIH CIH N N N N N N N N N N N N N N N N N N N
XA1978	CI N N CH <sub>3</sub>
XA1979	CI N N CH <sub>3</sub>
XA1980	HCI HCI HCI N N N CH <sub>3</sub>

XA1981	
	HCI HCI HCI CH <sub>3</sub>
XA1982	HCI HCI HCI N N N N O CH <sub>3</sub>
XA1983	CIH CIH CH <sub>3</sub>
XA1984	CIH CIH N N O N CH <sub>3</sub> C CH <sub>3</sub>

XA1985	
	CIH CIH N N N CH <sub>3</sub> CH <sub>3</sub>
XA1986	CIH CIH N N O CH <sub>3</sub> CH <sub>3</sub>
XA1987	CIH CIH N N O CH <sub>3</sub>
XA1988	HCI HCI N H <sub>3</sub> C HCI HCI N N CH <sub>3</sub>

XA1989	
	HCI HCI N N N N N N N N N N N N N N N N N N N
XA1990	HCI HCI NO HCI HCI NO CH <sub>3</sub> C NO CH <sub>3</sub> C
XA1991	CH <sub>3</sub> HCI N N O CH <sub>3</sub>
XA1992	CIH CIH N N O CH <sub>3</sub>

XA1993	CIH CIH N N O
XA1994	CIH CIH
XA1995	N CH <sub>3</sub>
	CIH  CIH  CIH  N  N  N  CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub>
XA1996	CH <sub>3</sub> CIH CIH N N CH <sub>3</sub> CH <sub>3</sub>

XA1997	T
	CIH CIH NN O H <sub>3</sub> C CH <sub>3</sub>
XA1998	CIH CIH
XA1999	HCI CIH CIH N N N CH <sub>3</sub> C CH <sub>3</sub>
XA2000	CIH CIH N CH <sub>3</sub>

XA2001	CIH CIH N N O CH <sub>3</sub>
XA2002	CIH CIH N N N O CH <sub>3</sub> CH <sub>3</sub>
XA2003	
XA2004	HCI  HCI  N  N  N  CH <sub>3</sub>

XA2005	
	HCI HCI CI N N N N CH <sub>3</sub>
XA2006	HCI HCI N N N CH <sub>3</sub>
XA2007	HCI HCI HCI N N N N CH <sub>3</sub>

XA2008	
	H <sub>3</sub> C S O N O CH <sub>3</sub>
XA2009	HCI HCI CH <sub>3</sub>
XA2010	HCI  HCI  N  N  CH <sub>3</sub> CH <sub>3</sub>
XA2011	ONNNNNO CH <sub>3</sub>

XA2012	H <sub>3</sub> C-SOOH OH CH <sub>3</sub>
XA2013	HCI HCI N HCI N N N CH <sub>3</sub>
XA2014	HCI HCI N N N N N N N N N N N N N N N N N N N
XA2015	HCI HCI N N N O CH <sub>3</sub>

XA2016	HCI HCI HCI CH <sub>3</sub>
XA2017	HCI  CH <sub>3</sub> HCI  N  N  N  CH <sub>3</sub> CH <sub>3</sub> O  CH <sub>3</sub>
XA2018	N N N N N CH <sub>3</sub>
XA2019	H <sub>3</sub> C N N N O CH <sub>3</sub>

XA2020	HO N N N O CH <sub>3</sub>
XA2021	H <sub>3</sub> C O N N N O CH <sub>3</sub>
XA2022	N N N N O CH <sub>3</sub>
XA2023	O CIH CIH N N O CH <sub>3</sub>
XA2024	HO—NNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNNN

54.555	
XA2025	H <sub>3</sub> C N N N N O CH <sub>3</sub>
XA2026	CH <sub>3</sub> N  N  CH <sub>3</sub> N  CH <sub>3</sub>
XA2027	H <sub>3</sub> C S O CH <sub>3</sub>
XA2028	N N N O CH <sub>3</sub>

XA2029	F F F N N N N N N N N N N N N N N N N N
XA2030	F F F N
XA2031	H <sub>3</sub> C N
: -	O CH <sub>3</sub>
XA2032	N N N O CH <sub>3</sub>

Ty a coop	
XA2033	H <sub>3</sub> C
XA2034	CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub>
XA2035	CH <sub>3</sub> O CH <sub>3</sub>

XA2036	CI N N N N O CH <sub>3</sub>
XA2037	CI CI CH <sub>3</sub>
XA2038	CI CI CH <sub>3</sub>
XA2039	O N N CH <sub>3</sub>

XA2040 .	N
·	CH <sub>3</sub>
XA2041	N CH <sub>3</sub>
XA2042	H <sub>3</sub> C O CH <sub>3</sub>
XA2043	N
	H <sub>3</sub> C O CH <sub>3</sub>

XA2044	
	CH <sub>3</sub> S N N CH <sub>3</sub> CH <sub>3</sub>
XA2045	H <sub>3</sub> C O CH <sub>3</sub>
XA2046	H <sub>3</sub> C CH <sub>3</sub> N N N O CH <sub>3</sub>
XA2047	H <sub>3</sub> C N N N O CH <sub>3</sub>

XA2048	
	H <sub>2</sub> N N N N O CH <sub>3</sub>
A2049	H <sub>3</sub> C N N N O CH <sub>3</sub>
XA2050	Br Z CH <sub>3</sub>
XA2051	Br N O CH <sub>3</sub>

VA2052	· · · · · · · · · · · · · · · · · · ·
XA2052	Br N O CH <sub>3</sub>
XA2053	H <sub>3</sub> C O N CH <sub>3</sub> CH <sub>3</sub> O
XA2054	F N N O CH <sub>3</sub>
XA2055	N N N CH <sub>3</sub>

Table-2					
		R <sub>3</sub> R <sub>2</sub> N N N R <sub>1</sub>			
No	R1	R2	R3	R4	R5
XB1	СН3-	СН3-	Н	Н	н .
XB2	СН3-	СН3СН2-	н	Н	Н
ХВ3	СН3-	<b>∕</b> ∖∖\	Н	Н	Н
XB4	CH3-	7	н	Н	Н
XB5	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	Н
XB6	СН3-	人、	Н	H ,	Н
XB7	СН3-	7	Н	Н	Н
XB8	CH3-	<b>/</b> √√\	Н	Н	Н
XB9	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	н	Н
XB10	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	Н
XB11	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	Н
XB12	снз-	· · · · · · · · · · · · · · · · · · ·	Н	Н	Н
XB13	СН3-		Н	Н	Н
XB14	СН3-		н	Н	Н
XB15	СН3-		Н	Н	Н
XB16	CH3-	<u></u>	H	Н	Н
XB17	СН3-	F →	н	Н	Н

No	R1	R2	R3	R4	R5
XB18	СН3-	<b>F</b> ;	Н	н	Н
XB19	снз-		Н	Н	Н
XB20	снз-	CI	Н	Н	Н
XB21	СН3-	CI	Н	н	Н
XB22	СН3-	C⊢——;	Н	Н	Н
XB23	СН3-	Br	Н	Н	Н
XB24	снз-	Br. →	Н	Н	Н
XB25	СН3-	Br—{	Н	Н	Н
XB26	СН3-	CH <sub>3</sub>	Н	Н	Н
XB27	снз-	H <sub>3</sub> C —∤	Н	Н	Н
XB28	СН3-	H <sub>3</sub> C-{\bigcirc}{\bigcirc}	Н	Н	Н
XB29	СН3-	C <sub>2</sub> H <sub>5</sub> -{	Н	н	Н
XB30	СН3-	OH	Н	Н	Н
XB31	СН3-	HO	Н	H	Н
XB32	СН3-	HO-{-}-}	Н	Н	H
ХВ33	СН3-	OCH <sub>3</sub>	Н	Н	н
XB34	СН3-	H <sub>3</sub> CO	H ·	Н	Н
XB35	СН3-	H <sub>3</sub> CO-{	Н	Н	Н
XB36	CH3-	C <sub>2</sub> H <sub>5</sub> O-{	н	Н	Н
XB37	CH3-	NO <sub>2</sub>	н	Н	Н
XB38	СН3-	O <sub>2</sub> N	Н	Н	Н

No	R1	R2	R3	R4	R5
XB39	СН3-	O <sub>2</sub> N-{}	н	Н	Н
XB40	СН3-	CN	н	Н	Н
XB41	СН3-	NC	Н	Н	Н
XB42	СН3-	NC-{_}	н	Н	н
XB43	СН3-	Cn^Q,	Н	Н	н
XB44	СН3-		Н	Н	Н
XB45	СН3-	CC	Н	Н	н
XB46	СН3	ON CON	Н	Н	н
XB47	CH3-	FON	Н	H	н
XB48	СН3-	Q N	Н	Н	Н
XB49	CH3-	O.N.	Н	Н	Н
XB50	СН3-	<u></u>	ОН	Н	Н
XB51	СН3-	F	ОН	Н	Н
XB52	СН3-	F	ОН	н	Н
XB53	CH3-	F-{_}_{}	ОН	Н	Н
XB54	СН3-	CI	он	Н	н
XB55	СН3-	CI	ОН	Н	Н
XB56	CH3-	C⊢	ОН	Н	Н
XB57	СН3-	Br \_\{	ОН	Н	н
XB58	CH3-	Br.	он	Н	. н
XB59	снз-	Br-{_}{	он	Н	Н

NIa	ID1	IDO	· · · · · · · · · · · · · · · · · · ·	·	
No	R1	R2 CH <sub>3</sub>	R3	R4	R5
XB60	СН3-	<b>◯</b> ≻-;	он	н	н
XB61	СН3-	H <sub>3</sub> C	он	н	Н
XB62	СН3-	H <sub>3</sub> C-{{{4}}	он	Н	Н
XB63	СН3-	C <sub>2</sub> H <sub>5</sub> —{	он	Н	н
XB64	СН3-	OH →	он	Н	Н
XB65	CH3-	HO	он	Н	Н
XB66	СН3-	HO-{}	он	Н	н
XB67	СН3-	OCH₃	он	Н	Н
XB68	СН3-	H <sub>3</sub> CO	ОН	Н	H-
XB69	СН3-	H <sub>3</sub> CO-{	<u>:</u> ОН	Н	Н
XB70	CH3-	C <sub>2</sub> H <sub>5</sub> O-{	он .	н	н
XB71	СН3-	NO <sub>2</sub>	. OH	Н	Н
XB72	снз-	O <sub>2</sub> N	ОН	Н	Н
XB73	СН3-	$O_2N-$	он	Н	Н
XB74	СН3-	CN	он	Н	Н
XB75	СН3-	NC	он	Н	Н
XB76	CH3-	NC-{\rightarrow}{\rightarrow}	он .	Н	Н
XB77	СН3-	CNO	он	Н	Н
XB78	снз-		он	Н	Н
XB79	СН3-	CC '	ОН	Н	Н
XB80	СН3-	<u></u>	CN	н	Н

No	R1	R2	R3	R4	R5
XB81	СН3-	F	CN	н	Н
XB82	СН3-	F	CN	н	Н
XB83	CH3-	F-{}-{	CN	Н	Н
XB84	СН3-	CI	CN	Н	Н
XB85	СН3-	CI	CN	Н	Н
XB86	СН3-	C⊢	CN	Н	Н
XB87	CH3-	Br	CN	н	Н
XB88	CH3-	Br.	CN	Н	Н
XB89	СН3-	Br—⟨{	CN	H	Н
XB90	снз-	CH <sub>3</sub>	CN	н	Н
XB91	СН3-	H <sub>3</sub> C·	CN	Н	н
XB92	СН3-	H <sub>3</sub> C-{}-{	CN	Н	н
XB93	СН3-	C <sub>2</sub> H <sub>5</sub> —{	CN	Н	н
XB94	снз-	OH	CN	Н	Н
XB95	СН3-	HO ————————————————————————————————————	CN	H	н
XB96	СН3-	HO-{\bar{\bar{\bar{\bar{\bar{\bar{\bar	CN .	Н	н
XB97	СН3-	OCH <sub>3</sub>	CN	Н	Н
XB98	СН3-	H <sub>3</sub> CO	CN	Н	Н
XB99	СН3-	H₃CO-⟨\-{	CN	Н	Н
XB100	CH3~	C <sub>2</sub> H <sub>5</sub> O-{	CN	Н	Н
XB101	CH3-	NO <sub>2</sub>	CN	Н	н

No	R1	R2 O <sub>2</sub> N	R3	R4	R5
XB102	снз-	O <sub>2</sub> N	CN	н	Н
XB103	СН3-	O <sub>2</sub> N-{}	CN	н	Н
XB104	СН3-	CN	CN	Н	Н
XB105	снз-	NC	CN	Н	Н
XB106	снз-	NC-{\rightarrow}-\forall	CN	н	н
XB107	СН3-		CN	Н	Н
XB108	СН3-		CN	н .	Н
XB109	СН3-	CCY	CN	Н	Н
XB110	CH3-	Н	Н	СН3	Н
XB111	CH3-	Н	Н	CH3CH2-	Н
XB112	CH3-	H	Н	<u></u>	Н
XB113	СН3-	Н	Н	7	Н
XB114	СН3-	Н	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н
XB115	CH3-	Н	н	٠,	Н
XB116	CH3-	Н	Н	7	Н
XB117	снз-	Н	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н
XB118	CH3-	н	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н
XB119	CH3-	н	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н
XB120	СН3-	н	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н
XB121	СН3-	Н	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Υ. H
XB122	СН3-	Н	H		Н

No	R1	R2	R3	R4	R5
XB123	СН3-	Н	Н	F OH	Н
XB124	снз-	Н	Н	F OCH3	Н
XB125	снз-	н	Н		Н
XB126	снз-	Н	Н		H
XB127	снз-	н	Н	<u></u>	Н
XB128	СН3-	н	Н	F —	Н
XB129	снз-	н	н	F	Н
XB130	СН3-	Н	Н	F-{}-{	Н
XB131	СН3-	Н	Н	CI	н
XB132	снз-	Н	Н	CI	н
XB133	CH3-	Н	н	C⊢€	Н
XB134	снз-	Н	Н	CI	н
XB135	CH3-	Н	Н	Br →	н
XB136	СН3	Н	н	Br.	Н
XB137	CH3-	Н	н	Br- <b>(</b>	Н
XB138	СН3-	Н	н	CH <sub>3</sub>	н
XB139	СН3-	H :: .	Н	H <sub>3</sub> C	Н
XB140	CH3-	Н	Н	H <sub>3</sub> C-{	H
XB141	CH3-	H	Н	C <sub>2</sub> H <sub>5</sub> —{	Н
XB142	СН3-	Н	Н	OH	Н
XB143	СН3-	Н	Н	HO	Н

No	R1	R2	R3	R4	R5
XB144	СН3-	Н	Н	HO-{}	Н
XB145	СН3-	н	Н	OCH₃	Н
XB146	снз-	Н	Н	H <sub>3</sub> CO	Н
XB147	СН3-	Н	Н	H <sub>3</sub> CO-{{}	Н .
XB148	СН3-	Н	Н	C <sub>2</sub> H <sub>5</sub> O-⟨	Н
XB149	снз-	Н	Н	NO <sub>2</sub>	Н
XB150	СН3-	н	Н	O <sub>2</sub> N	Н
XB151	снз-	Н	Н	O <sub>2</sub> N-{}	Н
XB152	СН3-	Н	Н	CN	Н
XB153	СН3-	H :	Н	NC	Н
XB154	СН3-	н :	Н	NC-{\rightarrow}-{\rightarrow}	н
XB155	СН3-	H =	Н		Н
XB156	СН3-	Н	Н	CCT	Н
XB157	СН3-	Н	Н	F	Н
XB158	СН3-	Н	Н	FON	Н
XB159	СН3-	Н	Н	F N H	н
XB160	СН3-	Н	Н		Н
XB161	СН3-	Н	Н	N-I	Н
XB162	СН3-	Н	Н	CT\$-0	Н
XB163	СН3-	Н	Н	O <sub>N</sub> 's	Н
XB164	CH3-	Н	Н	F N, h	Н

No	R1	R2	R3	R4	R5
XB165	СН3-	н .	Н	CH <sub>3</sub>	н
XB166	CH3-	н	Н	F CH	H 3
XB167	снз-	Н	Н	H <sub>3</sub> CO	Н
XB168	СН3-	Н	Н	F N <sup>3</sup> H <sub>3</sub> C O	Н

	No	R1	R2		IDO		
	XB169				R3	R4	R5
	YP109	CH3-	H		Н	<u></u> ;	он
	XB170	СН3-	н		Н	F \_\_{\}	ОН
	XB171	CH3-	Н		Н	F	он
	XB172	CH3-	Н		Н	F-{}-	он
	XB173	СН3-	н .		Н	CI	он
	XB174	СН3-	Н	1	Н	CI →	ОН
	XB175	СН3-	H	ŀ	-1	C⊢{	ОН
	XB176	СН3-	Н	F	1	Br	. ОН
	XB177	CH3-	Н	Į-	i	Br.	ОН
	XB178	СН3-	н	Н		Br-{_}	ОН
	XB179	СН3-	Н	Н		CH <sub>3</sub>	ОН
L	XB180	СН3~	Н	н		H <sub>3</sub> C —}	ОН
L	XB181	CH3-	Н	Н		H₃C-⟨}_{	ОН.
L	XB182	СН3-	н	Н		$C_2H_5$	ОН
	XB183	CH3-	Н	Н		OH →	ОН
	XB184	CH3-	н	н	Î	HO	ОН
	XB185	CH3-	Н	н	ŀ	HO-{\bar{\bar{\bar{\bar{\bar{\bar{\bar	он

No	R1	R2	R3	R4	R5
XB186	CH3-	Н	Н	OCH <sub>3</sub>	он
XB187	CH3-	Н	H	H₃CO —}-	он
XB188	СН3-	н	н .	H <sub>3</sub> CO-{_}-{	он
XB189	CH3~	Н	Н	C <sub>2</sub> H <sub>5</sub> O-{{}}	он
XB190	СН3-	Н	Н	NO <sub>2</sub>	он
XB191	CH3-	Н	Н	O <sub>2</sub> N	он
XB192	СН3-	Н	Н	$O_2N-$	ОН
XB193	СН3-	Н	Н	CN →	он
XB194	СН3-	Н	Н	NC{	он
XB195	СН3-	H	Н	NC-{\rightarrow}	он
XB196	CH3-	Н	н		ОН
XB197	СН3-	Н	Н	CCY'	он
XB198	СН3-	н .	Н	<u></u>	CN
XB199	СН3-	Н	н	F —{	CN
XB200	СН3-	Н	Н	F	CN
XB201	СН3-	Н	н	F-{_}	CN
XB202	СН3-	н	Н	CI ·	CN
XB203	СН3-	Н	н	CI	CN
XB204	СН3-	Н	Н	C⊢ <b>(</b>	CN
XB205	CH3-	Н	Н	Br	CN
XB206	CH3-	Н	Н	Br. →	CN

	No	To:				·	
	IVO	R1	R2		R3	R4	R5
	XB207	7 CH3-	н		Н	Br─ੑੑੑ	CN
	XB208	СН3-	Н		Н	CH₃	CN
	XB209	СН3-	Н		Н	H <sub>3</sub> C	CN
	XB210	СН3-	Н		Н	H <sub>3</sub> C-{}-{	CN
	XB211	CH3-	н		Н	C <sub>2</sub> H <sub>5</sub> —{	CN
	XB212	СН3-	Н		Н	OH	CN
	XB213	СН3-	Н		Н	HO HO	CN
	XB214	СН3-	Н		Н	HO-{_}_}	CN
	XB215	СН3-	Н		Н	OCH <sub>3</sub>	CN
	XB216	CH3-	н		н	H <sub>3</sub> CO	CN
	XB217	CH3-	н		Н	H <sub>3</sub> CO-{\rightarrow}-{\rightarrow}-{\rightarrow}	CN
	XB218	CH3-	н		Н	C <sub>2</sub> H <sub>5</sub> O-{	CN
	XB219	СН3-	Н		Н	NO <sub>2</sub>	CN
L	XB220	СН3-	Н	·	Н	O <sub>2</sub> N ⟨	CN
Ŀ	XB221	снз-	Н		Н	O <sub>2</sub> N-{	CN
	XB222	снз-	н		Н	CN	CN
	XB223	СН3-	Н		Η .	NC	CN
	XB224	СН3-	Н	ŀ	1	NC-{_}	CN
	XB225	снз-	Н	ŀ	1		CN
	XB226	СН3-	Н	ŀ	1	O)'	CN
	XB227	СН3-	Н	Į.	ı		0

No	R1	R2	R3	R4	R5
XB228	СН3-	н	Н	F ————————————————————————————————————	
XB229	СН3-	н	Н	<b>F</b> ;	0
XB230	СН3-	Н	Н	F-(){	0=
XB231	СН3-	н	Н	CI	O
XB232	СН3-	н	Н	CI	0
XB233	СН3-	н	Н	C⊢ <b>∕</b> _}	0=
XB234	CH3-	н	Н	Br ∰-{	<u></u>
XB235	СН3	H .	н .	Br  →	<u></u>
XB236	СН3-	Н	Н "	B <b>r</b> —⟨}	,
XB237	снз-	н	н	CH <sub>3</sub>	٠ - -
XB238	снз-	Н	Н	H <sub>3</sub> C	0
XB239	CH3-	H	Н	H <sub>3</sub> C-{	0
XB240	CH3-	Н	Н	C <sub>2</sub> H <sub>5</sub> {	O=\}
XB241	снз-	н	Н	OH →	) 
XB242	CH3-	Н	Н	HO	0
XB243	снз-	н	н	HO-{\bar{\bar{\bar{\bar{\bar{\bar{\bar	<u></u>
XB244	CH3-	H	Н	OCH <sub>3</sub>	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
XB245	CH3-	н	Н	H <sub>3</sub> CO	<u></u>
XB246	СН3-	Н	H	H <sub>3</sub> CO-{{}	<u></u>
XB247	СН3-	Н .	Н	C <sub>2</sub> H <sub>5</sub> O-{	<u></u>
XB248	СН3-	Н	Н	NO <sub>2</sub>	<u></u>

No	R1	R2	R3	R4	R5
XB249	CH3-	Н	Н	O <sub>2</sub> N	0
XB250	СН3-	н	Н	O <sub>2</sub> N-{}	0
XB251	CH3-	Н	н	CN →	0
XB252	снз-	н	Н	NC →	0
XB253	СН3-	н	H	NC-{}	0
XB254	СН3-	н	н		0
XB255	СН3-	Н	н.	CC'	0

No.	STRUCTURE
XB256	N N N O CH <sub>3</sub>
XB257	* N N O CH <sub>3</sub>
XB258	CIH N N O CH <sub>3</sub>
XB259	ON NO CH <sub>3</sub>

XB260	
	CIH N O CH <sub>3</sub>
XB261	N CH <sub>3</sub>
XB262	H <sub>3</sub> C N N N O CH <sub>3</sub>
XB263	CIH CIH N N N N O CH <sub>3</sub>

XB264	
AD204	H <sub>3</sub> C N N N O CH <sub>3</sub>
XB265	H <sub>3</sub> C N N N O CH <sub>3</sub>
XB266	CIH CIH NN N O CH3
XB267	Br CH <sub>3</sub>
XB268	Br CH <sub>3</sub>

XB269	
	N N N O CH <sub>3</sub>
XB270	N CH <sub>3</sub>
XB271	F CH <sub>3</sub>
XB272	F F N N O CH <sub>3</sub>

XB273	
	CH <sub>3</sub> N N N N O CH <sub>3</sub>
XB274	O CH <sub>3</sub> N N O CH <sub>3</sub>
XB275	CH <sub>3</sub> N N O CH <sub>3</sub>
XB276	CH <sub>3</sub> N N O CH <sub>3</sub>

XB277	O CH <sub>3</sub> N N O CH <sub>3</sub> CH <sub>3</sub>
ЖВ278	CH <sub>3</sub> N CH <sub>3</sub> O CH <sub>3</sub>
XB279	CH <sub>3</sub> N N CH <sub>3</sub> O CH <sub>3</sub>
XB280	CH <sub>3</sub> N N CH <sub>3</sub> CH <sub>3</sub>
XB281	Br N N O CH <sub>3</sub>

XB282	O CH <sub>3</sub>
XB283	HO N N N O CH <sub>3</sub>
XB284	CH <sub>3</sub> N N CH <sub>3</sub> O CH <sub>3</sub>
XB285	ON NO CH <sub>3</sub>
XB286	N CH <sub>3</sub>

XB287	
	H <sub>3</sub> C N N N O CH <sub>3</sub>
XB288	CH <sub>3</sub> C N N CH <sub>3</sub>
XB289	N N N N N N N N N N N N N N N N N N N
XB290	H <sub>3</sub> C N CH <sub>3</sub>

XB291	HO CH <sub>3</sub>
XB292	N N CH <sub>3</sub>
XB293	OCH <sub>3</sub> N CH <sub>3</sub>
XB294	H <sub>3</sub> C <sub>O</sub> CH <sub>3</sub>
XB295	O <sub>CH<sub>3</sub></sub> O <sub>CH<sub>3</sub></sub>

XB296	
	CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub>
XB297	H <sub>3</sub> C N CH <sub>3</sub>
XB298	N CH <sub>3</sub>
XB299	N N O CH <sub>3</sub>

XB300	
	N N N O CH <sub>3</sub>
ЖВ301	N N N O CH <sub>3</sub>
XB302	CH <sub>3</sub> CH <sub>3</sub> O CH <sub>3</sub>

Tab	le-3				
			, I N		
			R <sup>3</sup> R <sup>2</sup> N N N O		
-	No.	R1			
YA	\0001	CH3-	R2 H	R3	R4
YA	0002	CH3-	Н	H	CH3- CH3CH2-
YA	0003	CH3-	Н	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
YA	0004	CH3-	Н	Н	74
YA	0005	СН3-	Н	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
YA	0006	СН3-	. Н	Н	人。
YA	0007	СН3-	Н	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
YA	8000	СН3-	Н	Н	7
YAC	0009	СН3-	Н	Н	
		<del> </del>			_\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
YAC	010	· CH3~	н :	н	
YA0	011	СН3-	н	Н	
YA0	012	CH3-	Н	Н	
YAO	013	CH3-	Н	н	
YAO	014	CH3-	н	Н	
YAOO	015	CH3-	Н	Н	
YAOO	016	CH3-	Н	Н	
YA00	117	CH3-	Н	· · · · · · · · · · · · · · · · · · ·	
	$\dashv$			Н	F
YA00	18	CH3-	Н	Н	
YA00	19	СН3-	Н	Н	F -3
YA002	20	СН3-	Н	Н	F-()
YA002	21	CH3-	н	Н	CI
		————J			<u> </u>

No. YA0022	R1	R2	R3	R4
YA0022		i		
	CH3-	Н	н	CI
YA0023	СН3-	н	H	CI—{_}
YA0024	CH3-	Н	Н	Br
YA0025	CH3-	Н	Н	Br. —∤
YA0026	CH3-	Н	H	Br—{_}_{{}}
YA0027	CH3-	Н.	Н	
YA0028	CH3-	Н	Н	<b>├</b> ;
YA0029	СН3-	Н	Н	<b>I</b> —⟨
YA0030	CH3-	Н	Н	CH₃
YA0031	CH3-	н	Н	H <sub>3</sub> C
YA0032	СН3-	Н	Н	H₃C- <b>{_</b> }-{
YA0033	снз-	Н	Н	C <sub>2</sub> H <sub>5</sub> —{{{ }}}—{
YA0034	CH3-	н	. н	n-C <sub>3</sub> H <sub>7</sub> {}\{
YA0035	CH3-	н	Н	n-C <sub>4</sub> H <sub>9</sub> {}{
YA0036	CH3-	Н	Н	OH
YA0037	CH3-	Н	Н	H <del>O</del> →
YA0038	CH3-	Н	Н	HO:-{\bigs}
YA0039	CH3-	н	Н	OCH₃
YA0040	СН3-	Н	H	H <sub>3</sub> CO
YA0041	СН3-	Н	н	H <sub>3</sub> CO-{}
YA0042	СН3-	Н	Н	C <sub>2</sub> H <sub>5</sub> O-{}

	No.	R1	R2	R3	R4
	YA0043	СН3-	Н	Н	n-C <sub>3</sub> H <sub>7</sub> O-
	YA0044	CH3-	Н	Н	n-C <sub>4</sub> H <sub>9</sub> O-
	YA0045	: CH3-	Н	Н	NO <sub>2</sub>
	YA0046	СН3-	Н	Н	$O_2N$
	YA0047	СН3-	Н	Н	$O_2N-$
	YA0048	СН3-	Н	Н	CN Ch
	YA0049	СН3-	Н	Н	NC
	YA0050	CH3-	Н .	Н	NC-{}-
	YA0051	CH3-	H	Н	CF <sub>3</sub>
	YA0052	CH3-	н	Н	F <sub>3</sub> C
	YA0053	CH3-	Н	·Н	F <sub>3</sub> C-{
L	YA0054	СН3-	Н	Н	COOH ←
	YA0055	CH3-	Н	Н	HOOC
L	YA0056	СН3-	Н	н	HOOC-{}-{
	YA0057	CH3-	Н .	Н	CO <sub>2</sub> Me
L	YA0058	CH3-	Н	Н	MeO <sub>2</sub> C
	YA0059	СН3-	н	Н	MeO <sub>2</sub> C-{}
	YA0060	CH3-	Н	н	CO <sub>2</sub> Et
,	YA0061	CH3-	Н	Н	1O <sub>2</sub> C
,	YA0062	CH3-	Н	нЕ	EtO <sub>2</sub> C-{}-{
`	YA0063	СН3-	Н	Н	SMe
			<del></del>		

No.	R1	R2	R3	R4
YA0064	CH3-	Н	Н	MeS
YA0065	CH3-	Н	Н	MeS-{
YA0066	CH3-	Н	Н	SO <sub>2</sub> Me
YA0067	CH3-	H	Н	MeO <sub>2</sub> S
YA0068	CH3-	Н	H	MeO₂S-⟨}-{
YA0069	СН3-	Н	Н	NH <sub>2</sub>
YA0070	CH3-	Н	,H	H <sub>2</sub> N
YA0071	СН3-	Н	Н	$H_2N$
YA0072	СН3-	Н	Н.	NMe <sub>2</sub>
YA0073	CH3-	Н	Н	Me <sub>2</sub> N
YA0074	CH3-	н	H	Me <sub>2</sub> N-\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
YA0075	CH3-	н	Н	
YA0076	CH3-	н	Н	CC '
YA0077	CH3-	Н	Н	
YA0078	CH3-	н	Н	() N
YA0079	CH3-	Н	Н	
YA0080	CH3-	н	Н	FO

No.	R1	R2	R3	D4
YA0081	СН3-	Н	Н	R4
YA0082	CH3-	Н	Н	E
YA0083	CH3-	Н	Н	CI O
YA0084	CH3-	Н	Н	CI

No.	R1	R2	R3	R4
YA0085	СН3-	н	Н	CI CI
YA0086	СН3~	н	Н	Br O
YA0087	CH3-	н	Н	Br
YA0088	CH3-	н	Н	Br.
YA0089	CH3-	Н	Н	CH.
YA0090	CH3-	Н	Н	H <sub>3</sub> C
YA0091	CH3-	Н	Н	H <sup>3</sup> C
YA0092	CH3-	Н	Н	CH₃O O
YA0093	СН3-	Н	Н	H <sub>3</sub> CO
YA0094	СН3-	Н	Н	H <sub>3</sub> CO
YA0095	CH3-	Н	H -	NOO
YA0096	СН3-	H	Н	O <sub>2</sub> N
YA0097	CH3-	н	Н	O <sub>2</sub> N
YA0098	CH3-	Н	Н	OHO
YA0099	CH3-	. H	Н	HO
YA0100	CH3-	Н	Н	НО
YA0101	CH3-	Н	Н	NHO

No.	R1	R2	R3	
YA0102	СН3-	Н	Н	H <sub>2</sub> N
YA0103	CH3-	Н	н	H <sub>2</sub> N , r
YA0104	CH3-	Н	Н	CNO
YA0105	CH3~	Н	Н	NC J

No.	R1	R2	R3	R4
YA0106	СН3-	н	Н	NC P
YA0107	CH3-	н	Н	Çi,
YA0108	CH3-	Н	H	OJ.
YA0109	CH3-	Н	Н	<u></u> ,
YA0110	CH3-	Н	Н	<b>\</b>
YA0111	CH3-	Н	Н	~\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
YA0112	CH3-	Н	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
YA0113	CH3-	н	Н	
YA0114	CH3-	H	н	~~~~~
YA0115	CH3-	, H	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
YA0116	CH3-	Н	Н	~~~
YA0117	CH3-	н	Н	~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~
YA0118	СН3-	н	Н	~~~°
YA0119	СН3-	Н	н	
YA0120	CH3-	Н	н	0,
YA0121	CH3-	Н	Н	
YA0122	CH3-	Н	Н	

No.	R1	R2	R3	R4
YA0123	CH3~	H³CO,≻	Н	Н
YA0124	CH3-	O H₃CO ≻	Н	СН3-
YA0125	СН3-	H³CO, ≻	Н	CH3CH2-
YA0126	СН3-	O H₃CO →	Н	<b>△</b> ∖\

No.	R1	R2	R3	R4
YA0127	CH3-	H <sub>3</sub> CO /	Н	<u> </u>
YA0128	СН3-	H₃CO >	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
YA0129	СН3-	O H₃CO ≻	Н	Lr
YA0130	CH3-	O H₃CO ≻	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
YA0131	СН3-	O H³CO ×	Н	
YA0132	снз-	H <sub>3</sub> CO >	Н	Or.
YA0133	СН3-	H <sub>3</sub> CO 7	Н	
YA0134	CH3-	H <sub>3</sub> CO >	Н	
YA0135	CH3-	H <sub>3</sub> CO 7	Н	<u></u>
YA0136	CH3-	O H <sub>3</sub> CO y	Н	-
YA0137	CH3-	H <sub>3</sub> CO ×	Н	
YA0138	CH3-	H <sub>3</sub> CO 7	H ·	
YA0139	СН3-	H <sub>3</sub> CO y	Н	
YA0140	СН3-	H <sub>3</sub> CO y	Н	<u></u>
YA0141	снз-	H <sub>3</sub> CO 7	н	<b>₹</b>
YA0142	CH3-	H <sub>3</sub> CO <sup>+</sup> /-	Н	<u></u>
YA0143	снз-	H <sub>3</sub> CO >	Н	F-()-1
YA0144	ÇH3-	H <sub>3</sub> CO /	Н	<u></u>
YA0145	5 CH3-	H <sub>3</sub> CO 7	Н	
YA0146	6 CH3-	H <sub>3</sub> CO y	. Н	C-{_}-{
YA014	7 CH3-	H <sub>3</sub> CO 7	Н	Br 

	No	o	R	1	R2		<del></del>		•	
	YA0	148	сн	3-	O H₃CO →	<del></del>	- <del>                                    </del>	-i <u>53</u>	Br R4	
	YA01	149	СНЗ	B-	0 H <sub>3</sub> CO >				{}	
	YA01	50	CH3	-	H <sub>3</sub> CO <sup>-</sup> >		<del> </del> H		CH <sub>3</sub>	
	YA01	51	СНЗ	-	H <sub>3</sub> CO		Н		H <sub>3</sub> C	
	YA01	52	СН3-	-	H <sub>3</sub> CO /		Н		H <sub>3</sub> C-{}	
	YA015	53	СН3-	-	H <sub>3</sub> CO <sup>T</sup> >		Н		C <sub>2</sub> H <sub>5</sub> -{_}	
	YA015	i4	CH3-		O H₃CO <sup>™</sup> ≻		Н		n-C <sub>3</sub> H <sub>7</sub> -{	-
	YA015	5	CH3-		O H <sub>3</sub> CO <sup>H</sup> >		Н	r	1-C <sub>4</sub> H <sub>9</sub> -{	
	YA015	6	CH3-		H³CO, >-		Н		OCH <sub>3</sub>	
	YA0157	7	CH3-		O H³CO }≻		Н	F	I <sub>3</sub> CO	_
-	YA0158		CH3-		O H <sub>3</sub> CO <sup>L</sup> /		Н	Н	3CO-{}-{	
	YA0159		CH3-		O H <sub>3</sub> CO / <sub>y</sub> ,		Н	C	<sub>2</sub> H <sub>5</sub> O-{{{1}}	
	YA0160		CH3-		O H₃CO <sup>ll</sup> >⁄		Н	n-	C <sub>3</sub> H <sub>7</sub> O-{	
	YA0161		CH3-		13CO; }^		Н	n-(	C <sub>4</sub> H <sub>9</sub> O-{}	
	YA0162		CH3-	F	43CO_\\\		Н	1	NO <sub>2</sub>	1
L	YA0163	'	CH3-	j	ر ا <sup>3</sup> CO کا		Н	O <sub>2</sub>	N S	1
	YA0164	(	CH3-	,	l³CO \ \ O		Н	O <sub>2</sub> i	N-\	
Y	A0165	C	CH3-	Н	3CO_\^\.		Н	6	CN	
Y	A0166	C	H3-		³co√≻		Н	NC		
Υ.	A0167	С	Н3-	H	,co <sup>//</sup> ,		Н	NC-	<u></u>	
Υ/	40168	CI	H3-		coly		Н		NMe <sub>2</sub> ≻–{	

No.	R1	R2	R3	R4
YA0169	CH3-	O H₃CO '≻	Н	Me <sub>2</sub> N
YA0170	CH3-	H <sub>3</sub> CO ×	Н	Me <sub>2</sub> N-{}
YA0171	СН3-	O H₃CO >	Н	
YA0172	CH3-	O H₃CO >⁄	Н	CC' <sup>1</sup>
YA0173	CH3-	O H₃CO >	Н	
YA0174	CH3-	H³CO ≻	Н	
YA0175	CH3-	H³CO_≻	Н	
YA0176	CH3-	H³CO, ∖	Н	O <sub>r</sub>
YA0177	CH3-	H³CO, λ	Н	<u>,</u>
YA0178	CH3-	O C <sub>2</sub> H <sub>5</sub> O	Н	н
YA0179	CH3-	O C <sub>2</sub> H <sub>5</sub> O 7	н	CH3-
YA0180	CH3-	O C <sub>2</sub> H <sub>5</sub> O ''	Н	CH3CH2-
YA0181	CH3-	C <sub>2</sub> H <sub>5</sub> O -	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
YA0182	CH3-	O C₂H₅O →	н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
YA0183	CH3-	O C <sub>2</sub> H <sub>5</sub> O →	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
YA0184	СН3-	O C <sub>2</sub> H <sub>5</sub> O 7'	Н	1

No.	R1	R2	T 00	T
YA0185	CH3-	O C <sub>2</sub> H <sub>5</sub> O	- R3 - H	R4
YA0186	СН3-	O C₂H₅O ,	Н	7
YA0187	СН3-	O C <sub>2</sub> H <sub>5</sub> O - 7	Н	Q
YA0188	CH3-	O C <sub>2</sub> H <sub>5</sub> O >	Н	
YA0189	CH3-	O C₂H₅O →	H	

No.	R1	R2	R3	R4
YA0190	CH3~	O C <sub>2</sub> H <sub>5</sub> O	Н	$\triangleright \rightarrow$
YA0191	CH3-	O C <sub>2</sub> H <sub>5</sub> O >	Н	<b>♦</b>
YA0192	CH3-	O C <sub>2</sub> H <sub>5</sub> O >	Н	
YA0193	CH3-	O C <sub>2</sub> H <sub>5</sub> O / >	Н	
YA0194	CH3-	C <sub>2</sub> H <sub>5</sub> O 7	Н	
YA0195	CH3-	C <sub>2</sub> H <sub>5</sub> O ·	Н	<u></u> -{
YA0196	CH3-	O C <sub>2</sub> H <sub>5</sub> O	Н	<b>₽</b>
YA0197	CH3-	O C <sub>2</sub> H <sub>5</sub> O >	Н	<u></u>
YA0198	CH3-	C₂H₅O →	Н	F-{_}-{
YA0199	CH3-	O C <sub>2</sub> H <sub>5</sub> O →	Н	Ci →
YA0200	CH3-	O C <sub>2</sub> H <sub>5</sub> O ->-	Н	CI 
YA0201	CH3-	O C <sub>2</sub> H <sub>5</sub> O	Н	C⊢<}-{
YA0202	CH3-	O C <sub>2</sub> H <sub>5</sub> O /	Н	Br ∰-∤
YA0203	СН3-	O C <sub>2</sub> H <sub>5</sub> O ->-	Н	Br.
YA0204	СН3-	O C <sub>2</sub> H <sub>5</sub> O -	Н	Br- <u>{</u> _}-{
YA0205	CH3-	C <sub>2</sub> H <sub>5</sub> O /	Н	CH₃
YA0206	СН3-	O C <sub>2</sub> H <sub>5</sub> O. →	Н	H <sub>3</sub> C
YA0207	СН3-	O C <sub>2</sub> H <sub>5</sub> O ->-	Н.	H <sub>3</sub> C-{}{
YA0208	СН3-	O C <sub>2</sub> H <sub>5</sub> O	Н	C <sub>2</sub> H <sub>5</sub> -{
YA0209	CH3-	O C <sub>2</sub> H <sub>5</sub> O >	Н	n-C <sub>3</sub> H <sub>7</sub> {
YA0210	CH3-	O C <sub>2</sub> H <sub>5</sub> O / 7	Н	n-C <sub>4</sub> H <sub>9</sub> -

No.	R1	Do.		
	<u> </u>	R2	R3	R4
YA0211	CH3-	C <sub>2</sub> H <sub>5</sub> O →	Н	OCH <sub>3</sub>
YA0212	СН3-	O C₂H₅O ✓	Н	H <sub>3</sub> CO
YA0213	CH3~	O C <sub>2</sub> H <sub>5</sub> O	Н	H <sub>3</sub> CO-{{}}
YA0214	CH3-	O C₂H₅O ✓	Н	C <sub>2</sub> H <sub>5</sub> O-{}{
YA0215	CH3-	O C <sub>2</sub> H <sub>5</sub> O ≻	Н	n-C <sub>3</sub> H <sub>7</sub> O-{}
YA0216	СН3-	O C <sub>2</sub> H <sub>5</sub> O →	Н	n-C <sub>4</sub> H <sub>9</sub> O-{}-{
YA0217	СН3-	O C <sub>2</sub> H <sub>5</sub> O >	Н	NO <sub>2</sub>
YA0218	CH3-	O C <sub>2</sub> H <sub>5</sub> O ,	Н	O <sub>2</sub> N
YA0219	CH3-	O C <sub>2</sub> H <sub>5</sub> O / y	Н	O <sub>2</sub> N-{
YA0220	CH3-	O C₂H₅O <sup>™</sup> ≻	Н	€N
YA0221	CH3-	O C₂H₅O <sup>™</sup> ;′	Н	NC.
YA0222	СН3-	O C₂H₅O	Н	NC-{}
YA0223	СН3-	O C <sub>2</sub> H <sub>5</sub> O →	Н	NMe <sub>2</sub>
YA0224	СН3-	O C <sub>2</sub> H <sub>5</sub> O >	Н	Me <sub>2</sub> N
YA0225	CH3-	O C <sub>2</sub> H <sub>5</sub> O	Н	Me <sub>2</sub> N-⟨¯¯⟩{
YA0226	CH3-	O C <sub>2</sub> H <sub>5</sub> O 7	Н	

No.	R1	R2	R3	R4
YA0227	CH3-	O C₂H₅O →	Н	CCC <sup>1</sup>
YA0228	СН3-	O C₂H₅O →	Н	
YA0229	CH3-	O C₂H₅O ✓	Н	
YA0230	CH3-	O C <sub>2</sub> H <sub>5</sub> O ✓	Н	
YA0231	СН3-	O C <sub>2</sub> H <sub>5</sub> O ✓	Н	<u>,</u>

No.	R1	R2	R3	
YA023	2 CH3-	O C <sub>2</sub> H <sub>5</sub> O	H	O R4
YA0233	3 CH3-	СН3-	Н	Н
YA0234	CH3-	CH3CH2-	Н	Н
YA0235	CH3-	<b>△</b> \\	Н	Н
YA0236	CH3-	7	Н	Н
YA0237	СН3-	<b>\\\</b>	Н	Н
YA0238	CH3-	人、	н	н
YA0239	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
YA0240	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
YA0241	CH3-	<b>^</b>	Н	Н
YA0242	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
YA0243	CH3-	L	Н	Н
YA0244	CH3-	7	н	Н
YA0245	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	Н
YA0246	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
YA0247	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
YA0248	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
YA0249	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
YA0250	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
YA0251	СН3-		Н	Н
YA0252	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н

No.	R1	R2	R3	R4
YA0253	СН3-		н	H H
YA0254	СН3-		н	Н
YA0255	СН3-	<b>◇</b> -1	Н	н
YA0256	СН3-		Н	Н
YA0257	СН3-		Н	Н
YA0258	CH3-		н	Н
YA0259	СН3-		н	Н
YA0260	СН3-		н	Н
YA0261	СН3-	<u></u>	Н	Н
YA0262	CH3-	F	Н	Н
YA0263	CH3-		н	Н
YA0264	CH3-	F-();	Н	Н
YA0265	CH3-		н	H
YA0266	CH3-	F-\rm \in \{	Н	н
YA0267	CH3-	CI	Н	. н
YA0268	CH3-	CI	н	Н
YA0269	CH3-	C├ <b></b>	Н	Н
YA0270	CH3-	C⊢ <b>(_</b> )~{	н	Н
YA0271	СН3-	CI—(	н	Н
YA0272	СН3-	Br →	Н	Н
YA0273	CH3-	Br.	Н	Н

No.	R1	R2	1 12	
	1	( )	R3	R4
YA0274	CH3-	Br—{}{	н	н
YA0275	СН3-	Br—{}	Н	Н
YA0276	CH3-	Br————	Н	Н
YA0277	CH3-		Н	Н
YA0278	CH3~		Н	Н
YA0279	CH3-		н	Н
YA0280	CH3-	CH <sub>3</sub>	Н	Н
YA0281	CH3-	H <sub>3</sub> C	Н	Н
YA0282	СН3-	H <sub>3</sub> C-{	Н	Н
YA0283	CH3-	C <sub>2</sub> H <sub>5</sub> —{	Н	Н
YA0284	CH3-	n-C <sub>3</sub> H <sub>7</sub> {}	H	Н
YA0285	CH3-	n-C <sub>4</sub> H <sub>9</sub> -{_}-{	H <sub>.</sub>	Н
YA0286	CH3-	OH	Н	Н
YA0287	CH3-	HO HO	н	Н
YA0288	CH3-	HO-{	Н	Н
YA0289	CH3-	OCH₃	Н	Н
YA0290	CH3-	H₃CO 	Н	н
YA0291	CH3-	H₃CO-{_}	Н	Н
YA0292	CH3-	H₃CO-{_}{	Н	Н
YA0293	СН3-	H <sub>3</sub> CO-{\bigs\}\\\\	Н	Н
YA0294	СН3-	OC <sub>2</sub> H <sub>5</sub>	Н	Н

No.	R1	R2	R3	R4
YA0295	CH3-		Н	Н
YA0296	CH3-	C <sub>2</sub> H <sub>5</sub> O-	н	Н
YA0297	СН3-	n-C <sub>3</sub> H <sub>7</sub> O-{	Н	Н
YA0298	CH3-	n-C <sub>4</sub> H <sub>9</sub> O-{}-{	н	Н
YA0299	CH3-	NO <sub>2</sub>	н	Н
YA0300	CH3-	O <sub>2</sub> N	н	Н
YA0301	CH3-	O <sub>2</sub> N-{}	Н	Н
YA0302	CH3-	CN	H.	Н
YA0303	CH3-	NC	Н	Н
YA0304	CH3-	NC-{}	Н	Н
YA0305	CH3~	CF <sub>3</sub>	Н	Н
YA0306	СН3~	F <sub>3</sub> C	Н	Н
YA0307	CH3-	F <sub>3</sub> C-\(\)	Н	H ·
YA0308	CH3-	COOH COOH	Н	Н .
YA0309	CH3-	HOOC	н	Н
YA0310	CH3~	HOOC-{\bigs_+	Н	H .
YA0311	СН3-	CO <sub>2</sub> Me	H	Н
YA0312	СН3-	MeO <sub>2</sub> C {}	Н	Н
YA0313	СН3-	MeO <sub>2</sub> C-∕{_}_{}	Н	Н
YA0314	CH3-	CO <sub>2</sub> Et	Н	Н
YA0315	СН3-	EtO <sub>2</sub> C	Н	Н

	<del></del>	<del></del>			
$\vdash$	No.	R1	R2	R3	R4
	/A0316	СН3-		н	Н
	A0317	CH3-	{	Н	Н
Y	'A0318	CH3-	MeS{	Н	Н
Y	A0319	CH3-	MeS-{_}-{	Н	Н
Y	A0320	CH3-	SO <sub>2</sub> Me	Н	Н
Υ.	A0321	CH3-	MeO <sub>2</sub> S	Н	Н
Υ.	A0322	СН3-	MeO <sub>2</sub> S-{{}	Н	Н
Υ,	A0323	CH3-	NH <sub>2</sub>	Н	Н
Υ,	A0324	CH3-	H <sub>2</sub> N	Н	Н
YA	\0325	CH3-	H <sub>2</sub> N-()	Н	Н
YA	\0326	CH3-	NMe <sub>2</sub>	Н	Н
YA	0327	CH3-	Me <sub>2</sub> N	Н	н .
YA	.0328	CH3-	Me <sub>2</sub> N-{	Н	Н
YA	0329	CH3-	CN-S	Н	Н
YA	0330	CH3-	CN-Q	Н	Н
YA	0331	CH3-		Н	Н
YA	0332	CH3-	\(\nu_{\sqrt{\infty}}\)	. Н	Н
YAG	0333	CH3-	\(\rightarrow\rightar	Н	н
YAC	)334	CH3-	\_N-{\_};	Н	Н
YAC	335	СН3-	0_N-\_	Н	Н
YA0	336	CH3-	• ○N-	Н	н
			· · · · · · · · · · · · · · · · · · ·	L	

No.	R1	R2	R3	R4
YA0337	СН3-	O_N-{_}-{	н	н
YA0338	CH3-	H <sub>3</sub> CN_N <del>_</del>	Н	H :
YA0339	CH3-	H₃CN N-⟨	Н	н.
YA0340	снз-	H <sub>3</sub> CN_N-{_}}	Н	Н
YA0341	CH3-	H₃C_CH₃	Н	. н
YA0342	СН3-	CH <sub>3</sub>	Н	Н
YA0343	СН3-	CH <sub>3</sub> H <sub>3</sub> C	н	H
YA0344	: CH3- :	CH <sub>3</sub> CH <sub>3</sub>	Н	Н
YA0345	CH3-	H <sub>3</sub> C H <sub>3</sub> C-\	Н	Н
YA0346	CH3-	H <sub>3</sub> C	н	Н
YA0347	СН3	F_F	н	н
YA0348	CH3~	F——F	Н	. н
YA0349	СН3-	F	Н	н
YA0350	СН3-	F.	Н	. н
YA0351	СН3-	F—————————————————————————————————————	н	н
YA0352	CH3-	F	Н	Н

No.	R1	R2	R3	R4
YA0353	СН3-	CI_CI	Н	Н
YA0354	СН3-	CI—⟨¯}→;	. Н	Н
YA0355	CH3-	CI	Н	Н
YA0356	CH3-	CI → CI	Н	Н
YA0357	CH3-	CI	Н	Н

No.	R1	R2	R3	R4
YA0358	сн3-	CI	Н	Н
YA0359	снз-	H <sub>3</sub> CO_OCH <sub>3</sub>	н	н
YA0360	снз-	OCH₃ H₃CO-{}}	Н	Н
YA0361	СН3-	OCH <sub>3</sub> → H <sub>3</sub> CO	Н	Н
YA0362	CH3-	OCH₃ OCH₃	Н	н.
YA0363	СН3-	H₃CO H₃CO-⟨¯¯}→	Н	Н
YA0364	CH3-	H₃CO H₃CO	Н	Н
YA0365	CH3-	F_OCH₃	Н	Н
YA0366	CH3-	OCH <sub>3</sub>	Н	. <b>H</b>
YA0367	CH3-	OCH <sub>3</sub>	Н	Н
YA0368	CH3-	OCH <sub>3</sub>	Н	H
YA0369	СН3-	OCH <sub>3</sub>	. Н	Н
YA0370	СН3-	OCH₃ → F	Н	Н
YA0371	CH3-	H₃CO F—√}	Н	Н
YA0372	CH3-	H <sub>3</sub> CO F	Н	Н
YA0373	CH3-	H₃CO_F	Н	Н

No.	R1	R2	R3	T
YA0374	СН3-	H₃CO-⟨¯¯∕	H	R4
YA0375	СН3-	F H₃CO	Н	Н
YA0376	CH3-	H₃CO-⟨	Н	Н
YA0377	СН3-	CI_OCH <sub>3</sub>	Н	Н
YA0378	СН3-	CI—⟨□} CI—⟨□}	Н	Н

No.	R1	R2	R3	R4
YA0379	CH3-	OCH <sub>3</sub>	Н	н
YA0380	СН3	OCH₃ ⟨□⟩→ CI	Н	н :
YA0381	СН3-	H₃CO CI—Ç	Н	Н.
YA0382	снз-	H <sub>3</sub> CO CI	H	Н
YA0383	СН3-	H₃CO_CI	Н	Н
YA0384	СН3-	H³CO-⟨ <u></u> }	н	Н
YA0385	СН3-	,CI √_) H₃CO	Н	Н
YA0386	CH3-	CI H₃CO-{}	Н	Н
YA0387	CH3-	F_CH <sub>3</sub>	Н	Н
YA0388	СН3-	CH <sub>3</sub> F—√}	H .	н .
YA0389	. CH3-	CH₃	Н	Н
YA0390	СН3-	CH₃ F	Н	н
YA0391	СН3-	H <sub>3</sub> C F-\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
YA0392	CH3-	H <sub>3</sub> C ⟨□} F	Н	Н
YA0393	СН3-	H <sub>3</sub> C F	Н	Н
YA0394	СН3-	H <sub>3</sub> C-√→	Н	Н

No.	R1	R2	R3	R4
YA0395	СН3-	H <sub>3</sub> C	Н	н
YA0396	СН3-	F, H₃C-√}	Н	Н
YA0397	СН3-	Br_OCH₃	Н	Н
YA0398	СН3-	OCH₃ Br—	Н	Н
YA0399	CH3-	OCH <sub>3</sub> ⇒ Br	н	н

}				
No.	R1	R2	R3	R4
YA0400	CH3-	OCH <sub>3</sub> ⇒ Br	Н	Н
YA <b>0</b> 401	СН3-	H₃CO Br—√	Н	н
YA0402	CH3-	H₃CO Br	Н	Н
YA0403	CH3-	H <sub>3</sub> CO_Br	Н	Н
YA0404	СН3-	Br H₃CO-⟨S)—;	H	н
YA0405	СН3-	Br H₃CO	Н	Н
YA0406	CH3-	Br, H₃CO-⟨◯);	Н	Н
YA0407	СН3-	H <sub>3</sub> CO >	Н	H :
YA0408	СН3-	OCH <sub>3</sub>	н.	н .
YA0409	СН3-	CN-⟨_}OCH <sub>3</sub>	Н	н
YA0410	СН3-	H <sub>3</sub> CO N	Н	н
YA0411	CH3-	H₃CO N-⟨¬>>	Н	Н
YA0412	СН3-	OCH₃	н	Н
YA0413	CH3-	F-{-}{ F	Н	Н
YA0414	СН3-	OCH <sub>3</sub> F—⟨}} F	н	Н

No.	R1	R2	R3	R4
YA0415	СН3~	H₃CO-{Ş F	Н	Н
YA0416	СН3-	OCH <sub>3</sub> F-{_}} OCH <sub>3</sub>	Н	Н
YA0417	СН3-	H <sub>3</sub> CO-{_}} OCH <sub>3</sub>	Н	Н
YA0418	CH3-	CI CI CI	н	Н
YA0419	СН3-	OCH₃ CI	Н	Н
YA0420	СН3-	CI H₃CO-⟨}-; CI	Н	Н

No.	R1	R2	R3	R4
YA0421	CH3-	OCH <sub>3</sub> OCH <sub>3</sub>	н	Н
YA0422	СН3-	OCH <sub>3</sub> H <sub>3</sub> CO-{-}	Н	Н
YA0423	CH3-	OCH <sub>3</sub>	Н	Н
YA0424	CH3-	H <sub>3</sub> CO	Н	н
YA0425	CH3-	H <sub>3</sub> CO-{_}-{_}-{	Н	Н
YA0426	СН3-	OCH <sub>3</sub> }·	Н	н
YA0427	CH3-	H <sub>3</sub> CO ,t	Н	н
YA0428	CH3-	H₃CO-⟨\$\rightarrow\$\rightarro	Н	Н
YA0429	СН3-	OCH <sub>3</sub>	Н	н
YA0430	CH3-	H <sub>3</sub> CQ	Н	н
YA0431	CH3-	H <sub>3</sub> CO-	Н	н
YA0432	СН3-	F	Н	Н
YA0433	CH3-	F	Н	Н
YA0434	CH3-	F-{_}-{}-{}-{}	Н	Н
YA0435	СН3-	F C	Н	н
YA0436	CH3-	- F	Н	н

No.	R1	R2	R3	R4
YA0437	CH3-	F-{\rightarrow}^\tag{\tag{\tag{\tag{\tag{\tag{\tag{	Н	H
YA0438	СН3-		Н	Н
YA0439	СН3-	F.	Н	Н
YA0440	CH3-	F-()	Н	н
YA0441	СН3-		Н	н

No.	R1	R2	R3	R4
YA0442	CH3-	CC	Н	н .
YA0443	CH3-	IZ	Н	Н
YA0444	CH3-	HM	Н	Н
YA0445	CH3-	Q'i	Н	Н
YA0446	CH3-	6 <del>7</del> ,	Н	Н
YA0447	CH3~	(S)	Н	Н
YA0448	CH3-	\$7,	Н	Н
YA0449	CH3-	HNN	"H	Н
YA0450	CH3-	HN,	Н	Н
YA0451	CH3-	HN /	Н	H :
YA0452	CH3-	ZZ	Н	; H
YA0453	CH3-		Н	Н
YA0454	CH3-	N= O	н	н
YA0455	СН3-	NO NO	Н	Н
YA0456	CH3-	S <sub>N</sub>	Н	H
YA0457	CH3-	N= S,	Н	н
YA0458	CH3-	N-S	Н	. н
YA0459	CH3-	C-N O	Н	н
YA0460	СН3-	O Y	Н	Н
YA0461	CH3-	N Z	Н	. н
YA0462	снз-	FN S	Н	Н

No.	R1		R2	R3	
YA046		- S Y		H	R4
YA046	4 CH3-	√S		Н.	Н
YA046	5 CH3-			Н	Н
YA046	6 СН3-	N->-{		Н	Н
YA0467	7 СН3-	N		Н	Н
YA0468	СН3-	N N N N N N N N N N N N N N N N N N N		Н	Н
YA0469	CH3-	N_N-{		Н	Н
YA0470	СН3-	N=>		Н	Н
YA0471	CH3-	OTATION TO THE PROPERTY OF THE		Н	н.
YA0472	СН3-			Н	Н
YA0473	СН3-	T H		Н	н
YA0474	СН3-	, QU		Н	Н
YA0475	СН3-	,CT)		Н	Н
YA0476	СН3-	ŢĤ		Н	Н
YA0477	СН3-			Н	Н
YA0478	СН3-	CT)		Н	Н
YA0479	СН3-			. н	Н
YA0480	СН3-	TO:		Н	Н
YA0481	СН3-	(C)		Н	Н
YA0482	CH3-	Ž)		Н	Н
YA0483	СН3-	(T)-1		Н	Н

No	R1	R2	R3	R4
YA0484	CH3-	O's	н	Н
YA0485	СН3-	T)	Н	Н
YA0486	СН3-	TOS	Н	Н
YA0487	CH3-		Н	Н
YA0488	CH3-	Ţŝ	Н	Н
YA0489	CH3-		Н	Н
YA0490	CH3-		Н	Н
YA0491	CH3-		Н	н
YA0492	CH3-	, Tin	Н	. н
YA0493	CH3-	Ţ,	Н	Н
YA0494	CH3-	N N N N N N N N N N N N N N N N N N N	H	Н
YA0495	CH3-	Č,	Н	. Н
YA0496	CH3-	T N	Н	Н
YA0497	CH3-		Н	Н
YA0498	CH3-	ĈN <sub>o</sub>	н	н
YA0499	CH3-	TON N	Н	Н
YA0500	CH3-	i CI	Н	Н
YA0501	снз-	Ţ,	Н	н
YA0502	CH3-	O's	Н	Н
YA0503	CH3-	N S	Н	Н
YA0504	CH3-	'CI'S	Н	Н

No.	R1	R2		
YA050		N	R3	R4
YA0506	6 CH3-	T's	Н	Н
YA0507	СН3-	(),	Н	Н
YA0508	CH3-	Ĩ, N	Н	Н
YA0509	CH3-	Clan	Н	Н
YA0510	CH3-	, CTON	Н	Н
YA0511	СН3-	Ţ.	Н	Н
YA0512	СН3-	() a	н	Н
YA0513	CH3-	T <sub>s</sub> N	Н	Н
YA0514	СН3-	"CLEN	н	н
YA0515	СН3-	Y SN	Н	. Н
YA0516	СН3-	ČŽ.	Н	Н
YA0517	CH3-	Ţ.	Н	Н
YA0518	CH3~		Н	Н
YA0519	CH3-	TO:	Н	Н
YA0520	CH3-	Č;	Н	Н
YA0521	CH3-	CH3-	. Н	СНЗ
YA0522	CH3-	CH3CH2~	Н	СНЗ
YA0523	СН3-	<u> </u>	Н	СНЗ
YA0524	СН3-	74	Н	СНЗ
YA0525	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	СНЗ

No.	R1	R2	R3	R4
YA0526	CH3-	L <sub>Y</sub>	н	СНЗ
YA0527	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	CH3
YA0528	CH3-	丫	Н	СНЗ
YA0529	CH3-	^^\\	Н	СНЗ
YA0530	CH3-	Y~~`	Н	СНЗ
YA0531	CH3-	Li	Н	СНЗ
YA0532	CH3-	7	н	СНЗ
YA0533	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	СНЗ
YA0534	CH3-	L	Н	СН3
YA0535	СН3-	:	Н	CH3
YA0536	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	CH3
YA0537.	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	CH3
YA0538	CH3-		Н	СН3
YA0539	CH3-		Н	СН3
YA0540	CH3-		Н	CH3
YA0541	CH3-		Н	СНЗ
YA0542	СН3-	ightharpoonup	Н	СНЗ
YA0543	CH3-	<b>♦</b>	Н	СНЗ
YA0544	CH3-		Н	СНЗ
YA0545	CH3-		Н	GH3
YA0546	CH3-		Н	CH3

No       R1       R2       R3       R4         YA0547       CH3-       H       CH3         YA0548       CH3-       H       CH3         YA0549       CH3-       H       CH3         YA0550       CH3-       F       H       CH3         YA0551       CH3-       H       CH3         YA0552       CH3-       H       CH3         YA0553       CH3-       H       CH3         YA0554       CH3-       CH3-       H       CH3         YA0555       CH3-       CH3-       H       CH3	
YA0548       CH3-       H       CH3         YA0549       CH3-       H       CH3         YA0550       CH3-       H       CH3         YA0551       CH3-       H       CH3         YA0552       CH3-       H       CH3         YA0553       CH3-       H       CH3         YA0554       CH3-       CH3-       H       CH3         YA0555       CH3-       CH3-       H       CH3         H       CH3       CH3-       CH3-       H       CH3	
YA0549       CH3-       CH3-       H       CH3         YA0550       CH3-       F       H       CH3         YA0551       CH3-       H       CH3         YA0552       CH3-       H       CH3         YA0553       CH3-       H       CH3         YA0554       CH3-       CH3-       H       CH3         YA0555       CH3-       CH3-       H       CH3         H       CH3-       CH3-       CH3-       H       CH3	
YA0550       CH3-       F       H       CH3         YA0551       CH3-       H       CH3         YA0552       CH3-       H       CH3         YA0553       CH3-       H       CH3         YA0554       CH3-       CI       H       CH3         YA0555       CH3-       H       CH3	
YA0550       CH3-       H       CH3         YA0551       CH3-       H       CH3         YA0552       CH3-       H       CH3         YA0553       CH3-       H       CH3         YA0554       CH3-       CH3-       H       CH3         YA0555       CH3-       CI       H       CH3	
YA0552 CH3-	
YA0553 CH3- F-	
YA0554 CH3- CH3 H CH3  YA0555 CH3- CI H CH3	-
YA0555 CH3− CI H CH3	
YA0555 CH3- H CH3	
	-
YA0556 CH3- CI , H ; CH3	
YA0557 CH3− CI{ H CH3	1
YA0558 CH3- CH- H CH3	
YA0559 CH3- CH3- H CH3	
YA0560 CH3- Br H CH3	
YA0561 CH3- Br. H CH3	
YA0562 CH3- Br—{ H CH3	-
YA0563 CH3- Br— H CH3	1
YA0564 CH3- Br-\( \sqrt{\sq}}}}}}}}}} \end{\sqrt{\sq}}}}}}}}}}} \end{\sqrt{\sqrt{\sqrt{\sqrt{\sqrt{\sqrt{\sqrt{\sqrt{\sqrt{\sqrt{\sqrt{\sqrt{\sqrt{\sqrt{\sqrt{\sqrt{\sqrt{\sqrt{\sq}}}}}}}}}} \end{\sqrt{\sqrt{\sqrt{\sqrt{\sqrt{\sqrt{\sqrt{\sqrt{\sqrt{\sqrt{\sqrt{\sqrt{\sqrt{\sqrt{\sq}}}}}}}}} \end{\sqrt{\sq}\sqrt{\sq}}}}}}}} \end{\sqrt{\sqrt{\sq}}}}}}} \sqrt{\sqrt{\	
YA0565 CH3- H CH3	1
YA0566 CH3- H CH3	1
YA0567 CH3- H CH3	1

No.	R1	R2	R3	R4
YA0568	CH3-	CH₃	Н	СНЗ
YA0569	CH3-	H <sub>3</sub> C —}	Н	СНЗ
YA0570	;CH3−	H <sub>3</sub> C-{	Н	СНЗ
YA0571	CH3-	C <sub>2</sub> H <sub>5</sub> -{	Н	CH3
YA0572	CH3-	n-C <sub>3</sub> H <sub>7</sub> -{}-{	Н	CH3
YA0573	CH3-	n-C <sub>4</sub> H <sub>9</sub> -{_}	Н	CH3
YA0574	СН3-	OH ⟨□}	Н	СНЗ
YA0575	CH3-	HO ———	Н	CH3
YA0576	CH3-	HO-{}	Н	СН3
YA0577	CH3-	OCH₃	Н	СНЗ
YA0578	CH3-	H₃CO 	Н	СНЗ
YA0579	CH3-	H₃CO-⟨}-{	Н	СНЗ
YA0580	CH3-	H₃CO-⟨S	Н	СНЗ
YA0581	снз-	H <sub>3</sub> CO-{\bigsim\}	Н	СНЗ
YA0582	CH3-	OC <sub>2</sub> H <sub>5</sub>	Н	СНЗ
YA0583	CH3-	C <sub>2</sub> H <sub>5</sub> O	Н	снз
YA0584	CH3-	C <sub>2</sub> H <sub>5</sub> O-{}	Н	СНЗ
YA0585	СН3-	n-C <sub>3</sub> H <sub>7</sub> O-{	Н	CH3
YA0586	СН3-	n-C <sub>4</sub> H <sub>9</sub> O-{}	Н	CH3
YA0587	СН3-	NO <sub>2</sub>	Н	CH3
YA0588	CH3-	O <sub>2</sub> N	Н	CH3

YA0589         CH3-         O₂N-         H         CH3           YA0590         CH3-         CN         H         CH3           YA0591         CH3-         MC         H         CH3           YA0592         CH3-         NC-         H         CH3           YA0593         CH3-         CF3         H         CH3           YA0594         CH3-         F3C-         H         CH3           YA0595         CH3-         F3C-         H         CH3           YA0596         CH3-         COOH         H         CH3           YA0597         CH3-         HOOC-         H         CH3           YA0598         CH3-         HOOC-         H         CH3           YA0599         CH3-         MeO₂C-         H         CH3           YA0600         CH3-         MeO₂C-         H         CH3           YA0601         CH3-         MeO₂C-         H         CH3           YA0602         CH3-         CO₂Et         H         CH3           YA0604         CH3-         EtO₂C-         H         CH3           YA0605         CH3-         SMe         H         CH3 <tr< th=""><th>No.</th><th>R1</th><th>R2</th><th>R3</th><th>T</th></tr<>	No.	R1	R2	R3	T
YA0590         CH3-         CN         H         CH3           YA0591         CH3-         MC         H         CH3           YA0592         CH3-         NC         H         CH3           YA0593         CH3-         CF3         H         CH3           YA0594         CH3-         F3C         H         CH3           YA0595         CH3-         F3C         H         CH3           YA0596         CH3-         F3C         H         CH3           YA0597         CH3-         HOOC         H         CH3           YA0598         CH3-         HOOC         H         CH3           YA0599         CH3-         CO2Me         H         CH3           YA0600         CH3-         MeO2C         H         CH3           YA0601         CH3-         MeO2C         H         CH3           YA0602         CH3-         H         CH3         H         CH3           YA0604         CH3-         EtO2C         H         CH3         H         CH3           YA0605         CH3-         MeS         H         CH3         H         CH3           YA0606         CH	VAOFOO		( )	K3	R4
YA0590         CH3-         H         CH3           YA0591         CH3-         NC         H         CH3           YA0592         CH3-         NC         H         CH3           YA0593         CH3-         CF3         H         CH3           YA0594         CH3-         F3C         H         CH3           YA0595         CH3-         F3C         H         CH3           YA0596         CH3-         COOH         H         CH3           YA0597         CH3-         HOOC         H         CH3           YA0598         CH3-         HOOC         H         CH3           YA0599         CH3-         HOOC         H         CH3           YA0600         CH3-         HOOC         H         CH3           YA0601         CH3-         HOOC         H         CH3           YA0602         CH3-         HOOC         H         CH3           YA0603         CH3-         HOOC         H         CH3           YA0604         CH3-         ETO2C         H         CH3           YA0605         CH3-         SMe         H         CH3           YA0606	YA0589	CH3-		Н	CH3
YA0592       CH3-       NC-       H       CH3         YA0593       CH3-       CF3       H       CH3         YA0594       CH3-       F3C-       H       CH3         YA0595       CH3-       F3C-       H       CH3         YA0596       CH3-       HOOC-       H       CH3         YA0597       CH3-       HOOC-       H       CH3         YA0598       CH3-       HOOC-       H       CH3         YA0599       CH3-       MeO <sub>2</sub> C       H       CH3         YA0600       CH3-       MeO <sub>2</sub> C       H       CH3         YA0601       CH3-       MeO <sub>2</sub> C       H       CH3         YA0602       CH3-       CO <sub>2</sub> Et       H       CH3         YA0603       CH3-       H       CH3         YA0604       CH3-       EtO <sub>2</sub> C       H       CH3         YA0605       CH3-       MeS       H       CH3         YA0606       CH3-       H       CH3	YA0590	CH3-	CN	н	СНЗ
YA0593       CH3-       CF3-       H       CH3         YA0594       CH3-       F3C       H       CH3         YA0595       CH3-       F3C       H       CH3         YA0596       CH3-       COOH       H       CH3         YA0597       CH3-       HOOC       H       CH3         YA0598       CH3-       HOOC       H       CH3         YA0599       CH3-       CO2Me       H       CH3         YA0600       CH3-       H       CH3         YA0601       CH3-       MeO2C       H       CH3         YA0602       CH3-       CO2Et       H       CH3         YA0603       CH3-       EIO2C       H       CH3         YA0604       CH3-       SMe       H       CH3         YA0605       CH3-       MeS       H       CH3         YA0606       CH3-       H       CH3	YA0591	СН3-		н	СНЗ
YA0594       CH3-       F3C       H       CH3         YA0595       CH3-       F3C-       H       CH3         YA0596       CH3-       COOH       H       CH3         YA0597       CH3-       HOOC       H       CH3         YA0598       CH3-       HOOC       H       CH3         YA0599       CH3-       CO₂Me       H       CH3         YA0600       CH3-       H       CH3         YA0601       CH3-       MeO₂C       H       CH3         YA0602       CH3-       CO₂Et       H       CH3         YA0603       CH3-       CH3-       H       CH3         YA0604       CH3-       EtO₂C       H       CH3         YA0605       CH3-       SMe       H       CH3         YA0606       CH3-       H       CH3	YA0592	CH3-	,	Н	СНЗ
YA0594       CH3-       F3C-√-       H       CH3         YA0595       CH3-       F3C-√-       H       CH3         YA0596       CH3-       HOOC       H       CH3         YA0597       CH3-       HOOC ← H       CH3         YA0598       CH3-       HOOC ← H       CH3         YA0599       CH3-       CO₂Me       H       CH3         YA0600       CH3-       MeO₂C ← H       CH3       CH3         YA0601       CH3-       MeO₂C ← H       CH3       CH3         YA0602       CH3-       CO₂Et H       CH3       CH3         YA0603       CH3-       EtO₂C ← H       CH3       CH3         YA0604       CH3-       EtO₂C ← H       CH3       CH3         YA0605       CH3-       MeS       H       CH3         YA0606       CH3-       MeS       H       CH3	YA0593	CH3-	CF <sub>3</sub>	Н	СНЗ
YA0596       CH3-       COOH       H       CH3         YA0597       CH3-       HOOC       H       CH3         YA0598       CH3-       HOOC       H       CH3         YA0599       CH3-       CO₂Me       H       CH3         YA0600       CH3-       H       CH3         YA0601       CH3-       MeO₂C       H       CH3         YA0602       CH3-       CO₂Et       H       CH3         YA0603       CH3-       EtO₂C       H       CH3         YA0604       CH3-       EtO₂C       H       CH3         YA0605       CH3-       MeS       H       CH3         YA0606       CH3-       MeS       H       CH3	YA0594	СН3-	F <sub>3</sub> C	Н	CH3
YA0596       CH3-       HOOC       H       CH3         YA0597       CH3-       HOOC       H       CH3         YA0598       CH3-       HOOC       H       CH3         YA0599       CH3-       CO₂Me       H       CH3         YA0600       CH3-       MeO₂C       H       CH3         YA0601       CH3-       MeO₂C       H       CH3         YA0602       CH3-       CO₂Et       H       CH3         YA0603       CH3-       EtO₂C       H       CH3         YA0604       CH3-       EtO₂C       H       CH3         YA0605       CH3-       MeS       H       CH3         YA0606       CH3-       MeS       H       CH3	YA0595	CH3-		н	СНЗ
YA0597         CH3-         H         CH3           YA0598         CH3-         HOOC-         H         CH3           YA0599         CH3-         CO₂Me         H         CH3           YA0600         CH3-         MeO₂C         H         CH3           YA0601         CH3-         MeO₂C         H         CH3           YA0602         CH3-         CO₂Et         H         CH3           YA0603         CH3-         EtO₂C         H         CH3           YA0604         CH3-         EtO₂C         H         CH3           YA0605         CH3-         MeS         H         CH3           YA0606         CH3-         MeS         H         CH3	YA0596	СН3-	<u></u>	Н	CH3
YA0599       CH3-       CO₂Me       H       CH3         YA0600       CH3-       MeO₂C       H       CH3         YA0601       CH3-       MeO₂C-       H       CH3         YA0602       CH3-       CO₂Et       H       CH3         YA0603       CH3-       EtO₂C       H       CH3         YA0604       CH3-       EtO₂C-       H       CH3         YA0605       CH3-       SMe       H       CH3         YA0606       CH3-       H       CH3	YA0597	CH3-	HOOC	н	СНЗ
YA0599       CH3-       H       CH3         YA0600       CH3-       MeO₂C       H       CH3         YA0601       CH3-       MeO₂C       H       CH3         YA0602       CH3-       CO₂Et       H       CH3         YA0603       CH3-       EtO₂C       H       CH3         YA0604       CH3-       EtO₂C       H       CH3         YA0605       CH3-       SMe       H       CH3         YA0606       CH3-       MeS       H       CH3	YA0598	СН3-		н	СНЗ
YA0600       CH3-       H       CH3         YA0601       CH3-       MeO <sub>2</sub> C-       H       CH3         YA0602       CH3-       CO <sub>2</sub> Et       H       CH3         YA0603       CH3-       EtO <sub>2</sub> C       H       CH3         YA0604       CH3-       EtO <sub>2</sub> C-       H       CH3         YA0605       CH3-       SMe       H       CH3         YA0606       CH3-       H       CH3         H       CH3       H       CH3	YA0599	СН3-	<b>│</b>	н	СНЗ
YA0601       CH3-       MeO₂C-       H       CH3         YA0602       CH3-       CH3-       H       CH3         YA0603       CH3-       EtO₂C       H       CH3         YA0604       CH3-       EtO₂C-       H       CH3         YA0605       CH3-       SMe       H       CH3         YA0606       CH3-       H       CH3         H       CH3       H       CH3	YA0600	CH3-	MeO <sub>2</sub> C	Н	CH3
YA0602       CH3−       EtO₂C       H       CH3         YA0603       CH3−       EtO₂C       H       CH3         YA0604       CH3−       EtO₂C       H       CH3         YA0605       CH3−       SMe       H       CH3         YA0606       CH3−       MeS       H       CH3         YA0606       CH3−       H       CH3	YA0601	CH3-		Н	CH3
YA0603       CH3−       CH3−       H       CH3         YA0604       CH3−       EtO₂C−       H       CH3         YA0605       CH3−       SMe       H       CH3         YA0606       CH3−       MeS       H       CH3         YA0606       CH3−       H       CH3	YA0602	CH3-		н	CH3
YA0605 CH3- SMe H CH3  YA0606 CH3- H CH3	YA0603	CH3-	EtO <sub>2</sub> C	Н	CH3
YA0605 CH3- H CH3  YA0606 CH3- H CH3	YA0604	CH3-	_	H	CH3
YA0606 CH3−	YA0605		<u></u>	н	СНЗ
	YA0606	CH3-	MeS	н	СН3
YA0607 CH3- MeS-(	YA0607	снз-	· ·	н	СНЗ
YA0608 CH3- SO <sub>2</sub> Me H CH3.	YA0608		<u>_</u> {	н	CH3.
YA0609 CH3- MeO <sub>2</sub> S H CH3	YA0609	CH3-	/leO <sub>2</sub> S	Н	СНЗ

No.	R1	R2	R3	R4
YA0610	CH3-	MeO₂S-{_}		CH3
YA0611	CH3-	NH <sub>2</sub>	Н	СНЗ
YA0612	CH3-	H <sub>2</sub> N	Н	СНЗ
YA0613	CH3-	$H_2N-$	Н	СНЗ
YA0614	CH3-	NMe <sub>2</sub>	Н	СНЗ
YA0615	СН3-	Me <sub>2</sub> N	Н	СНЗ
YA0616	CH3-	Me <sub>2</sub> N-{	н	CH3
YA0617	CH3-	CN-C	Н	CH3
YA0618	СН3-	CN-⟨∑	Н	CH3
YA0619	СН3-	N-{}-{	Н	CH3
YA0620	СН3-	N-\S	Н	CH3
YA0621	CH3-	N-Q	Н	СНЗ
YA0622	CH3-		Н	CH3
YA0623	СН3-	O_N-	н	CH3
YA0624	CH3-	O_N-⟨_}_	Н	CH3
YA0625	CH3-	O_N-{}-{	Н	CH3
YA0626	СН3-	H <sub>3</sub> CN N-	Н	СНЗ
YA0627	CH3-	H <sub>3</sub> CN N-	Н	CH3
YA0628	CH3-	H₃CN_N-{_}-{	Н	СНЗ
YA0629	CH3-	H <sub>3</sub> C_CH <sub>3</sub>	Н	СНЗ
YA0630	CH3-	CH <sub>3</sub> H <sub>3</sub> C-√∑∕{	н	СНЗ

	No.	R1	R2	R3	
	YA063	1 CH3-	∠=(CH <sub>3</sub>	Н	R4 CH3
	YA063	2 CH3-	CH <sub>3</sub> CH <sub>3</sub>	Н	СНЗ
	YA063;	3 СН3-	H₃Ç	н	СНЗ
	YA0634	CH3-	H <sub>3</sub> C H <sub>3</sub> C	Н	СНЗ
	YA0635	CH3-	F F	Н	СНЗ
	YA0636	СН3-	F—	Н	СНЗ
	YA0637	СН3-	F F	. H	СНЗ
	YA0638	СН3-	F F	Н	СНЗ
	YA0639	CH3-	F—————————————————————————————————————	Н	СНЗ
	YA0640	СН3-	F F	Н	CH3
,  -	YA0641	CH3-	CI_CI	Н	СНЗ
,	/A0642	CH3-	CI—⟨□}	н	СНЗ
Y	′A0643	CH3-	CI	Н	СНЗ
Y	A0644	СН3-	CI	Н	СНЗ
	_	_ <del></del>			

No.	R1	R2	R3	R4
YA0645	СН3-	CI CI	Н	СНЗ
YA0646	CH3-	CI	Н	СНЗ
YA0647	СН3-	H₃CO_OCH₃	Н	СНЗ
YA0648	СН3-	OCH <sub>3</sub> H₃CO-⟨□>-;	н	СНЗ
YA0649	СН3-	OCH <sub>3</sub> → H <sub>3</sub> CO	Н	. СНЗ
YA0650	СН3-	OCH <sub>3</sub> OCH <sub>3</sub>	Н	СНЗ
YA0651	CH3-	H <sub>3</sub> CO-	Н	СНЗ

	No.	R1	R2	T D2	
	YA0652		H <sub>3</sub> CO	R3	CH3
	YA0653	CH3-	F_OCH <sub>3</sub>	н	СНЗ
	YA0654	СН3-	OCH <sub>3</sub>	н	СНЗ
	YA0655	CH3-	OCH <sub>3</sub>	Н	СНЗ
	YA0656	СН3-	OCH <sub>3</sub>	Н	снз
	YA0657	СН3-	OCH <sub>3</sub>	Н	СНЗ
•	YA0658	СН3-	OCH <sub>3</sub> F	Н	CH3
	YA0659	СН3-	H₃CO F—√	Н	СНЗ
	YA0660	СН3-	H₃CO F	Н	СНЗ
	YA0661	CH3-	H₃CO_F	н	СНЗ
	YA0662	CH3-	H₃CO-⟨¯¯ <mark>F</mark>	11	CH3
	YA0663	CH3-	H <sub>3</sub> CO	Н	СНЗ
	YA0664	CH3-	F, H₃CO-{}}	Н	СНЗ
,	YA0665	СН3-	Cl_OCH₃	н	CH3

No.	R1	R2	R3	R4
YA0666	СН3-	OCH₃ CI—(□)—;	Н	СНЗ
YA0667	CH3-	OCH <sub>3</sub> CI	Н	СН3
YA0668	СН3-	OCH₃ CI	Н	СНЗ
YA0669	CH3-	H₃CO CI—	H	СНЗ
YA0670	CH3-	H₃CO CI	Н	СН3
YA0671	CH3-	H₃CO_CI	Н	СНЗ
YA0672	СН3-	H₃CO-⟨¯́)→	Н	СНЗ

No		R1	R2	R	3	R4	
YA06	73	СН3-	CI	H		CH3	
YA06	74	СН3-	CI H <sub>3</sub> CO-	Н		СНЗ	_
YA067	75	CH3-	F_CH <sub>3</sub>	Н		СНЗ	
YA067	6	CH3-	CH <sub>3</sub> F—{}	н		СНЗ	-
YA067	7	CH3-	F CH <sub>3</sub>	н		СНЗ	
YA0678	3	СН3~	CH₃ F	Н		СНЗ	
YA0679		СН3-	H <sub>3</sub> C F—{;	Н		СНЗ	
YA0680		СН3-	H <sub>3</sub> C	Н		СНЗ	
YA0681		CH3-	H <sub>3</sub> CF	Н		СНЗ	
YA0682		СН3-	H₃C-⟨S	Н		СНЗ	
YA0683		CH3-	H <sub>3</sub> C	Н		СНЗ	
YA0684		СН3-	F <sub>3</sub> C-√-	Н		СНЗ	
YA0685		CH3-	Br_OCH <sub>3</sub>	н		СНЗ	
YA0686	(	CH3-	OCH <sub>3</sub>	Н		СНЗ	

No.	R1	R2	R3	R4
YA0687	СН3-	OCH <sub>3</sub> Br	Н	СНЗ
YA0688	СН3-	OCH <sub>3</sub> ⇒ Br	Н	CH3
YA0689	CH3-	H₃CO Br—	H.	СНЗ
YA0690	CH3-	H₃CO Br	Н	CH3
YA0691	CH3-	H₃CO_Br	н	СНЗ
YA0692	CH3-	Br H₃CO-⟨□⟩	Н	СНЗ
YA0693	CH3-	Br H₃CO	H·	СНЗ

No.	R1	R2	D2	<del></del>
YA0694		Br. H <sub>3</sub> CO-	R3 H	CH3
YA0695	CH3-	H <sub>3</sub> CO >	Н	СНЗ
YA0696	СН3-	OCH <sub>3</sub>	Н	СНЗ
YA0697	СН3-	CN-CD+3	Н	СНЗ
YA0698	СН3-	H₃CO ≻ ✓►N	Н	СН3
YA0699	СН3-	H <sub>3</sub> CO N−⟨¯⟩−;	Н	СНЗ
YA0700	СН3-	OCH <sub>3</sub>	Н	СНЗ
YA0701	СН3-	F-(	Н	СНЗ
YA0702	СН3-	OCH <sub>3</sub> F————————————————————————————————————	Н	СНЗ
YA0703	СН3-	H₃CO-⟨Ş F	Н	СНЗ
YA0704	CH3-	$P \leftarrow \bigcirc OCH_3$ $P \leftarrow \bigcirc $	Н	СНЗ
YA0705	СН3-	OCH <sub>3</sub> H <sub>3</sub> CO-{_}}-{ OCH <sub>3</sub>	Н	СНЗ
YA0706	CH3-	CI CI CI	Н	СНЗ
YA0707	СН3-	OCH₃ CI—{ CI	Н	СНЗ

No.	R1	R2	R3	R4
YA0708	снз-	H₃CO-⟨}; CI	Н	СНЗ
YA0709	CH3-	OCH <sub>3</sub> C⊢∕Ç≻} OCH <sub>3</sub>	Н	. СНЗ
YA0710	CH3-	OCH <sub>3</sub> OCH <sub>3</sub> OCH <sub>3</sub>	Н	СНЗ
YA0711	CH3-	OCH <sub>3</sub>	H	СНЗ
YA0712	CH3-	H <sub>3</sub> CO	Н	СНЗ
YA0713	СН3-	H <sub>3</sub> CO-{	Н	СНЗ
YA0714	CH3-	OCH <sub>3</sub> }\	Н	СНЗ

YA0715         CH3-         H₃CO         H         CH3           YA0716         CH3-         H₃CO         H         CH3           YA0717         CH3-         OCH₃         H         CH3           YA0718         CH3-         H³CO         H         CH3           YA0719         CH3-         H         CH3           YA0720         CH3-         F         H         CH3           YA0721         CH3-         F         H         CH3           YA0722         CH3-         F         H         CH3           YA0723         CH3-         F         H         CH3           YA0724         CH3-         F         H         CH3           YA0725         CH3-         F         H         CH3           YA0726         CH3-         F         H         CH3           YA0727         CH3-         F         H         CH3           YA0728         CH3-         F         H         CH3	No.	R1	R2	R3	R4
YA0717       CH3-       OCH3-       H       CH3         YA0718       CH3-       H3CO-       H       CH3         YA0719       CH3-       H       CH3         YA0720       CH3-       F       H       CH3         YA0721       CH3-       F       H       CH3         YA0722       CH3-       F       H       CH3         YA0723       CH3-       F       H       CH3         YA0724       CH3-       F       H       CH3         YA0725       CH3-       F       H       CH3         YA0726       CH3-       F       H       CH3         YA0727       CH3-       F       H       CH3	YA0715	0Н3-			
YA0717       CH3-       H       CH3         YA0718       CH3-       H3CO       H       CH3         YA0719       CH3-       H       CH3         YA0720       CH3-       F       H       CH3         YA0721       CH3-       F       H       CH3         YA0722       CH3-       F       H       CH3         YA0723       CH3-       F       H       CH3         YA0724       CH3-       F       H       CH3         YA0725       CH3-       F       H       CH3         YA0726       CH3-       F       H       CH3         YA0727       CH3-       F       H       CH3	YA0716	СН3-	H <sub>3</sub> CO-{\rightarrow}^\tag{\gamma}	Н	СН3
YA0718       CH3-       CH3-       H       CH3         YA0719       CH3-       H       CH3         YA0720       CH3-       F       H       CH3         YA0721       CH3-       F       H       CH3         YA0722       CH3-       F       H       CH3         YA0723       CH3-       F       H       CH3         YA0724       CH3-       F       H       CH3         YA0725       CH3-       F       H       CH3         YA0726       CH3-       F       H       CH3         YA0727       CH3-       F       H       CH3	YA0717	CH3-	OCH <sub>3</sub>	Н	СНЗ
YA0719       CH3-       H       CH3         YA0720       CH3-       F       H       CH3         YA0721       CH3-       F       H       CH3         YA0722       CH3-       F       H       CH3         YA0723       CH3-       F       H       CH3         YA0724       CH3-       F       H       CH3         YA0725       CH3-       F       H       CH3         YA0726       CH3-       H       CH3         YA0727       CH3-       H       CH3	YA0718	СН3-	H <sub>3</sub> CO	Н	СНЗ
YA0721       CH3-       F-       H       CH3         YA0722       CH3-       F-       H       CH3         YA0723       CH3-       F-       H       CH3         YA0724       CH3-       F-       H       CH3         YA0725       CH3-       F-       H       CH3         YA0726       CH3-       F-       H       CH3         YA0727       CH3-       F-       H       CH3	YA0719	СН3-	H <sub>3</sub> CO-	Н	СНЗ
YA0722       CH3-       F-       H       CH3         YA0723       CH3-       F-       H       CH3         YA0724       CH3-       F-       H       CH3         YA0725       CH3-       F-       H       CH3         YA0726       CH3-       F-       H       CH3         YA0727       CH3-       F-       H       CH3	YA0720	CH3-	F 	Н	СНЗ
YA0722       CH3-       F-       H       CH3         YA0723       CH3-       F-       H       CH3         YA0724       CH3-       F-       H       CH3         YA0725       CH3-       F-       H       CH3         YA0726       CH3-       F-       H       CH3         YA0727       CH3-       F-       H       CH3         YA0728       CH3-       F-       H       CH3	YA0721	-,	F	Н	СНЗ
YA0724 CH3- F- H CH3  YA0725 CH3- F- H CH3  YA0726 CH3- H CH3  YA0727 CH3- F- CH3- H CH3	YA0722	СН3-	F-{\}-{\}-{\}-	Н	СНЗ
YA0724         CH3-         H         CH3           YA0725         CH3-         F-         H         CH3           YA0726         CH3-         F-         H         CH3           YA0727         CH3-         F-         H         CH3	YA0723	СН3-	F C	Н	СНЗ
YA0726 CH3-	YA0724	СН3-	F	Н	СНЗ
YA0727 CH3- F	YA0725	CH3-	F-(	Н	СНЗ
VAD722 CUS F-(-)	YA0726	СН3-	F	Н	СНЗ
YA0728 CH3- F	YA0727	СН3-	F.	Н	СНЗ
	YA0728	CH3-	F-(\rightarrow\)	Н	СНЗ

No.	R1	R2	R3	.R4
YA0729	CH3-		н	СНЗ
YA0730	СН3-	CO	Н	СНЗ
YA0731	CH3-	СН3-	Н	Q
YA0732	СН3-	CH3CH2-	н	
YA0733	СН3-	<b>∕</b> ∕∖\	Н	
YA0734	СН3-	7	н	
YA0735	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	

No.	R1	R2	R3	R4
YA0736	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Q
YA0737	снз-	^\	Н	Q
YA0738	снз-	7	Н	Q
YA0739	CH3-	<b>^</b> \\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Q
YA0740	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	
YA0741	CH3	X.	Н	
YA0742	CH3-	7	Н	Q
YA0743	CH3-	<b>\\\\\</b>	Н	Q
YA0744	CH3-	Lvr	Н	
YA0745	CH3-	<b>^</b>	Н	
YA0746	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	
YA0747	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Q
YA0748	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	
YA0749	CH3-		Н	
YA0750	CH3-		Н	
YA0751	CH3-		Н	
YA0752	CH3-	ightharpoonup	Н	
YA0753	CH3-	<b>♦</b>	Н	Q
YA0754	CH3-	$\bigcirc$	Н	
YA0755	CH3-		Н	Q
YA0756	CH3-	$\bigcirc \dashv$	Н	Qu

No.	R1	R2	R3	R4
YA0757	CH3-	<b>△</b> -₁	Н	Qu
YA0758	СН3-		H	Qu
YA0759	СН3-		Н	Q
YA0760	CH3-	F {\}	Н	Q
YA0761	СН3-	F	Н	
YA0762	CH3-	F-(-)	Н	Q
YA0763	СН3-	F-{}	Н	Q
YA0764	CH3-	F-{\_}\\	Н	Qi
YA0765	CH3-	CI	Н	Qi
· YA0766	CH3-	CI	Н	
YA0767	СН3-	CH-{}-{	Н	
YA0768	CH3-	C⊢ <b>(_</b> )~-{	Н	Q
YA0769	СН3-	C⊢ <b>(</b> )⊪∮	Н	Q,
YA0770	СН3-	Br ∰	Н	
YA0771	CH3-		Н	
YA0772	CH3-	Br-{_}	Н	
YA0773	CH3-	Br—{}	H	
YA0774	CH3-	Br—{	н	
YA0775	CH3-		Н	
YA0776	CH3-	<u></u>	н	
YA0777	СН3-	I{}{	н	

No.	R1	R2	R3	T
YA0778	CH3-	CH <sub>3</sub>	Н	R4
YA0779	СН3-	H <sub>3</sub> C	Н	Q
YA0780	CH3-	H <sub>3</sub> C-{_}-{	Н	Q
YA0781	CH3-	C <sub>2</sub> H <sub>5</sub> -{	Н	
YA0782	CH3-	n-C <sub>3</sub> H <sub>7</sub> {	Н	
YA0783	CH3-	n-C <sub>4</sub> H <sub>9</sub> {}	Н	
YA0784	CH3-	OH OH	Н	Q
YA0785	CH3-	HO	Н	Q
YA0786	CH3-	HO-{\bigcirc}{	Н	Qi
YA0787	CH3-	OCH <sub>3</sub>	Н	Q
YA0788	СН3-	H₃CO —}	Н	Q
YA0789	СН3-	H₃CO-{_}-{	н	Q
YA0790	CH3-	H₃CO- <b>⟨</b> _ <b>&gt;</b> -{	Н	Q
YA0791	СН3-	H <sub>3</sub> CO-	н	
YA0792	CH3-	OC <sub>2</sub> H <sub>5</sub>	н	
YA0793	СН3-	C <sub>2</sub> H <sub>5</sub> O —	н	
YA0794	СН3-	C <sub>2</sub> H <sub>5</sub> O-{}{	н (	Q.
YA0795	CH3-	n-C <sub>3</sub> H <sub>7</sub> O-{	н	
YA0796	CH3-	n-C₄H <sub>9</sub> O-⟨}	н [	
YA0797	CH3-	NO <sub>2</sub>	н	
YA0798	CH3-	O <sub>2</sub> N	н	

No.	R1	R2	R3	R4
YA0799	CH3-	O <sub>2</sub> N-{_}	н	
YA0800	CH3-	CN	Н	Q
YA0801	СН3-	NC	Н	Qu
YA0802	CH3-	NC-{}	н	Q
YA0803	CH3-	CF <sub>3</sub>	Н	Q
YA0804	СН3-	F <sub>3</sub> C	Н	
YA0805	CH3-	F <sub>3</sub> C-{}{	Н	
YA0806	CH3-	COOH	Н	Q
YA0807	CH3-	HOOC	Н	
YA0808	CH3-	HOOC-{_}	H :	
YA0809	CH3-	CO <sub>2</sub> Me	H :	Q
YA0810	CH3-	MeO <sub>2</sub> C	Н	Qi
YA0811	CH3-	MeO <sub>2</sub> C-{{}	Н	Qi
YA0812	CH3-	CO <sub>2</sub> Et	Н	
YA0813	CH3-	EtO <sub>2</sub> C	Н	
YA0814	CH3-	EtO <sub>2</sub> C-{}	н	
YA0815	CH3-	SMe	. н	
YA0816	CH3-	MeS 	н	
YA0817	CH3-	MeS-{_}-{	н	
YA0818	CH3-	SO <sub>2</sub> Me	н	
YA0819	СН3-	MeO <sub>2</sub> S	Н	

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No.	R1	R2	R3	R4
YA0820	СН3-	MeO <sub>2</sub> S-{	Н	
YA0821	СН3-	NH <sub>2</sub>	Н	Q
YA0822	снз-	$H_2N$	Н	Q
YA0823	СН3-	$H_2N-$	Н	Q
YA0824	CH3~	NMe₂	Н	Q
YA0825	СН3-	Me <sub>2</sub> N	Н	Q
YA0826	CH3-	Me <sub>2</sub> N-(	Н	
YA0827	СН3-		н	
YA0828	СН3-	CN-C)	Н	
YA0829	СН3-		Н	
YA0830	CH3-	(N-(S)	Н	Q
YA0831	CH3-	\( \rac{\rac{\rac{\rac{\rac{\rac{\rac{	Н	Q
YA0832	CH3-	_N-{_}-;	Н	Q
YA0833	CH3-	O_N- <u>(</u> _)	Н	
YA0834	CH3-	<b>○</b> N <b>-</b> ○}	Н	
YA0835	CH3-	o_n-{_}-;	Н	
YA0836	CH3-	H <sub>3</sub> CN_N-	Н	Q
YA0837	CH3-	H³CN_N-⟨_}	Н	Q
YA0838	Un3-	H3CN_N-{}-{	Н	Q
YA0839	CH3-	H <sub>3</sub> C_CH <sub>3</sub>	н	
YA0840	CH3-	CH <sub>3</sub>	Н	Q

No.	R1	R2	R3	R4
YA0841	снз-	CH₃ → H₃C	н	
YA0842	CH3-	CH₃ CH₃	н	
YA0843	CH3-	H <sub>3</sub> C H <sub>3</sub> C-\_}	Н	Qu
YA0844	CH3-	H <sub>3</sub> C H <sub>3</sub> C	Н	
YA0845	CH3-	F F	Н	
YA0846	СН3-	F—	Н	
YA0847	снз-	,	Н	
YA0848	CH3-	F F	Н	Q,
YA0849	СН3-	F—	Н	
YA0850	СН3-	F	Н	
YA0851	СН3-	CI_CI	Н	
YA0852	CH3-	CI→CI	Н	
YA0853	CH3-	CI	Н	
YA0854	CH3-	CI CI	Н	
YA0855	CH3~	CI CI	Н	

No.	R1	R2		
YA0856	СН3-	CI CI	R3 H	R4
YA0857	СН3-	H <sub>3</sub> CO_OCH <sub>3</sub>	Н	Q
YA0858	CH3-	OCH <sub>3</sub> H <sub>3</sub> CO-⟨S	Н	Q
YA0859	CH3-	OCH <sub>3</sub> → H <sub>3</sub> CO	н	Qu
YA0860	CH3-	OCH3 OCH3	Н	Q
YA0861	CH3-	H <sub>3</sub> CO	Н	Q

No.	R1	R2	R3	R4
YA0862	СН3-	H <sub>3</sub> CO H <sub>3</sub> CO	Н	Q
YA0863	CH3-	F_OCH <sub>3</sub>	н	Qi
YA0864	CH3∸	OCH₃ F—(¯)—;	Н	Qr
YA0865	CH3-	OCH₃ F-	н	Qr
YA0866	СН3-	OCH <sub>3</sub> F-√∑'''∮	Н	
YA0867	СН3-	OCH₃	Н	
YA0868	CH3-	OCH₃ F	Н	
YA0869	CH3-	H <sub>3</sub> CO	Н	
YA0870	ĊH3-	H₃CO :	н	
YA0871	CH3-	H₃CO_F	Н	
YA0872	CH3-	H₃CO-⟨¯¯	Н	
YA0873	СН3-	H₃CO F	Н	
YA0874	СН3-	H <sub>3</sub> CO-	Н	
YA0875	СН3-	CI_OCH₃	Н	
YA0876	CH3-	OCH₃ CI—	Н	

No.	R1	R2	<del></del>	
		OCH <sub>3</sub>	R3	R4
YA0877	CH3-	CI COLI3	Н	Q
YA0878	СН3-	OCH <sub>3</sub> CI	Н	Q
YA0879	СН3-	H₃CO CI—	Н	Q
YA0880	CH3-	H₃CO GI	н	Q
YA0881	СН3-	H₃CO_CI	Н	Q
YA0882	CH3-	CI H₃CO-⟨¯¯}	Н	Q

No.	R1	R2	R3	R4
YA0883	CH3-	CI H₃CO	Н	Q
YA0884	CH3-	CI H₃CO-⟨¯¯>→{	Н	Qr
YA0885	CH3-	F CH₃	Н	
YA0886	CH3-	CH <sub>3</sub> F—⟨□⟩—}	Н	
YA0887	CH3-	CH <sub>3</sub>	Н	
YA0888	CH3-	CH₃ ← F	Н	Qr
YA0889	CH3-	H <sub>3</sub> C F—\_}	Н	
YA0890	CH3-	H <sub>3</sub> C F	Н	
YA0891	CH3-	H <sub>3</sub> C_F	Н	
YA0892	СН3-	H₃C-⟨¯¯¯¯¯¯¯	Н	
YA0893	CH3-	F H₃C	Н	
YA0894	CH3-	H <sub>3</sub> C	Н	
YA0895	CH3-	Br_OCH <sub>3</sub>	н	
YA0896	CH3 <u>-</u>	OCH <sub>3</sub> Br—	Н	
YA0897	CH3-	OCH <sub>3</sub>	Н	

	No.	R1	R2		
	YA0898	CH3-	OCH <sub>3</sub>	R3 H	R4
	YA0899	СН3-	H₃CQ Br————————————————————————————————————	Н	Q
	YA0900	СН3-	H <sub>3</sub> CO Br	Н	
	YA0901	CH3-	H₃CO_Br	Н	Q
ļ ,	YA0902	СН3-	Br H₃CO-⟨=	Н	Q
,	/A0903	СН3-	Br H₃CO	Н	

No.	R1	R2	R3	R4
YA0904	CH3-	Br H₃CO-⟨☐	н	
YA0905	CH3-	H <sub>3</sub> CO }	Н	
YA0906	CH3-	OCH <sub>3</sub>	н	
YA0907	CH3-	CN-C}-OCH₃	Н	Qr
YA0908	CH3-	H <sub>3</sub> CO > ( ) ( ) ( ) ( ) ( ) ( ) ( ) ( ) ( ) (	H	
YA0909	СН3-	H <sub>3</sub> CO	Н	
YA0910	CH3-	OCH3	Н	
YA0911	CH3-	F-(\$\frac{F}{F}\)	Н	
YA0912	СН3-	CCH <sub>3</sub> F-⟨}-} F	Н	
YA0913	CH3-	H₃CO-{_}} F	Н	
YA0914	CH3-	OCH <sub>3</sub> F-\(\sum_\)-\(\sum_\) OCH <sub>3</sub>	Н	
YA0915	CH3-	OCH <sub>3</sub> H <sub>3</sub> CO-{_}-} OCH <sub>3</sub>	H	
YA0916	CH3-	CI—CI	Н	Q.
YA0917	CH3-	OCH₃ CI—CI	Н	
YA0918	CH3-	H <sub>3</sub> CO-()-}	Н	

No.	R1	R2	1	
YA0919	СН3-	OCH <sub>3</sub> CI—{	R3 H	R4
YA0920	CH3-	H <sub>3</sub> CO-(_)-{ OCH <sub>3</sub>	Н	Q
YA0921	СН3-	OCH <sub>3</sub>	Н	Q
YA0922	CH3-	H₃CO ————;	Н	
YA0923	CH3-	H₃CO-{_}-{_}-{_}-{_}-{_}-{_}-{_}-{_}-{_}-{_}	Н	Q
YA0924	СН3-	OCH <sub>3</sub> \t	н	Qr

No.	R1	R2	R3	R4
YA0925	СН3-	H <sub>3</sub> CO \\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Q
YA0926	CH3-	н₃со-⟨_>-⟨_>	Н	Q
YA0927	CH3-	OCH <sub>3</sub>	Н	
YA0928	СН3~	H₃CO ————————————————————————————————————	Н	Q
YA0929	СН3-	H <sub>3</sub> CO-{}	н	Qu
YA0930	CH3-	<b>∅</b> - <b>0</b> -4	Н	Q
YA0931	СН3-	F	Н	
YA0932	СН3-	F-{_}-{}-{}	Н	Q
YA0933	CH3-	F \\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	
YA0934	CH3-		Н	Qx
YA0935	СН3-	F-{	Н	
YA0936	CH3-		Н	
YA0937	CH3-	F.	Н	Q
YA0938	CH3-	F-{	Н	Q
YA0939	CH3-		Н	

No.	R1	R2	R3	R4
YA0940	СН3-	CC	Н	Qr
YA0941	CH3-	CH3-	Н	Ŷ,
YA0942	СН3-	CH3CH2-	Н	Ÿ,
YA0943	CH3-	<b>^</b> \	Н	Ŷ,
YA0944	CH3~	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Ŷ,
YA0945	CH3-	<b>\\\\</b>	Н	Ŷ,

No.	R1	R2	R3	R4
YA0946	снз-	Lx .	Н	Ŷ,
YA0947	СН3-	~	Н	Ĵ,
YA0948	CH3-	丫	Н	l,
YA0949	CH3~	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Ĵ,
YA0950	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Î,
YA0951	CH3-	Xr.	Н	Ŷ,
YA0952	СН3-	7	Н	<u></u>
YA0953	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Ŷ,
YA0954	СН3-		Н	Ž,
YA0955	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	O <sub>y</sub>
YA0956	CH3-	<b>/</b> ~~`	Н	<u></u>
YA0957	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	O A
YA0958	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	0
YA0959	CH3-		Н	0
YA0960	CH3-		Н	
YA0961	CH3-		Н	<u></u>
YA0962	CH3-	$\triangleright \rightarrow$	Н	
YA0963	CH3-	<b>♦</b>	Н	<u></u>
YA0964	CH3-		Н	, , , , , , , , , , , , , , , , , , ,
YA0965	CH3-		Н	<u></u>
YA0966	CH3~		Н	l <sub>y</sub> ,

No.	R1	R2	R3	R4
YA0967	CH3-		Н	Ŷ,
YA0968	CH3-		Н	Ŷ,
YA0969	CH3-	<b>⊘</b> ™{	Н	Ů,
YA0970	CH3-	F	н	0
YA0971	CH3-	F	Н	Ů,
YA0972	CH3-	F-(	Н	Ů,
YA0973	СН3-	F-{_}{	Н	Ŷ,
YA0974	CH3-	F	Н	il,
YA0975	CH3-	CI	Н	<u></u>
YA0976	CH3-	CI{i}	Н	Ŷ,
YA0977	CH3-	CH	Н	Ŷ,
YA0978	CH3-	C⊢ <del>_</del> }	Н	Ŷ,
YA0979	CH3-	C⊢∕_>⊪{	Н	Ŷ,
YA0980	CH3-	Br	Н	Ŷ,
YA0981	CH3-	Br.	Н	<u></u>
YA0982	CH3-	Br—{_}_{{}}	Н	Ŷ,
YA0983	CH3-	Br─∰	Н	Ŷ,
YA0984	CH3-	Br—⟨)ı{	Н	Ŷ,
YA0985	CH3~	<b>△</b>	Н	Ŷ,
YA0986	CH3-	 	Н	<u>Ļ</u>
YA0987	CH3-	<b>⊢</b> _}	Н	گ <sub>ان</sub>

- N. T	R1	R2	R3	R4	]
No. YA0988	CH3-	CH₃	Н	Ŷ,	
YA0989	CH3-	H <sub>3</sub> C	Н	L <sub>y</sub>	
YA0990	СН3-	H₃C-{_}	н	l <sub>y</sub> ,	
YA0991	CH3-	C <sub>2</sub> H <sub>5</sub> —{{}	Н	Î,	
YA0992	снз-	n-C <sub>3</sub> H <sub>7</sub> —{{{}}}	Н	Ů,	
YA0993	CH3-	n-C <sub>4</sub> H <sub>9</sub> {}-{	Н	Ů,	
YA0994	CH3-	OH €	н	l,	_
YA0995	CH3-	HO —	н	Î,	
YA0996	СН3-	HO-{\bar{\bar{\bar{\bar{\bar{\bar{\bar	н	Å,	
YA0997	СН3-	OCH <sub>3</sub>	Н	Ŷ,	
YA0998	снз-	H <sub>3</sub> CO	Н	Î,	
YA0999	СН3-	H <sub>3</sub> CO-{}	Н	Å,	
YA1000	CH3-	H <sub>3</sub> CO-	Н	Î,	.
YA1001	СН3-		Н	, ,	
YA1002	CH3-	\	Н	, ,	
YA1003	СН3-	C <sub>2</sub> H <sub>5</sub> O	н	Ŷ,	
YA1004	CH3	_ C <sub>2</sub> H <sub>5</sub> O-{}	Н	Ŷ,	
YA1005	5 CH3	n-C <sub>3</sub> H <sub>7</sub> O- <u>{</u> }{	н	Ů,	
YA1006	6 CH3		Н	<u></u>	.
YA100	7 CH3		Н		
YA100	8 CH	3- O <sub>2</sub> N	Н	Ŷ,	

No	). T	R1	7	<del></del>	
			R2	R3	R4
YA1	009	CH3-		Н	Å,
YA10	010	CH3-	CN	Н	, y
YA10	)11	CH3-	NC	Н	Ŷ,
YA10	12	CH3-	NC-{}-{	н	Ŷ,
YA10	13	CH3-	CF <sub>3</sub>	Н	Û,
YA10	14	CH3-	F <sub>3</sub> C	Н	<u></u>
YA10	15	CH3-	F <sub>3</sub> C-{}	Н	
YA101	16	CH3-	COOH	Н	Ŷ,
YA101	17	CH3-	HOOC	Н	Ŷ,
YA101	8	CH3-	HOOC-{_}-{	Н	Ŷ,
YA101	9	CH3-	CO <sub>2</sub> Me	Н	Ŷ,
YA102	0	CH3-	MeO <sub>2</sub> C	Н	<u></u>
YA102	1	CH3-	MeO <sub>2</sub> C-∕{}_{}	Н	
YA1022	2 (	CH3-	CO <sub>2</sub> Et	Н	Î,
YA1023	3 (	CH3-	EtÖ <sub>2</sub> C	Н	Î,
YA1024	(	CH3-	EtO <sub>2</sub> C-{}	Н	<u></u>
YA1025		)H3-	SMe	Н	<u></u>
YA1026	c	H3-	MeS	Н	
YA1027	С	H3-	MeS-{}	Н	Ŷ,
YA1028	С	H3-	SO₂Me	Н	Ů,
YA1029	С	H3-	MeO <sub>2</sub> S	Н	<u></u>

No.	R1	R2	R3	R4
YA1030	CH3-	MeO <sub>2</sub> S-{}	Н	Î,
YA1031	CH3-	NH <sub>2</sub>	Н	<u></u>
YA1032	CH3-	H <sub>2</sub> N	H :	<u></u>
YA1033	CH3	H <sub>2</sub> N-(-)	Н	Ŷ,
YA1034	CH3-	NMe <sub>2</sub>	Н	Ŷ,
YA1035	CH3-	lWe <sub>2</sub> N ———	Н	
YA1036	CH3-	Me <sub>2</sub> N-\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	<u></u>
YA1037	CH3-	CN-S	Н	Î,
YA1038	CH3-		Н	<u></u>
YA1039	CH3-	(n-{\)	н	Å,
YA1040	СН3-	N-\( \)	Н	Å,
YA1041	СН3-	\(\rightarrow\)	Н	Å,
YA1042	СН3-	N-(	Н	ا ا
YA1043	CH3-		Н	ا ا
YA1044	CH3-	<u></u>	Н	Å,
YA1045	СН3-	<u></u>	. н	l,
YA1046	СН3-	H <sub>3</sub> CN N-	Н	Ŷ,
YA1047	CH3-	H <sub>3</sub> CN N-	Н	<u></u>
YA1048	CH3-	H <sub>3</sub> CN_N-{_}-{	Н	Ů,
YA1049	CH3-	H₃C CH₃	Н	Ů,
YA1050	CH3-	CH <sub>3</sub> H <sub>3</sub> C-{}	Н	

	No.	R1	R2	l Do	 		
	YA1051		CH₃	R3	L <sub>y</sub> ,	R4	
	YA1052	СН3-	CH <sub>3</sub> CH <sub>3</sub>	Н	١		
	YA1053	СН3-	H <sub>3</sub> C H <sub>3</sub> C-	Н	Ŷ,		
	YA1054	CH3-	H <sub>3</sub> C	Н	Ŷ,		
	YA1055	СН3-	F_F	Н	Ŷ,		
	YA1056	СН3-	F—F	Н	l <sub>y</sub>		
	YA1057	CH3-	F F	Н	Ŷ,		
	YA1058	CH3-	F F	Н	Ŷ,		-
	YA1059	СН3-	F————	Н	Ŷ,		
	YA1060	CH3-	F F	Н	l,		
	YA1061	СН3-	CI_CI	Н	<u>L</u>		
	YA1062	СН3-	CI────────	Н	Ŷ,	·	
•	YA1063	CH3-	CI CI	Н	Ŷ,		
`	YA1064	СН3-	CI CI	Н	O <sub>j</sub> ,		
					 		- (

No.	RI ]	R2	R3	R4
YA1065	CH3-	CI,	Н	À,
YA1066	СН3-	CI CI	Н	Ŷ,
YA1067	CH3~	H₃CO_OCH₃	Н	<u>L</u> ,
YA1068	CH3-	OCH₃ H₃CO-⟨\$\rightarrow\$	н	<u></u>
YA1069	CH3-	OCH <sub>3</sub> H <sub>3</sub> CO	Н	<u></u>
YA1070	СН3-	OCH <sub>3</sub> OCH <sub>3</sub>	Н	<u></u>
YA1071	CH3-	H <sub>3</sub> CO	Н	<u></u>

	No.	R1	R2	R3	54
	YA1072	2 CH3~	H <sub>3</sub> CO	H	R4
	YA1073	CH3-	F_OCH <sub>3</sub>	н	l,
	YA1074	СН3-	OCH <sub>3</sub>	Н	Ŷ,
	YA1075	СН3-	OCH <sub>3</sub> F—C>→{	Н	Ŷ,
	YA1076	СН3-	OCH <sub>3</sub>	Н	2,
	YA1077	СН3-	OCH <sub>3</sub>	н	Î,
	YA1078	CH3	OCH <sub>3</sub> F	н	Ŷ,
	YA1079	СН3-	H₃CO F—√	Н	Ŷ,
	YA1080	CH3-	H <sub>3</sub> CO F	Н	Ŷ,
	YA1081	СН3-	H <sub>3</sub> CO_F	Н	Î,
`	YA1082	СН3-	H₃CO-⟨¯}	Н	Ŷ,
١	/A1083	CH3-	F H₃CO	Н	Ŷ,
Y	'A1084	СН3-	H <sub>3</sub> CO-	Н	Ŷ,
Υ	A1085	СН3-	CI_OCH <sub>3</sub>	Н	Ŷ,
		<del></del>	·		

No.	R1	R2	R3	R4
YA1086	CH3-	OCH₃ CI————————————————————————————————————	Н	<u></u> ,
YA1087	СН3-	OCH₃ CI	Н	<u></u> ,
YA1088	CH3-	OCH₃ CI	Н	l,
YA1089	СН3-	H <sub>3</sub> CQ CI—	Н	<u></u> <u>,</u>
YA1090	CH3-	H₃CO CI	Н	<u></u>
YA1091	CH3-	H₃CO_CI	Н	l,
YA1092	CH3-	H₃CO-{;	Н	ا ا

No.	R1	R2	R3	R4
YA1093	СН3-	H <sub>3</sub> CO	H	١,
YA1094	CH3-	CI H₃CO-⟨¯}{	Н	1,
YA1095	СН3-	F_CH <sub>3</sub>	Н	Î,
YA1096	CH3-	CH <sub>3</sub>	Н	Î,
YA1097	СН3-	CH <sub>3</sub>	Н	Å,
YA1098	СН3-	CH <sub>3</sub>	Н	<u>,</u>
YA1099	СН3-	H <sub>3</sub> C F—{}	Н	<u></u>
YA1100	СН3	H <sub>3</sub> C F	Н	Ŷ,
YA1101	СН3-	H <sub>3</sub> C F	Н	١
YA1102	СН3-	H <sub>3</sub> C-⟨\$\frac{\fin}}}}{\fint}}}}}}}}}{\frac{\fin}}}}}}}}}}}}}}}}}}}}}}}}}}}}}}}}}}}}	Н	Ŷ,
YA1103	СН3-	F H₃C	Н	Ŷ,
YA1104	CH3-	H <sub>3</sub> C-√	Н	Ŷ,
YA1105	CH3-	Br_OCH <sub>3</sub>	Н	Ŷ,
YA1106	снз-	OCH <sub>3</sub> Br—₹	Н	Ŷ,

No:	R1	R2	R3	R4
YA1107	СН3-	OCH <sub>3</sub> Br	Н	<u></u> ,
YA1108	СН3-	OCH₃ ⇒ Br	Н	l,
YA1109	CH3-	H₃CO Br—	Н	<u></u>
YA1110	CH3-	H₃CO Br	Н	<u></u>
YA1111	CH3-	H₃CO_Br	н	L,
YA1112	СН3-	Br H₃CO-	Н	Ŷ,
YA1113	CH3-	Br → H <sub>3</sub> CO	H :	l,

	No.	R1	R1 R2 R3					,		
	YA111	4 CH3	-	Br. H₃CO-⟨¬){	<u>-</u>	Н		Ŷ,	R4	
	YA111	5 CH3-	-	H <sub>3</sub> CO >		н		Ŷ,		
	YA111	6 CH3-	-	OCH <sub>3</sub>		н		, L,		
	YA1117	7 CH3-		CN-⟨S}-OCH3		Н		L,		
	YA1118	СН3-		H <sub>3</sub> CO >		н		Ŷ,		
	YA1119	СН3-		H <sub>3</sub> CO		Н		Ŷ,		
	YA1120	СН3-		OCH3		Н		Ŷ,	:	
	YA1121	СН3-		F F		Н		Ŷ,		
	YA1122	СН3-		OCH <sub>3</sub> F—{_}_{} F		н		Ŷ,		
	YA1123	CH3-		H₃CO-⟨Ş F		Н		Ŷ,		
	YA1124	СН3-		$P \leftarrow OCH_3$ $P \leftarrow OCH_3$		Н		گې		
	YA1125	СН3-	ŀ	OCH <sub>3</sub> OCH <sub>3</sub> OCH <sub>3</sub>		н		Ŷ,		
,	YA1126	СН3-	(	CI CI		Н		Ŷ,		
١	/A1127	СН3-	C	CI OCH3		Н		l,		
						1				╛

No.	R1	R2	R3	R4
YA1128	CH3-	H₃CO-⟨}; CI	Н	Ŷ,
YA1129	СН3-	OCH3 CI—⟨}_ OCH3	H	Ŷ,
YA1130	CH3-	OCH <sub>3</sub> H <sub>3</sub> CO-{_}-} OCH <sub>3</sub>	Н	<u>L</u> ,
YA1131	CH3-	OCH <sub>3</sub>	н	2,
YA1132	CH3-	H <sub>3</sub> CO	Н	Ŷ,
YA1133	CH3-	H <sub>3</sub> CO-{_}-{_}-{_}-{_}-{_}-{_}-{_}-{_}-{_}-{_}	н	L <sub>y</sub>
YA1134	снз-	OCH <sub>3</sub> }	Н	Ŷ,

	No.	R1	R2		
	YA1135		H <sub>3</sub> CO	R3	R4
	YA1136	СН3-	H <sub>3</sub> CO-{\bigcirc}\tag{\frac{1}{\infty}}	Н	Ŷ,
	YA1137	СН3-	OCH <sub>3</sub>	Н	l,
	YA1138	СН3-	H <sub>3</sub> CO	Н	<u>ا</u>
	YA1139	СН3-	H <sub>3</sub> CO-{}	Н	Ŷ,
	YA1140	СН3-	F-(	Н	Ŷ,
	YA1141	СН3-	F	Н	Ŷ,
	YA1142	CH3-	F-{_}-{_}-;	Н	Ŷ,
	YA1143	CH3-	<b>□F□</b> `	Н	2,
	YA1144	CH3-	F	Н	. L <sub>y</sub>
,	YA1145	СН3-	F-{\rightarrow}^\tag{\tau}	Н	Ŷ,
`	YA1146	CH3-		Н	
١	⁄A1147	СН3-	F.	Н	2,
Y	′A1148	СН3-	F-(	Н	Ŷ,

No.	R1	R2	R3	R4
YA1149	CH3-		Н	<u>,</u>
YA1150	СН3-	CCC's	Н	<u></u>
YA1151	CH3-	Q,	Н	Ì,
YA1152	CH3-	() N	Н	L <sub>y</sub>
YA1153	СН3-	Č.	Н	l,
YA1154	CH3-	CH3-	CH3-	н
YA1155	СН3-	CH3CH2- :	CH3-	Н

No	R1	R2		3	
YA11	56 CH3-	1	СН		R4 H
YA11	57 CH3~	7	СН	3-	 Н
YA115	58 CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	СН	3-	Н
YA115	9 снз-	\\r	СН	-	Н
YA116	0 CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	СНЗ	-	Н
YA116	1 снз-	7	СНЗ	-	н
YA1162	? CH3-	<b>^</b> \\\	СН3-	-	Н
YA1163	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	СН3-		Н
YA1164	CH3-	X,	CH3-		Н
YA1165	CH3-	7	СН3-		н
YA1166	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	СН3-		Н
YA1167	СН3-	<u></u>	СН3-		Н
YA1168	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	СН3-		1
YA1169	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	СН3-	ŀ	1
YA1170	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	CH3-	H	
YA1171	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	СН3-	Н	
YA1172	СН3-	la	СН3-	Н	
YA1173	СН3-		CH3-	Н	
YA1174	CH3-	lur	СН3-	. Н	
YA1175	CH3-		CH3-	Н	
YA1176	CH3-	$\Diamond$ - $\dagger$	СН3-	Н	

No.	R1	R2	R3	R4
YA1177	CH3-	$\bigcirc \dashv$	CH3-	Н
YA1178	CH3-		CH3-	Н
YA1.179	CH3-		CH3-	Н
YA1180	CH3-	<u></u>	CH3-	н
YA1181	CH3-		CH3-	Н
YA1182	CH3-		CH3-	Н
YA1183	СН3-	F 	СН3-	н
YA1184	CH3-	F	CH3-	н
YA1185	CH3-	F-()1	СН3-	н
YA1186	CH3-	F—(	CH3-	Н
YA1187	CH3-	F—(_)III-{	CH3-	Н
YA1188	CH3-	CI	снз-	. н
YA1189	CH3-	CI	СН3-	н
YA1190	CH3-	C⊢{_}{	CH3-	н
YA119	1 GH3-	CH	снз-	Н
YA119	2 CH3-	·	CH3-	- Н
YA119	3 CH3	-/ 3	CH3-	- Н
YA119	04 CH3	Br.	снз	_ H
YA119	95 CH3	Br{	CH3	- н
YA119	96 CH3	Br—{}	CH3	H
YA11	97 CH3	3- Br—()***{	CH	3- H

No.	R1	R2	R3	
YA119	YA1198 CH3-		CH3-	- R4 - H
YA119	9 CH3-		СН3-	н
YA120	0 снз-		СН3-	Н
YA120	1 CH3-	CH <sub>3</sub>	CH3-	Н
YA1202	2 CH3-	H <sub>3</sub> C	СН3-	Н
YA1203	СН3-	H <sub>3</sub> C-{}	СН3-	Н
YA1204	CH3-	C <sub>2</sub> H <sub>5</sub> -{	CH3-	н
YA1205	СН3-	n-C <sub>3</sub> H <sub>7</sub> {{}}	СН3-	Н
YA1206	СН3-	n-C <sub>4</sub> H <sub>9</sub> {_}	СН3-	Н
YA1207	СН3-	OH →	CH3-	Н
YA1208	CH3-	HO HO	СН3-	Н
YA1209	СН3-	HO-{}	СН3-	Н
YA1210	СН3-	OCH <sub>3</sub>	СН3-	Н
YA1211	CH3-	H <sub>3</sub> CO	СН3-	H
YA1212	CH3-	H₃CO-{_}	CH3-	Н
YA1213	СН3-	H₃CO-{_}	СН3-	Н
YA1214	CH3-	H <sub>3</sub> CO-{\bigs\mid_\mid	СН3-	Н
YA1215	CH3-	OC <sub>2</sub> H <sub>5</sub>	СН3-	Н
YA1216	CH3-	C <sub>2</sub> H <sub>5</sub> O ∠_}-{	СН3-	Н
YA1217	CH3-	C <sub>2</sub> H <sub>5</sub> O-{	СН3-	Н
YA1218	CH3-	-C₃H <sub>7</sub> O-⟨}_{	СН3-	Н

No.	R1	R2	R3	R4	
YA1219	CH3-	n-C <sub>4</sub> H <sub>9</sub> O-{}	СН3-	Н	
YA1220	CH3-	NO <sub>2</sub>	CH3-	H ·	
YA1221	CH3-	O <sub>2</sub> N ⟨_}~;	CH3-	Н	
YA1222	СН3-	02N-()-{	CH3-	Н	
YA1223	СН3-	CN ⟨⇒₁	CH3-	Н	
YA1224	СН3-	NC →	CH3-	Н	
YA1225	CH3-	NC-{_}	CH3-	Н	
YA1226	CH3-	NH <sub>2</sub>	CH3-	н	
YA1227	CH3-	H <sub>2</sub> N	СН3-	Н	
YA1228	CH3-	$H_2N-$	СН3-	Н	
YA1229	CH3-	NMe <sub>2</sub>	CH3-	Н	
YA1230	CH3-	Me <sub>2</sub> N	СН3-	Н	
YA1231	CH3-	Me <sub>2</sub> N-{	СН3-	Н	
YA1232	CH3-	N-√	CH3-	н	
YA1233	CH3-	CN-C)	CH3-	Н	
YA1234	СН3-		CH3-	Н	
YA1235	CH3-	\(\sum_\subseteq\)	СН3-	Н	
YA1236	CH3-	□ N-□	CH3~	Н	
YA1237	CH3-	N-(	CH3-	Н	
YA1238	снз-	0_N-<	CH3-	Н	
YA1239	) CH3-		CH3-	. Н	

No.	R1	R2	Ra	B R4
YA124	40 CH3-	- O_N-{_}-}	СН	
YA124	11 СН3-	H <sub>3</sub> CN_N	СНЗ	3- н
YA124	2 CH3-	H3CN N-	СНЗ	- н
YA124	3 СН3-	H3CN N-()-{	CH3	- н
YA1244	4 CH3-	OCH <sub>3</sub> F—∰	CH3-	- Н
YA1245	5 CH3-	OCH <sub>3</sub>	СН3-	Н
YA1246	СН3-	OCH <sub>3</sub>	СН3-	Н
YA1247	СН3-		СН3-	Н
YA1248	CH3-		СН3-	Н
YA1249	CH3-	CH3-	н	СН3-
YA1250	СН3-	CH3CH2-	Н	CH3-
YA1251	CH3-	· .	н	СН3-
YA1252	CH3-	7	Н	CH3-
YA1253	CH3-	<b>√</b> \	Н	СН3-
YA1254	CH3-	人、	н	CH3-
YA1255	CH3-		Н	CH3-
YA1256	СН3-	*	Н	СН3-
YA1257	CH3-	<b>^</b> \\\	Н	CH3-
YA1258	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	СН3-
YA1259	СН3-	1	Н	СН3-
YA1260	СН3-	7	Н	CH3-

No.	R1	R2	R3	R4
YA1261	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	CH3-
YA1262	СН3-	Lv	Н	CH3-
YA1263	CH3-	<b>^</b> ~~\\	Н	СН3-
YA1264	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	СН3-
YA1265	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	H	CH3-
YA1266	СН3-		Н	CH3-
YA1267	CH3-		Н	СН3-
YA1268	CH3-		Н	снз-
YA1269	CH3-		Н	CH3-
YA1270	CH3-		Н	CH3-
YA1271	CH3-	$\qquad \qquad \bigcirc \dashv$	н	CH3-
YA1272	CH3-	$\bigcirc$ - $\stackrel{\downarrow}{}$	Н	CH3-
YA1273	СН3-		н	CH3-
YA1274	снз-		Н	CH3-
YA1275	СН3-		Н	СН3-
YA1276	снз-		Н	CH3-
YA1277	CH3-	<b>∑</b> m{	Н	снз-
YA1278	CH3-	F	Н	CH3-
YA1279	CH3-	F	Н	CH3-
YA1280	СН3-	F-{}-{	Н	СН3-
YA1281	CH3-	F-{}-{	Н	CH3-

	No	7 54				•	
	No.	- R1	R2	R	3	R4	
	YA128	2 CH3-	F-{	F	1	CH3-	
	YA128	3 CH3-	CI	H	1	CH3-	
	YA1284	4 CH3-	CI	Н		CH3-	
	YA1285	i СН3-	CH	Н		CH3-	
	YA1286	СН3-	CH	Н		СН3-	
i	YA1287	CH3-	CH	Н	1	СН3-	
	YA1288	СН3-	Br	Н		СН3-	$\dashv$
	YA1289	СН3-	Br.	Н	1	СН3-	
	YA1290	CH3-	Br—{_}_{	Н		СН3-	1
	YA1291	СН3-	3r—(	Н		СН3-	1
	YA1292	СН3-	3r—\_\_\	Н	1	СН3-	1
	YA1293	СН3-	$\Rightarrow$	Н	-	CH3-	1
	YA1294	CH3-		Н	1	CH3-	
	YA1295	СН3-		Н		CH3-	
	YA1296	СН3-	CH₃ {{	н	1	CH3-	
	YA1297	CH3-	;c 	Н	-	СН3-	
L	YA1298	CH3- H <sub>3</sub>	c-{_}-{	Н		CH3-	
\	/A1299	CH3- C <sub>2</sub>	H <sub>5</sub> -{}-{	Н		СН3-	
Y	A1300	CH3- n-C	C <sub>3</sub> H <sub>7</sub> -{_}{	Н		CH3-	
Y.	A1301	CH3 n-C	G <sub>4</sub> H <sub>9</sub> -√_}	Н		CH3-	
Y	A1302	CH3-	OH →	Н		СН3-	

No.	R1_	R2	R3	R4
YA1303	CH3-	HO	Н	, CH3-
YA1304	снз-	но-{-}	Н	СН3-
YA1305	CH3-	OCH₃	Н	¢нз-
YA1306	CH3-	H <sub>3</sub> CO	Н	СН3-
YA1307	CH3-	H <sub>3</sub> CO-{}-{	Н	CH3-
YA1308	CH3-	H <sub>3</sub> CO-{}	Н	СН3-
YA1309	CH3-	H <sub>3</sub> CO-	Н	СН3-
YA1310	CH3-	OC <sub>2</sub> H <sub>5</sub>	Н	CH3-
YA1311	CH3-	C <sub>2</sub> H <sub>5</sub> O △	Н	CH3-
YA1312	CH3-	$C_2H_5O-$	Н	CH3-
YA1313	CH3-	n-C <sub>3</sub> H <sub>7</sub> O-	Н	CH3-
YA1314	CH3-	n-C <sub>4</sub> H <sub>9</sub> O-{}	Н	CH3-
YA1315	CH3-	NO <sub>2</sub>	H	CH3-
YA1316	CH3-	O <sub>2</sub> N	Н	CH3~
YA1317	CH3-	O <sub>2</sub> N-{}{	Н	CH3-
YA1318	СН3-	CN △→	н	CH3-
YA1319	снз-	NC	Н	CH3-
YA1320	CH3-	NC-{}-{	Н	CH3-
YA1321	CH3-	NH <sub>2</sub>	Н	СН3-
YA1322	CH3-	H <sub>2</sub> N	Н	CH3-
YA1323	CH3-	$H_2N$	Н	CH3-

No	. R1	R2			·	
YA13		NMe <sub>2</sub>		₹3	R4	
7213	124 CH3-	Me N		Н	CH3-	
YA13	25 CH3-	Me <sub>2</sub> N		Н	СН3-	
YA132	26 CH3-	Me <sub>2</sub> N-(	ŀ	1	CH3-	
YA132	27 CH3-	CN-S	ŀ	,	СН3	
YA132	8 CH3-		Н		СН3-	
YA132	9 CH3-	(N-{\}-\}	Н	1	СН3-	1
YA1330	О СН3-		Н	1	CH3-	$\dashv$
YA1331	снз-	_N-⟨	Н		СН3-	1
YA1332	СН3-		Н		CH3-	1
YA1333	CH3-		Н	1	CH3-	1
YA1334	CH3- Q	N-<	Н		СН3-	1
YA1335	снз- о́	_N-{_}-{ :	Н		СН3-	
YA1336	CH3- H <sub>3</sub>	CN_N-	Н		CH3-	
YA1337	CH3- H <sub>3</sub> (	CN_N-{}	Н		СН3-	
YA1338	CH3-		Н		СН3-	
YA1339	CH3- F-	OCH <sub>3</sub>	Н		CH3-	
YA1340	CH3- F-	OCH <sub>3</sub>	Н		CH3-	
YA1341	CH3- F-	OCH <sub>3</sub>	Н		CH3-	
YA1342	снз-	9	Н		CH3-	
YA1343	CH3-,	J'i	Н		СН3-	
YA1344	CH3CH2-	СН3-	Н		Н	

No.	R1	R2	R3	R4
YA1345	CH3CH2-	CH3CH2-	Н	н
YA1346	СН3СН2-	<b>/</b> √\	Н	Н
YA1347	CH3CH2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
YA1348	СН3СН2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
YA1349	СН3СН2-	人、	Н	н
YA1350	СН3СН2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
YA1351	CH3CH2-	<b>X</b>	Н	Н
YA1352	СН3СН2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
YA1353	СН3СН2-	<b>\</b> \\	Н	Н
YA1354	СН3СН2-	人人	Н	Н
YA1355	СН3СН2-	7	Н	Н
YA1356	СН3СН2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	н
YA1357	CH3CH2-		Н	н
YA1358	CH3CH2	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н
YA1359	СН3СН2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н	Н
YA1360	СН3СН2-	\	Н	Н .
YA1361	СН3СН2-		. Н	Н
YA1362	СН3СН2-		Н	Н
YA1363	CH3CH2		Н	Н
YA1364	CH3CH2		Н	Н
YA1365	СН3СН2	- >-	н	н

YA1366         CH3CH2-         H         H         H           YA1367         CH3CH2-         H         H         H           YA1368         CH3CH2-         H         H         H           YA1369         CH3CH2-         H         H         H           YA1370         CH3CH2-         H         H         H           YA1371         CH3CH2-         H         H         H           YA1372         CH3CH2-         H         H         H           YA1373         CH3CH2-         H         H         H           YA1374         CH3CH2-         H         H         H           YA1375         CH3CH2-         H         H         H           YA1376         CH3CH2-         H         H         H           YA1377         CH3CH2-         H         H         H           YA1378         CH3CH2-         H         H         H           YA1380         CH3CH2-         H         H         H           YA1381         CH3CH2-         H         H         H           YA1383         CH3CH2-         H         H         H           YA1384 <t< th=""><th>No.</th><th>R1</th><th>T 50</th><th><del></del></th><th></th></t<>	No.	R1	T 50	<del></del>	
YA1367       CH3CH2-       H       H         YA1368       CH3CH2-       H       H         YA1369       CH3CH2-       H       H         YA1370       CH3CH2-       H       H         YA1371       CH3CH2-       H       H         YA1372       CH3CH2-       H       H         YA1373       CH3CH2-       H       H         YA1374       CH3CH2-       H       H         YA1375       CH3CH2-       H       H         YA1376       CH3CH2-       H       H         YA1377       CH3CH2-       H       H         YA1378       CH3CH2-       H       H         YA1379       CH3CH2-       H       H       H         YA1380       CH3CH2-       CH       H       H       H         YA1381       CH3CH2-       CH       H       H       H         YA1382       CH3CH2-       CH       H       H       H         YA1384       CH3CH2-       H       H       H       H         YA1385       CH3CH2-       BF       H       H       H         YA1386       CH3CH2-       BF	į		R2	R3	R4
YA1368       CH3CH2-       H       H         YA1369       CH3CH2-       H       H         YA1370       CH3CH2-       H       H         YA1371       CH3CH2-       H       H         YA1372       CH3CH2-       H       H         YA1373       CH3CH2-       H       H         YA1374       CH3CH2-       H       H         YA1375       CH3CH2-       H       H         YA1376       CH3CH2-       H       H         YA1377       CH3CH2-       H       H         YA1378       CH3CH2-       H       H         YA1380       CH3CH2-       H       H         YA1381       CH3CH2-       CH       H       H         YA1382       CH3CH2-       CH       H       H       H         YA1383       CH3CH2-       H       H       H         YA1384       CH3CH2-       H       H       H         YA1386       CH3CH2-       Br       H       H	YA130	66 CH3CH2-	<b>→</b>	Н	Н
YA1369       CH3CH2-       H       H         YA1370       CH3CH2-       H       H         YA1371       CH3CH2-       H       H         YA1372       CH3CH2-       H       H         YA1373       CH3CH2-       H       H         YA1374       CH3CH2-       H       H         YA1375       CH3CH2-       H       H         YA1376       CH3CH2-       H       H         YA1377       CH3CH2-       H       H         YA1378       CH3CH2-       H       H         YA1379       CH3CH2-       H       H         YA1380       CH3CH2-       CH       H       H         YA1381       CH3CH2-       CH       H       H       H         YA1382       CH3CH2-       CH       H       H       H         YA1383       CH3CH2-       BF       H       H       H         YA1384       CH3CH2-       BF       H       H       H         YA1385       CH3CH2-       BF       H       H       H	YA136	67 CH3CH2-		Н	н
YA1370       CH3CH2-       H       H       H         YA1371       CH3CH2-       H       H       H         YA1372       CH3CH2-       H       H       H         YA1373       CH3CH2-       H       H       H         YA1374       CH3CH2-       H       H       H         YA1375       CH3CH2-       H       H       H         YA1376       CH3CH2-       H       H       H         YA1377       CH3CH2-       CH       H       H       H         YA1378       CH3CH2-       CH       H       H       H         YA1380       CH3CH2-       CH       H       H       H         YA1381       CH3CH2-       CH       H       H       H         YA1382       CH3CH2-       CH       H       H       H         YA1383       CH3CH2-       BT       H       H       H         YA1384       CH3CH2-       BT       H       H       H         YA1386       CH3CH2-       BT       H       H       H	YA136	8 CH3CH2-	$\bigcirc$ $\dashv$	Н	Н
YA1371       CH3CH2-       H       H       H         YA1372       CH3CH2-       H       H       H         YA1373       CH3CH2-       F       H       H       H         YA1374       CH3CH2-       H       H       H       H         YA1375       CH3CH2-       H       H       H       H         YA1376       CH3CH2-       H       H       H       H         YA1377       CH3CH2-       CI       H       H       H         YA1378       CH3CH2-       CI       H       H       H         YA1380       CH3CH2-       CI       H       H       H         YA1381       CH3CH2-       CI       H       H       H         YA1382       CH3CH2-       CI       H       H       H         YA1383       CH3CH2-       Br       H       H       H         YA1385       CH3CH2-       Br       H       H       H         YA1386       CH3CH2-       Br       H       H       H	YA136	9 CH3CH2-		Н	Н
YA1372       CH3CH2-       OH3CH2-       H       H       H         YA1373       CH3CH2-       H       H       H         YA1374       CH3CH2-       H       H       H         YA1375       CH3CH2-       H       H       H         YA1376       CH3CH2-       H       H       H         YA1377       CH3CH2-       CH       H       H       H         YA1378       CH3CH2-       CH       H       H       H         YA1379       CH3CH2-       CH       H       H       H         YA1380       CH3CH2-       CH       H       H       H         YA1381       CH3CH2-       CH       III-       H       H       H         YA1382       CH3CH2-       CH       III-       H       H       H         YA1384       CH3CH2-       BT       H       H       H         YA1385       CH3CH2-       BT       H       H       H         YA1386       CH3CH2-       BT       H       H       H	YA1370	0 снзсн2-		Н	Н
YA1373       CH3CH2-       Image: CH3CH2-	YA1371	CH3CH2-		Н	н
YA1374       CH3CH2-       Image: CH3CH2-	YA1372	: CH3CH2-		Н	Н
YA1375       CH3CH2-       F       H       H       H         YA1376       CH3CH2-       F       H       H       H         YA1377       CH3CH2-       F       IIIIIIIIIIIIIIIIIIIIIIIIIIIIIIIIIIII	YA1373	СН3СН2-		Н	Н
YA1376       CH3CH2-       F       H       H       H         YA1377       CH3CH2-       F       III-       H       H       H         YA1378       CH3CH2-       CI       H       H       H         YA1379       CH3CH2-       CI       H       H       H         YA1380       CH3CH2-       CI       H       H       H         YA1381       CH3CH2-       CI       III-       H       H       H         YA1382       CH3CH2-       CI       III-       H       H       H         YA1383       CH3CH2-       III-       H       H       H         YA1384       CH3CH2-       III-       H       H       H         YA1385       CH3CH2-       III-       H       H       H         YA1386       CH3CH2-       III-       H       H       H	YA1374	CH3CH2-	<u></u>	Н	н
YA1377       CH3CH2-       F       IIII       H       H       H         YA1378       CH3CH2-       CI       H       H       H         YA1379       CH3CH2-       CI       H       H       H         YA1380       CH3CH2-       CI       H       H       H         YA1381       CH3CH2-       CI       H       H       H         YA1382       CH3CH2-       CI       IIII       H       H       H         YA1383       CH3CH2-       Br       H       H       H       H         YA1384       CH3CH2-       Br       H       H       H       H         YA1386       CH3CH2-       Br       H       H       H       H	YA1375	CH3CH2-	<del>\_</del> {}	Н	Н
YA1378       CH3CH2-       CI       H       H         YA1379       CH3CH2-       CI       H       H         YA1380       CH3CH2-       CI       H       H         YA1381       CH3CH2-       CI       H       H         YA1382       CH3CH2-       CI       IIII       H       H         YA1383       CH3CH2-       CI       IIII       H       H         YA1384       CH3CH2-       Br       III       H       H         YA1385       CH3CH2-       Br       III       H       H         YA1386       CH3CH2-       Br       III       H       H	YA1376	CH3CH2-	<b>₹</b>	Н	Н
YA1378       CH3CH2-       H       H         YA1379       CH3CH2-       CI       H       H         YA1380       CH3CH2-       CI-       H       H       H         YA1381       CH3CH2-       CI-       H       H       H         YA1382       CH3CH2-       CI-       IIII-       H       H       H         YA1383       CH3CH2-       Br       H       H       H       H         YA1384       CH3CH2-       Br       H       H       H       H         YA1385       CH3CH2-       Br       H       H       H       H	YA1377	СНЗСН2-		Н	Н
YA1380 CH3CH2− CF	YA1378	СН3СН2-	CI 	Н	н
YA1381 CH3CH2− C → H H  YA1382 CH3CH2− C → H H  YA1383 CH3CH2− Br	YA1379	CH3CH2-		Н	Н
YA1382 CH3CH2- CH2- CH2- H H H  YA1383 CH3CH2- H H H  YA1384 CH3CH2- Br H H  YA1385 CH3CH2- Br H H  YA1386 CH3CH2- Br H H	YA1380	CH3CH2- CH	<b>─</b>	Н	Н
YA1383 CH3CH2- Br H H  YA1384 CH3CH2- Br H H  YA1385 CH3CH2- Br H H  YA1386 CH3CH2- Br H	YA1381	CH3CH2- CI-	<b>⟨</b> }-	Н	Н
YA1383 CH3CH2-	YA1382	0.100112		Н	Н
YA1384 CH3CH2-	YA1383	СНЗСН2-	(	н	Н
YA1386 CH3CH2- Br	YA1384	СН3СН2- Br	_}_{	н	Н
YA1386 CH3CH2- Br- H H	YA1385	CH3CH2− Br-		н	Н
	YA1386	CH3CH2- Br-		н	Н

No.	R1	R2	R3	R4
YA1387	СН3СН2-	Br—⟨∑)⊪{	Н	Н
YA1388	СН3СН2-	—————————————————————————————————————	Н	н
YA1389	СН3СН2-	   	; H	н
YA1390	СНЗСН2-	- <u></u>	Н	Н
YA1391	снзсн2-	CH <sub>3</sub>	Н	Н
YA1392	снзсн2-	H <sub>3</sub> C	Н	Н
YA1393	СН3СН2-	H <sub>3</sub> C-{	н	Н
YA1394	CH3CH2-	C <sub>2</sub> H <sub>5</sub> {	н	Н
YA1395	снзсн2-	n-C <sub>3</sub> H <sub>7</sub> -{	Н	H
YA1396	снзсн2-	n-C <sub>4</sub> H <sub>9</sub> -{}-{	Н	Н
YA1397	снзсн2-	3	H	Н
YA1398	снзсн2-	HO	Н	Н
YA1399	СНЗСН2-		Н	Н
YA1400	снзсн2-		н	Н
YA1401	CH3CH2	H <sub>3</sub> CO	Н	Н
YA1402	СН3СН2	_ H₃CO-{}-{	Н	. Н
YA1403	СН3СН2	_ H₃CO-	Н .	Н .
YA1404	СН3СН2		Н	Н
YA1405	СН3СН2	\	Н	Н
YA1406	СН3СН2	C <sub>2</sub> H <sub>5</sub> O	н	н
YA1407	снзсна	$C_2H_5O-$	Н	H

No.	). R1	R2	R3	T
YA14	108 CH3CH2-	n-C <sub>3</sub> H <sub>7</sub> O-	Н	R4 H
YA14	109 CH3CH2-	n-C <sub>4</sub> H <sub>9</sub> O-	Н	Н
YA14	10 CH3CH2-	<u> {_</u> }{	Н	н :
YA14	11 CH3CH2-	O <sub>2</sub> N	н	н
YA14:	12 CH3CH2-		н	Н
YA141	3 CH3CH2-	CN →	Н	Н
YA141	4 CH3CH2-	NC	Н	Н
YA141	5 CH3CH2-	vc-{_}-{	Н	Н
YA1410	\ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \	NH <sub>2</sub>	Н	Н
YA1417	7 CH3CH2-	H <sub>2</sub> N	Н	Н
YA1418	Снзсн2-		Н	Н
YA1419		NMe <sub>2</sub>	Н	Н
YA1420	CH3CH2~	e <sub>2</sub> N	Н	Н
YA1421	CH3CH2- M	e <sub>2</sub> N-{}	Н	Н
YA1422	СНЗСН2-	N-	Н	н
YA1423	СНЗСН2-	N-{_}	Н	Н
YA1424	СН3СН2-	N-{}-{	Н	Н
YA1425	СНЗСН2-	_N-<	Н	.H
YA1426	СН3СН2-	N-{}	Н	Н
YA1427	снзсн2-	N-{_}{	н	Н
YA1428	СНЗСН2-	N-\_	Н	Н

No.	R1 R2	R3	R4
YA1429	CH3CH2- ON-	Н	н
YA1430	CH3CH2-	н	Н
YA1431	CH3CH2- H <sub>3</sub> CN N	н	Н
YA1432	CH3CH2- H <sub>3</sub> CN N-	н	н
YA1433	CH3CH2- H <sub>3</sub> CN N-\-\-\-\-\-\	Н	Н
YA1434	CH3CH2− F—  OCH3	н	Н
YA1435	CH3CH2- F-C	н	Н
YA1436	OCH <sub>3</sub> CH3CH2− F—⟨Sin-{	н	Н
YA1437	снзсн2-	Н	н
YA1438	СН3СН2-	н	H
YA1439	CH3CH2- CH3-	Н	: CH3-
YA1440	CH3CH2- CH3CH2-	Н	CH3-
YA1441	CH3CH2-	Н	CH3-
YA1442	CH3CH2-	н	CH3-
YA1443	CH3CH2-	Н	CH3-
YA1444	CH3CH2-	н	CH3-
YA1445	CH3CH2-	Н	CH3-
YA1446	CH3CH2-	Н	CH3-
YA1447	CH3CH2-	Н	CH3-
YA1448	CH3CH2-	н	CH3-
YA1449	CH3CH2-	H	CH3-

No	p. R				
		-	R2	R3	R4
YA14	450 CH3C	H2-	丫'	н	CH3-
YA14	151 CH3C	H2-	<u> </u>	Н	CH3-
YA14	152 CH3C	-l2-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	СН3-
YA14	53 CH3CI	12-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	СН3-
YA14	54 CH3CH	12-	<b>^</b>	Н	CH3-
YA14	55 CH3CF	12-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	CH3-
YA145	56 СНЗСН	2-	<b>√</b> √√¹₁	Н	CH3~
YA145	57 СНЗСН	2-	L	Н	CH3-
YA145	8 СНЗСН	2-	<b>)</b> \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\	Н	СН3
YA145	9 CH3CH2	2- 0		Н	CH3-
YA1460	0 СНЗСН2	!-	$\triangleright$	Н	CH3-
YA1461	СН3СН2	-	$\Diamond$ -1	Н	СН3-
YA1462	2 СНЗСН2	-	$\bigcirc$	н	CH3-
YA1463	СН3СН2	-		Н	CH3-
YA1464	СН3СН2-	-	<u></u>	Н	CH3-
YA1465	СН3СН2-		-{	Н	CH3
YA1466	СН3СН2-		-{	Н	CH3-
YA1467	CH3CH2-	<b>\_</b> "	.4	н	СН3-
YA1468	CH3CH2-	F	-{	Н	CH3-
YA1469	СН3СН2-		-{	Н	CH3-
YA1470	СН3СН2-		}-{	Н	CH3-
				<del></del>	

No.	R1	R2	R3	R4
YA1471	снзсн2-	F-(-)	н	CH3-
YA1472	СН3СН2-	F	Н	CH3-
YA1473	CH3CH2-	CI ⟨}~;	н	CH3-
YA1474	СН3СН2-	CI 	Н	CH3-
YA1475	СНЗСН2-	C⊢ <b>(_</b> )~{	Н	СН3-
YA1476	СНЗСН2-	CI—()	Н	CH3-
YA1477	СНЗСН2-	C	Н	CH3-
YA1478	СН3СН2-	Br —}-{	Н	CH3-
YA1479	СН3СН2-	Br.	Н	CH3-
YA1480	CH3CH2-	_ Br- <b>⟨_</b> }-{	Н	CH3-
YA1481	CH3CH2-	_ Br-{\_}{	н	CH3-
YA1482	СН3СН2	Br——	н	CH3-
YA1483	СН3СН2	-	н	CH3-
YA1484	СН3СН2		Н	CH3-
YA1485	СН3СН2		Н	CH3-
YA1486	СНЗСН2	CH <sub>3</sub>	н	CH3-
YA1487	CH3CH2	H <sub>3</sub> C	Н	CH3-
YA1488	CH3CH	2- H <sub>3</sub> C-\_\_\	Н	CH3-
YA1489	о снасн	2- C <sub>2</sub> H <sub>5</sub> -{	Н	СН3-
YA1490	о снзсн	2- n-C <sub>3</sub> H <sub>7</sub> -	. Н	CH3-
YA149	1 СНЗСН	2- n-C <sub>4</sub> H <sub>9</sub> -	Н	CH3-

No.	R1	P2			
		OH R2	R	3 R4	
YA1492	СН3СН2-		Н	CH3-	
YA1493	СНЗСН2-	HO	н	СН3-	
YA1494	CH3CH2-		Н	CH3-	
YA1495	CH3CH2-	OCH₃ <}_{{}_}	н	СН3-	
YA1496	CH3CH2-	H <sub>3</sub> CO	Н	CH3-	
YA1497	СН3СН2-	H₃CO-{_}_{{}}	Н	CH3-	
YA1498	снзсн2-	1 <sub>3</sub> CO-{}	Н	СН3-	
YA1499	СНЗСН2-		Н	СН3-	
YA1500	СН3СН2-	OC <sub>2</sub> H <sub>5</sub>	Н	CH3-	
YA1501 (	CH3CH2-	<sub>2</sub> H <sub>5</sub> O {	Н	СН3-	
YA1502 C	CH3CH2- C	<sub>2</sub> H <sub>5</sub> O-{_}	Н	CH3-	
YA1503 C	H3CH2- n-	C <sub>3</sub> H <sub>7</sub> O-{	Н	СН3-	1
YA1504 C	H3CH2- n-(	_	Н	CH3-	
YA1505 C	H3CH2-	_/ {	Н	СН3-	
YA1506 CI	H3CH2- O <sub>2</sub>	<u></u>	Н	CH3-	
YA1507 CH	13CH2- O <sub>2</sub> I	_ ''	Н	CH3-	
YA1508 CF	13CH2-	CN ├─{	Н	СН3-	
YA1509 CH	3CH2- NC	<b>\_</b>	Н	СН3-	
YA1510 CH	3CH2- NC-		н	СН3-	1
YA1511 CH:	BCH2-	NH <sub>2</sub> ├─-{	Н	СН3-	1
YA1512 CH3	CH2- H <sub>2</sub> N	<b></b>	Н	СН3-	

No.	R1	R2	R3	R4
YA1513	СНЗСН2-	H <sub>2</sub> N-{}	н	CH3-
YA1514	СН3СН2-	NMe <sub>2</sub>	Н	CH3-
YA1515	снзсн2-	Me <sub>2</sub> N	Н	CH3-
YA1516	снзсн2-	Me <sub>2</sub> N—	Н	CH3-
YA1517	снзсн2-	CN-S	Н	CH3-
YA1518	CH3CH2-	(N-()	Н	CH3-
YA1519	снзсн2-	_N-{_}-!	Н	CH3-
YA1520	снзсн2-		Н	CH3-
YA1521	СНЗСН2-	N-Q	Н	CH3-
YA1522	СНЗСН2-	N-{\}-\}	Н	CH3-
YA1523	CH3CH2-	N-(	H	CH3-
YA1524	СН3СН2		.Н	CH3-
YA1525	СН3СН2	_ O_N-{_}}	Н	CH3-
YA1526	СН3СН2	H <sub>3</sub> CN N-	Н	CH3-
YA1527	СНЗСН2	H <sub>3</sub> CN N-	н	СН3-
YA1528	CH3CH2		Н	CH3-
YA1529	снзсна	. 7,	Н	CH3-
YA1530	CH3CH2		Н	CH3-
YA153	1 CH3CH	OCH <sub>3</sub> 2− F-√∑m{	Н	CH3-
YA153	2 CH3GH	2-	Н	снз-
YA153	з снзсн	2- 1	Н	CH3-

No.	STRUCTURE
YA1534	
YA1535	CH <sub>3</sub> O N N N CH <sub>3</sub> O CH <sub>3</sub>
	CIH CIH
VA 4.500	CI N N N O CH <sub>3</sub>
/A1536	CIH CIH
	CI N N O CH <sub>3</sub>
A1537	N N
	H <sub>3</sub> C CH <sub>3</sub>

YA1538	OH N CH <sub>3</sub>
YA1539	H <sub>3</sub> C N CH <sub>3</sub>
YA1540	H <sub>3</sub> C N N O CH <sub>3</sub>
YA1541	CI N N N O CH <sub>3</sub>

YA1543	CIH N N CH <sub>3</sub>
	CI N N O CH <sub>3</sub>
YA1544	HCI NN NN NN O CH <sub>3</sub>

YA1545	HCI HCI N N CH <sub>3</sub>
YA1546	HCI HCI HCI N N N CH <sub>3</sub>
YA1547	N N N CH <sub>3</sub>

YA1548 YA1549	HCI HCI NN N N N N N O CH <sub>3</sub>
·	HCI HCI N N N N O CH <sub>3</sub>
YA1550	CH <sub>3</sub> HCI N N CH <sub>3</sub> O CH <sub>3</sub>

YA1551	CIH CIH N N O CH3
YA1552	N N N O CH <sub>3</sub>
YA1553	HCI  HCI  N  N  N  CH <sub>3</sub>

YA1554	
	HCI N N N N N N N N N N N N N N N N N N N
YA1555	HCI HCI N
YA1556	N N N O CH <sub>3</sub>
	HCI HCI HCI N N N N CH <sub>3</sub>
YA1557	HCI HCI NN HCI NO CH <sub>3</sub>

YA1558	H <sub>3</sub> C N N N N O CH <sub>3</sub>
YA1559	HCI HCI N N N N O CH <sub>3</sub>
YA1560	CH <sub>3</sub> HCI HCI N HCI N N CH <sub>3</sub>

YA1561	
	HCI N N N CH <sub>3</sub>
YA1562	HO N N N O CH <sub>3</sub>
YA1563	HCI HCI N N O CH <sub>3</sub>
YA1564	HCI NN NN O CH <sub>3</sub>

YA1565	O N N N N N CH <sub>3</sub>
YA1566	H <sub>3</sub> C N N N O CH <sub>3</sub>
YA1567	HO N N N O CH <sub>3</sub>
YA1568	N N N O CH <sub>3</sub>

YA1569	
	HO— N N N CH <sub>3</sub>
YA1570 YA1571	H <sub>3</sub> C N N N O CH <sub>3</sub>
	CH <sub>3</sub> N N N CH <sub>3</sub> C N CH <sub>3</sub>
YA1572	H <sub>3</sub> C S N N N N O CH <sub>3</sub>

YA1573	N N N N CH <sub>3</sub>
YA1574	F F N N N N N N CH <sub>3</sub>
YA1575	F F N N N O CH <sub>3</sub>

YA1576	110
·	H <sub>3</sub> C N N N CH <sub>3</sub>
YA1577	N CH <sub>3</sub>
17/10/10	CH <sub>3</sub> CH <sub>3</sub> N N N N O CH <sub>3</sub>

YA1579	CH <sub>3</sub> O CH <sub>3</sub> O CH <sub>3</sub> O CH <sub>3</sub>
YA1580	CI N N O CH <sub>3</sub>
YA1581	CI CI N N N N CH <sub>3</sub>
YA1582	CI CI CH <sub>3</sub>

YA1583	
÷	N CH <sub>3</sub>
YA1584	H <sub>3</sub> C O N N N O CH <sub>3</sub>
YA1585	H <sub>3</sub> C O N N N O CH <sub>3</sub>
YA1586	CH <sub>3</sub> S N N N CH <sub>3</sub>

YA1587	, N.
YA1501	H <sub>3</sub> C N N N O CH <sub>3</sub>
YA1588	H <sub>3</sub> C N N N O CH <sub>3</sub>
YA1589	H <sub>2</sub> N N N O CH <sub>3</sub>
YA1590	Br N N O CH <sub>3</sub>

Ta	b	4-ما

Table-4					
		$\begin{array}{c} R_3 \\ R_4 \\ R_5 \end{array} \begin{array}{c} R_1 \\ R_1 \end{array}$	D		
No.	R1	R2	R3	R4	Toe -
YB1	СН3-	СН3-	Н	Н	R5
YB2	СН3-	СН3СН2-	Н	Н	Н
YB3	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	Н
YB4	СН3-	74	Н	н	н
YB5	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	H	Н	Н
YB6	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	н	Н
YB7	CH3-	7	Н	Н	Н
YB8	CH3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	Н
YB9	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	н	н
YB10	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	н
YB11	СН3-	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н	Н	Н
YB12	СН3-	<b>\\\\</b>	λ, H	Н	Н
YB13	СН3-	Q <sub>o</sub> ,	н	Н	н
YB14	СН3-	Cos	Н	Н	н
YB15	СН3-	Q	Н	Н	Н
			<del></del>		

No.	R1	R2	R3	R4	R5
YB16	СН3-		Н	Н	Н
YB17	СН3-	Q	Н	Н	Н
YB18	CH3-	<u></u>	Н	Н	Н
YB19	CH3-	F;	Н	Н	Н
YB20	СН3-	F;	Н	Н	Н
YB21	СН3-	F-{\rightarrow}-1	Н	Н	Н
YB22	CH3-	CI	Н	Н	н
YB23	снз-	CI	Н	н	н
YB24	СН3-	CH{}	Н	H	Н
YB25	СН3-	Br	Н	H :	Н
YB26	CH3-	Br.	Н	H -	Н
YB27	СН3-	Br-{}-{	Н	н	Н
YB28	СН3-	CH <sub>3</sub>	Н	н	Н
YB29	СН3-	H <sub>3</sub> C ⟨	Н	Н	н
YB30	СН3-	H <sub>3</sub> C-{	Н	Н	Н
YB31	СН3-	C <sub>2</sub> H <sub>5</sub> -{	Н	Н	Н
YB32	2 CH3-	OH ⟨=⟩	Н	Н	Н
YB3	3 CH3	HO	Н	Н	Н

No.	R1	R2	R3	R4	
YBS	34 CH3-	HO-{\bar{\bar{\bar{\bar{\bar{\bar{\bar	Н	Н	R5
YB3	35 CH3-	OCH <sub>3</sub>	Н	Н	н
YB3	6 СН3-	H₃CO —}-{	Н	Н	н
YB3	7 CH3-	H <sub>3</sub> CO-{}-{	Н	Н	Н
YB38	8 CH3-	C <sub>2</sub> H <sub>5</sub> O-{_}	Н	Н	Н
YB39	9 СН3-	NO <sub>2</sub>	Н	Н	Н
YB40	СН3-	O <sub>2</sub> N	Н	н	Н
YB41	СН3-	O <sub>2</sub> N-{	Н	Н	Н
YB42	СН3-	CN	Н	Н	H
YB43	СН3-	NC	Н	Н	H
YB44	СН3-	NC-{}-{	Н	Н	H <sup>*</sup>
YB45	СН3-	Ch O	Н	Н	Н
YB46	СН3-		Н	Н	Н
YB47	СН3-	CC'	Н	Н	H
YB48	СН3-	₩ N	H .	Н	Н
YB49	СН3-	FON	Н	Н	н
YB50	СН3-	Q.N	Н	Н	Н
YB51	CH3-	ON ON	Н	Н	Н

No.	R1	R2	R3	R4	R5
YB52	СН3-	<u></u>	ОН	Н	. Н
YB53	CH3-	F ;	ОН	Н	Н
YB54	CH3-	F	он	Н	Н
YB55	СН3-	F-{}-{	он	Н	Н
YB56	СН3-	CI	он	н	н
YB57	CH3-	CI	ОН	Н	Н
YB58	CH3-	C-{_}{	он	Н	Н
YB59	CH3-	Br	он	. н	Н
YB60	CH3-	Br. ↓	он	н	Н
YB61	СН3-	Br—{	он	Н	Н
YB62	СН3-	CH <sub>3</sub>	он	H	Н
YB63	CH3-	H <sub>3</sub> C	он	Н	Н
YB64	CH3-	H <sub>3</sub> C-{{}	он	Н	H
YB65	CH3-	C <sub>2</sub> H <sub>5</sub> —{}{	он	Н	Н
YB66	CH3-	OH	ОН	Н	Н
YB67	СН3-	HO —	ОН	Н	Н
YB68	СН3-	HO-{\bar{\bar{\bar{\bar{\bar{\bar{\bar	он	Н	Н
YB69	СН3-	OCH <sub>3</sub>	ОН	Н	Н

No.	R1	R2	R3	R4	R5
YB70	СН3-	H <sub>3</sub> CO	ОН	Н	Н
YB71	СН3-	H <sub>3</sub> CO-{}-{	он	Н	Н
YB72	СН3-	C <sub>2</sub> H <sub>5</sub> O-{_}-{	ОН	H	Н
YB73	СН3-	NO <sub>2</sub>	ОН	Н	Н
YB74	СН3-	O <sub>2</sub> N	ОН	Н	н
YB75	CH3-	02N-{	ОН	Н	н
YB76	СН3-	CN	ОН	Н	Н
YB77	CH3-	NC	он	Н	н
YB78	СН3-	NC-{_}	ОН	Н	Н
: YB79	СН3-	Chio	ОН	Н	Н
YB80	СН3-		ОН	Н	Н
YB81	СН3-	C '	ОН	Н	Н
YB82	СН3-		CN	Н	Н
YB83	CH3-	F -}	CN	Н	Н
YB84	CH3-	F	CN	Н	Н
YB85	СН3-	F-{_}_{}	CN	Н	н
YB86	СН3-	CI	GN	Н	Н
YB87	CH3-	CI	CN	Н	Н

No.	R1	R2	R3	R4	R5
YB88	СН3-	C{}-{	CN	Н	н
YB89	CH3-	Br	CN	Н	Н
YB90	СН3-	Br.	CM	Н	н
YB91	CH3-	Br—{}	CN	Н	Н
YB92	CH3-	CH <sub>3</sub>	CN	Н	H
YB93	СН3-	H <sub>3</sub> C	CN	Н	H
YB94	СН3-	H₃C-⟨{	CN	Н	н
YB95	СН3-	$C_2H_5$	CN	Н	Н
YB96	CH3-	OH →	CN	Н	Н
YB97	СН3-	HO HO	CN	Н	Н
YB98	CH3-	HO-{}	CŃ	Н	Н
YB99	CH3-	OCH <sub>3</sub>	CN	Н	Н
YB100	CH3-	H <sub>3</sub> CO	CN	Н	H
YB101	CH3-	H <sub>3</sub> CO-{_}-{	CN	Н	Н
YB102	CH3-	C <sub>2</sub> H <sub>5</sub> O-{}	CN	Н	н
YB103	СН3	NO <sub>2</sub>	CN	Н	Н
YB104	CH3-	O <sub>2</sub> N	СИ	н	Н
YB105	СН3-	O <sub>2</sub> N-{	CN	Н	Н

No.	R1	R2 CN	R3	R4	R5
YB1	06 CH3-		CN	Н	. H
YB1	07 CH3-	NC	CN	Н	Н
YB10	08 CH3-	NC-{}	GN	Н	Н
YB10	09 CH3-		. CN	Н	Н
YB11	0 CH3-		CN	Н	Н
YB11	1 СН3-	OCT	CN	Н	Н
YB11:	2 CH3-	Н	Н	СН3-	Н
YB113	3 CH3-	Н	Н	CH3CH2-	Н
YB114	СН3-	Н	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	н
YB115	CH3-	н	Н	72	Н
YB116	СН3-	Н	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н
YB117	СН3-	Н	Н	L	Н
YB118	СН3-	Н	Н	7.7	Н
YB119	CH3-	Н	Н	<b>△</b> ✓\	Н
YB120	СН3-	Н	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н
/B121	СН3-	Н	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	Н
'B122	СН3-	Н	Н		\\
B123	CH3-	Н	Н	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	H

No.	R1	R2	R3	R4	R5
YB124	СН3-	Н	Н		Н
YB125	СН3-	Н	Н		Н
YB126	CH3-	H	Н		н
YB127	CH3-	Н	Н	<b>○</b> -₹	Н
YB128	CH3-	H	Н	F {	Н
YB129	GH3-	Н	Н	F	Н
YB130	СН3-	Н	Н	F-{}-{	Н
YB131	CH3-	Н	Н	CI	Н
YB132	CH3-	Н	Н	CI{i}	н
YB133	СН3-	Н	Н	CH	Н
YB134	CH3-	Н	Н	CI	н
YB135	CH3-	Н	Н	Br	Н
YB136	CH3-	Н	Н	Br.	Н
YB137	CH3-	Н	Н	Br-{_}{	Н
YB138	CH3-	Н	Н	CH <sub>3</sub>	Н
YB139		Н	Н	H <sub>3</sub> C	Н
YB140	CH3-	Н	Н	H <sub>3</sub> C-{{}	Н
YB141	CH3-	Н	H	C <sub>2</sub> H <sub>5</sub> —{	Н

No.	R1	R2	R3	R4	R5
YB14	42 CH3-	Н	Н	OH	Н
YB14	13 СН3-	Н	Н	HO	Н
YB14	4 CH3-	Н	· H	HO-{}-{}	Н
YB14	5 CH3-	Н	Н	OCH <sub>3</sub>	H
YB14	6 СН3-	Н	Н	H <sub>3</sub> CO	Н
YB147	7 СН3-	Н	Н	H <sub>3</sub> CO-{}-{	H
YB148	СН3-	Н	н	C <sub>2</sub> H <sub>5</sub> O-	<del>}</del> Н
YB149	СН3-	Н	Н	NO <sub>2</sub>	Н
YB150	СН3-	Н	Н	O <sub>2</sub> N	Н
YB151	СН3-	Н	Н	O <sub>2</sub> N-(	Н
YB152	CH3~	Н	Н	CN	Н
YB153	СН3-	Н	Н	NC NC	Н
YB154	СН3-	Н	Н	NC-{}-{	Н
YB155	СН3-	Н	Н		H
YB156	СН3-	Н	Н	(T)'	Н
YB157	СН3-	Н	Н	FOR	Н
/B158	CH3-	Н	Н	H <sub>3</sub> C	Н
/B159	CH3-	Н	Н	To The second se	Н

No.	R1	R2	R3	R4	R5
YB160	снз-	Н	н	P ON	Н
YB161	CH3-	Н	H	F N H	Н
YB162	CH3-	Н	Н		Н
YB163	СН3-	Н	Н	S S	Н
YB164	СН3-	Н	Н		ОН
YB165	СН3-	н	Н	F	ОН
YB166	СН3-	н	н	F	ОН
YB167	CH3-	Н	Н	F-{_}{	ОН
YB168	CH3-	Н	H	CI	ОН
YB169	СН3-	Н	Н	CI →	ОН
YB170	CH3-	Н	· H	C-{_}{	о́н
YB171	СН3-	Н	Н	Br	ОН
YB172	CH3-	Н	Н	Br.	ОН
YB173	СН3-	Н	Н	Br—{_}{	ОН
YB174	CH3-	Н	н	CH₃	ОН
YB175	6 CH3-	Н	Н	H <sub>3</sub> C	он
YB176	6 CH3-	Н	Н	H <sub>3</sub> C-{{}	он
YB177	7 CH3-	Н	н	C <sub>2</sub> H <sub>5</sub> —{	ОН

No.	R1	R2	R3	R4	R5
YB17	78 CH3-	Н	Н	OH →	ОН
YB17	/9 CH3-	Н	Н	HO	ОН
YB18	0 СН3-	Н	н	HO-()-{	ОН
YB18	1 CH3-	н	Н	OCH₃	ОН
YB182	2 CH3-	Н	н	H <sub>3</sub> CO	ОН
YB183	3 CH3-	Н	Н	H <sub>3</sub> CO-{{{1}}}	ОН
YB184	CH3-	Н	н	C <sub>2</sub> H <sub>5</sub> O-{{{ 1}}}	ОН
YB185	СН3-	Н	Н .	NO <sub>2</sub>	ОН
YB186	СН3-	Н	Н :	O <sub>2</sub> N	ОН
YB187	СН3-	Н	н	O <sub>2</sub> N-(	ОН
YB188	CH3-	Н	н -	CN	ОН
YB189	СН3-	Н	н	NC	ОН
YB190	СН3-	Н	н	NC-{}	ОН
YB191	СН3-	Н	н		ОН
YB192	СН3-	Н	н	CCY	ОН
YB193	СН3-	н	Н	<b>◯</b> ~;	CN
YB194	CH3-	Н	Н	F	CN
YB195	СН3-	Н	Н	F	CN

No.	R1	R2	R3	R4	R5
YB196	CH3-	Н	Н	F-{_}-{	CN
YB197	CH3-	н	Н	CI	CN
YB198 :	СН3-	Н	Н	CI	CN
YB199	СН3-	Н	Н	C├ <b>─</b> }	CN
YB200	СН3-	Н	Н	Br ∰-{	CN
YB201	СН3-	Н	Н	Br.	CN
YB202	СН3-	Н	Н	Br—⟨{}	CN
YB203	СН3-	н	Н	CH₃	GN
YB204	СН3-	Н	Н	H <sub>3</sub> C	CN
YB205	CH3-	Н	Н	H₃C-⟨}-{	CN
YB206	СН3-	H	Н	C <sub>2</sub> H <sub>5</sub> —{{}	CN
YB207	CH3-	Н	Н	OH	CN
YB208	СН3-	Н	Н	HO	GN
YB209	CH3	н	Н	HO-{}-{	CN
YB210	СН3-	Н	Н .	OCH₃	CN
YB211	СН3-	Н	Н	H <sub>3</sub> CO	CN
YB212	снз-	Н	Н	H <sub>3</sub> CO-{{}	CN
YB213	СН3-	Н	Н	C <sub>2</sub> H <sub>5</sub> O-{}	GN

No.	R1	R2	R3	R4	
YB2	14 CH3-	Н	Н	NO <sub>2</sub>	R5 CN
YB2	15 CH3-	Н	Н	O <sub>2</sub> N	CN
YB21	16 CH3-	н :	Н	02N-()-{	GM
YB21	7 CH3-	н	· H	CN	CN
YB21	8 CH3-	Н	Н	NC NC	
YB21	9 CH3-	Н	Н	NC-{\}_{\}	CN
YB220	O CH3-	Н	Н		CN
YB221	CH3-	Н	Н		CN
YB222	CH3-	Н	Н		CN
YB223	СН3-	Н	Н	F	0
YB224	CH3-	Н	Н	<u></u> }	0
YB225	CH3-	Н	Н	F-{\}_;	7.7%
YB226	СН3-	Н	—————————————————————————————————————	CI	0
YB227	СН3-	Н		CI_	1
YB228	CH3-	Н	H		0
YB229	CH3-		H	Br Br	0=
YB230		H	H	Br,	
	CH3-	H	H		0
YB231	СН3-	Н	Н	Br—{_}_{	

No.	R1	R2	R3	R4	R5
YB232	CH3-	н .	Н	CH₃	
YB233	СН3-	Н	Н	H <sub>3</sub> C	
YB234	CH3-	H	Н	H <sub>3</sub> C-{{{1}}	
YB235	CH3-	Н	н	$C_2H_5$	0
YB236	СН3-	Н	Н	OH	O
YB237	СН3-	Н	Н	HO —>	)   
YB238	СН3-	Н	Н	HO-{\bigcirc}	
YB239	СН3-	Н	Н	OCH₃	0
YB240	СН3-	H :	Н	H₃CO —{	
YB241	СН3-	H	Н	H₃CO- <b>⟨</b> _}-{	0=
YB242	CH3-	. H	Н	C <sub>2</sub> H <sub>5</sub> O-{	0=
YB243	СН3-	Н	Н	NO <sub>2</sub>	0
YB244	CH3-	H	Н	O <sub>2</sub> N	0
YB245	CH3-	Н	Н	O <sub>2</sub> N-{_}	0
YB246	СН3-	Н	н	CN	0
YB247	СН3-	Н	Н	NC ;	0
YB248	СН3-	H	Н	NC-{}-{	
YB249	CH3-	Н	H		

	No.	R1	R2	IDO	I	
				R3	R4	R5
	YB250	CH3-	Н	н	~~\ <sup>\</sup>	0
ĺ						\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\

No.	STRUCTURE
YB251	N
	O CH <sub>3</sub>
YB252	
	O CH <sub>3</sub>
YB253	N N N N N N N N N N N N N N N N N N N
	S CH <sub>3</sub>
	F
YB254	N N O CH <sub>3</sub>

YB255	
	N N N N O CH <sub>3</sub>
YB256	, N.
	N CH <sub>3</sub>
YB257	N
VIDOSO	Br CH <sub>3</sub>
YB258	N
	Br CH <sub>3</sub>

YB259	N N N N N N N N N N N N N N N N N N N
YB260	N N N O CH <sub>3</sub>
YB261	H <sub>3</sub> C N N O CH <sub>3</sub>
YB262	CH <sub>3</sub> N N O CH <sub>3</sub>

YB263	
	CH <sub>3</sub>
YB264	CH <sub>3</sub>
	CH <sub>3</sub> N O CH <sub>3</sub>
YB265	Br N N O CH <sub>3</sub>
YB266	HO N N N N O CH <sub>3</sub>

YB267	CH <sub>3</sub> N N N N O CH <sub>3</sub>
ΥB268	O N N N O CH <sub>3</sub>
YB269	N N N O CH <sub>3</sub>
YB270	H <sub>3</sub> C N N CH <sub>3</sub>
YB271	CH <sub>3</sub> H <sub>3</sub> C N N N N N CH <sub>3</sub>

YB272	
	O N N N N CH <sub>3</sub>
YB273	
	H <sub>3</sub> C N CH <sub>3</sub>
YB274	N
	N
	N N N O
	HO CH <sub>3</sub>
YB275	N N N O CH <sub>3</sub>

YB276	N N N N N N CH <sub>3</sub>
YB277	N N N O CH <sub>3</sub>
YB278	CH <sub>3</sub> N N N CH <sub>3</sub> O CH <sub>3</sub>

Particularly preferred compounds of the present invention represented by formula (I) include:

 $\hbox{2-}(3-Phenylpiperazin-1-yl)-3-methyl-6-(4-pyridyl)-3 \textit{H-pyrimidin-4-one};$ 2-(3-(4-Fluorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;  $2\hbox{-}(3\hbox{-}(3\hbox{-}Fluorophenyl) piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3$$H$-pyrimidin-4-one;$  $2\hbox{-}(3\hbox{-}(2\hbox{-}Fluorophenyl) piperazin-1\hbox{-}yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3$$H$-pyrimidin-4-one;$  $2\hbox{-}(3\hbox{-}(4\hbox{-}Chlorophenyl)piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3$$H$-pyrimidin-4-one;$  $(S) - 2 - (3 - (4 - \text{Chlorophenyl}) \text{piperazin-1-yl}) - 3 - \text{methyl-6-} (4 - \text{pyridyl}) - 3H - \text{pyrimidin-4-} (4 - \text{py$ one;  $(R)\hbox{-}2\hbox{-}(3\hbox{-}(4\hbox{-}Chlorophenyl)piperazin-1-yl)\hbox{-}3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)\hbox{-}3H\hbox{-}pyrimidin-4\hbox{-}4-yl)\hbox{-}3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)\hbox{-}3H\hbox{-}pyrimidin-4\hbox{-}4-yl)\hbox{-}3-methyl-6\hbox{-}(4\hbox{-}pyridyl)\hbox{-}3H\hbox{-}pyrimidin-4\hbox{-}4-yl)\hbox{-}3-methyl-6\hbox{-}(4\hbox{-}pyridyl)\hbox{-}3H\hbox{-}pyrimidin-4\hbox{-}4-yl)\hbox{-}3-methyl-6\hbox{-}(4\hbox{-}pyridyl)\hbox{-}3H\hbox{-}pyrimidin-4\hbox{-}4-yl)\hbox{-}3-methyl-6\hbox{-}(4\hbox{-}pyridyl)\hbox{-}3H\hbox{-}pyrimidin-4\hbox{-}4-yl)\hbox{-}3-methyl-6\hbox{-}(4\hbox{-}pyridyl)\hbox{-}3H\hbox{-}pyrimidin-4\hbox{-}4-yl)\hbox{-}3-methyl-6\hbox{-}(4\hbox{-}pyridyl)\hbox{-}3H\hbox{-}pyrimidin-4\hbox{-}4-yl)\hbox{-}3-methyl-6\hbox{-}(4\hbox{-}pyridyl)\hbox{-}3H\hbox{-}pyrimidin-4\hbox{-}4-yl)\hbox{-}3-methyl-6\hbox{-}(4\hbox{-}pyridyl)\hbox{-}3H\hbox{-}pyrimidin-4-yl)\hbox{-}3-methyl-6\hbox{-}(4\hbox{-}pyridyl)\hbox{-}3H\hbox{-}pyrimidin-4-yl)\hbox{-}3-methyl-6\hbox{-}(4\hbox{-}pyridyl)\hbox{-}3-methyl-6\hbox{-}3-methyl-6\hbox{-}3-methyl-6\hbox{-}4-methyl-6\hbox{-}4-methyl-6\hbox{-}4-methyl-6\hbox{-}4-methyl-6\hbox{-}4-methyl-6\hbox{-}4-methyl-6\hbox{-}4-methyl-6\hbox{-}4-methyl-6\hbox{-}4-me$ one;  $2-(3-(3-\mathrm{Chlorophenyl}) piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;$  $2\hbox{-}(3\hbox{-}(2\hbox{-}\mathrm{Chlorophenyl}) \texttt{piperazin-1-yl})\hbox{-}3\hbox{-}\mathrm{methyl-6-}(4\hbox{-}\mathrm{pyridyl})\hbox{-}3H\hbox{-}\mathrm{pyrimidin-4-one};$  $2\hbox{-}(3\hbox{-}(4\hbox{-Bromophenyl}) piperazin-1\hbox{-}yl)\hbox{-}3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)\hbox{-}3H\hbox{-}pyrimidin-4\hbox{-}one;$  $2\hbox{-}(3\hbox{-}(3\hbox{-}Bromophenyl) piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3$$H$-pyrimidin-4-one;$  $2\hbox{-}(3\hbox{-}(2\hbox{-Bromophenyl}) piperazin-1\hbox{-}yl)-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3H\hbox{-pyrimidin-}4\hbox{-one};$  $2\hbox{-}(3\hbox{-}(4\hbox{-Methylphenyl}) piperazin-1\hbox{-}yl)-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3H\hbox{-pyrimidin-}4\hbox{-one};$  $2\hbox{-}(3\hbox{-}(3\hbox{-}Methylphenyl)piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3$$H$-pyrimidin-4-one;$  $2\hbox{-}(3\hbox{-}(2\hbox{-}Methylphenyl)piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3$$H$-pyrimidin-4-one;$  $2\hbox{-}(3\hbox{-}(4\hbox{-}{\rm Cyanophenyl}) {\rm piperazin-1-yl})\hbox{-}3\hbox{-}methyl\hbox{-}6\hbox{-}(4\hbox{-}pyridyl)\hbox{-}3$$$H$-pyrimidin-4-one;$  $2\hbox{-}(3\hbox{-}(3\hbox{-}Cyanophenyl) piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3$$H$-pyrimidin-4-one;$  $2\hbox{-}(3\hbox{-}(2\hbox{-}Cyanophenyl)piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3$$H$-pyrimidin-4-one;$  $2\hbox{-}(3\hbox{-}(4\hbox{-}Methoxyphenyl) piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3H\hbox{-}pyrimidin-4\hbox{-}one;$  $2\hbox{-}(3\hbox{-}(3\hbox{-}Methoxyphenyl) piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3H\hbox{-}pyrimidin-4\hbox{-}one;$  $2\hbox{-}(3\hbox{-}(2\hbox{-}Methoxyphenyl) piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3$$H$-pyrimidin-4-one;$  $2\hbox{-}(3\hbox{-}(2\hbox{-}{\bf E}{\tt thomyphenyl}) {\tt piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3} \textit{H-pyrimidin-4-one};$ pyrimidin-4-one;

- 2-(3-(4-Fluoro-3-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(4-Fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- (S)-2-(3-(4-Fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- (R)-2-(3-(4-Fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(4-Chloro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(4-Fluoro-2-methylphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(2-Fluoro-6-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(5-Bromo-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimid in-4-one;
- 2-(3-(2-Bromo-4-fluorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(2-Chloro-6-fluorophenyl) piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(2,4-Difluorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(2,6-Difluorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-<math>4-one;
- 2-(3-(2,6-Dichlorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(2,4-Dimethoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;

- $2\hbox{-}(3\hbox{-}(3\hbox{-}4\hbox{-}{\rm Dimethoxyphenyl}) piperazin-1\hbox{-}yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3$$H$-pyrimidin-4\hbox{-}one;$
- $2\hbox{-}(3\hbox{-}(2,5\hbox{-Dimethoxyphenyl}) piperazin-1\hbox{-}yl)-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3H\hbox{-pyrimidin-}4\hbox{-}one;$
- $2\hbox{-}(3\hbox{-}(2,6\hbox{-Dimethoxyphenyl}) piperazin-1\hbox{-}yl)-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3H\hbox{-pyrimidin-}4\hbox{-}one;$
- $2\hbox{-}(3\hbox{-}(2,4\hbox{-Difluoro-6-methoxyphenyl}) piperazin-1\hbox{--yl})\hbox{-}3\hbox{-methyl-6-}(4\hbox{--pyridyl})\hbox{-}3H-pyrimidin-4\hbox{--one};$
- $2\text{-}(3\text{-}(5\text{-}Cyano\text{-}2\text{-}methoxyphenyl}) piperazin-1\text{-}yl)\text{-}3\text{-}methyl\text{-}6\text{-}(4\text{-}pyridyl})\text{-}3H-pyrimidin-4\text{-}one;$
- $2\hbox{-}(3\hbox{-}(4\hbox{-}{\rm Cyano-2-methoxyphenyl}) piperazin-1\hbox{-}yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3H-pyrimidin-4\hbox{-}one;$
- $2\hbox{-}(3\hbox{-}(1\hbox{-Naphthyl}) piperazin-1\hbox{-}yl)-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3H\hbox{-pyrimidin-}4\hbox{-one};$
- $2\hbox{-}(3\hbox{-}(2\hbox{-Naphthyl}) \hbox{piperazin-1-yl})\hbox{-}3\hbox{-methyl-6-}(4\hbox{-pyridyl})\hbox{-}3H\hbox{-pyrimidin-4-one};$
- $2\hbox{-}(3\hbox{-}(2,3\hbox{-}{\rm Dihydrobenzofuran}\hbox{-}7\hbox{-}{\rm yl}) piperazin-1\hbox{-}{\rm yl})\hbox{-}3\hbox{-}{\rm methyl}\hbox{-}6\hbox{-}(4\hbox{-}{\rm pyridyl})\hbox{-}3H-pyrimidin-4\hbox{-}one;$
- $2-(3-(Benzofuran-2-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;\\ (S)-2-(3-(Benzofuran-2-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;\\ (S)-2-(3-(Benzofuran-2-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;\\ (S)-2-(3-(Benzofuran-2-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;\\ (S)-2-(3-(Benzofuran-2-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;\\ (S)-2-(3-(Benzofuran-2-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;\\ (S)-2-(3-(Benzofuran-2-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;\\ (S)-2-(3-(Benzofuran-2-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;\\ (S)-2-(3-(Benzofuran-2-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;\\ (S)-2-(3-(Benzofuran-2-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;\\ (S)-2-(Benzofuran-2-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;\\ (S)-2-(Benzofuran-2-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;\\ (S)-2-(Benzofuran-2-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;\\ (S)-2-(Benzofuran-2-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;\\ (S)-2-(Benzofuran-2-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;\\ (S)-2-(Benzofuran-2-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;\\ (S)-2-(Benzofuran-2-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;\\ (S)-2-(Benzofuran-2-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;\\ (S)-2-(Benzofuran-2-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;\\ (S)-2-(Benzofuran-2-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;\\ (S)-2-(Benzofuran-2-yl)-3-methyl-6-(4-pyridyl)-3-methyl-6-(4-pyridyl)-3-methyl-6-(4-pyridyl)-3-methyl-6-(4-pyridyl)-3-methyl-6-(4-pyridyl)-3-methyl-6-(4-pyridyl)-3-methyl-6-(4-pyridyl)-3-methyl-6-(4-pyridyl)-3-methyl-6-(4-pyridyl)-3-methyl-6-(4-pyridyl)-3-methyl-6-(4-pyridyl)-3-methyl-6-(4-pyridyl)-3-methyl-6-(4-pyridyl)-3-methyl-6-(4-pyridyl)-3-methyl-6-(4-pyridyl)-3-me$
- one;
- 2-(3-(4-(Pyrrolidin-1-yl-methyl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(4-(Pyrrolidin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- $2\hbox{-}(3\hbox{-}(2\hbox{-methoxy-}4\hbox{-}(pyrrolidin-1\hbox{-}yl)phenyl)piperazin-1\hbox{-}yl)-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3H\hbox{-pyrimidin-}4\hbox{-}one;$
- $2-(3-(2-\mathrm{methoxy-5-(pyrrolidin-1-yl)phenyl)piperazin-1-yl)-3-\mathrm{methyl-6-(4-pyridyl)-3}\\H-\mathrm{pyrimidin-4-one}:$
- 2-(3-(4-(Phenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;

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 2\hbox{-}(3\hbox{-}(4\hbox{-}(4\hbox{-Fluorophenyl}) phenyl) piperazin-1\hbox{--yl})-3\hbox{--methyl-6-}(4\hbox{--pyridyl})-3H- pyrimidin-4\hbox{--one};
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- 2-(3-(4-(4-Methoxyphenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(4-(2-Methoxyphenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(4-(Morpholin-4-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(4-(4-Methylpiperazin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(4-Phenylpiperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- $2\hbox{-}(4\hbox{-Benzylpiperazin-1-yl})\hbox{-}3\hbox{-methyl-6-}(4\hbox{-pyridyl})\hbox{-}3H\hbox{-pyrimidin-4-one};$
- $2\hbox{-}(4\hbox{-Benzoylpiperazin-1-yl})\hbox{-}3\hbox{-methyl-6-}(4\hbox{-pyridyl})\hbox{-}3H\hbox{-pyrimidin-4-one};$
- 2-(4-(1,2-Benzisothiazol-3-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(4-Methyl-3-phenylpiperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; 2-(3-(4-Fluoro-2-methoxyphenyl)-4-methylpiperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- (S)-2-(3-(4-Fluoro-2-methoxyphenyl)-4-methylpiperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- (R)-2-(3-(4-Fluoro-2-methoxyphenyl)-4-methylpiperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(4-Acetyl-3-(4-fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(4-Benzyl-3-(4-fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- $2\hbox{-}(4\hbox{-Benzyl-3-(ethoxycarbonyl)piperazin-1-yl)-3-methyl-6-(4\hbox{-pyridyl})-3} \\ H-pyrimidin-4-one;$

- $2\hbox{-}(4\hbox{-methyl-}3\hbox{-}(1\hbox{-naphthyl}) piperazin-1\hbox{--yl})\hbox{-}3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})\hbox{-}3H\hbox{-pyrimidin-}4\hbox{--one};$
- 2-(5,5-Dimethyl-3-(2-methoxyphenyl) piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- $2\hbox{-}(3\hbox{-Phenylpiperidin-1-yl})\hbox{-}3\hbox{-methyl-6-}(4\hbox{-pyridyl})\hbox{-}3H\hbox{-pyrimidin-4-one};$
- 2-(3-(4-Fluorophenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- $2\hbox{-}(3\hbox{-}(3\hbox{-}Fluorophenyl) piperidin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3$$H$-pyrimidin-4-one;$
- 2-(3-(2-Fluorophenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- $2\hbox{-}(3\hbox{-}(4\hbox{-}Chlorophenyl) piperidin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3\emph{H-}pyrimidin-4\hbox{-}one;$
- $2\hbox{-}(3\hbox{-}(4\hbox{-Bromophenyl}) piperidin-1\hbox{--yl})\hbox{-}3\hbox{--methyl-}6\hbox{-}(4\hbox{--pyridyl})\hbox{-}3H\hbox{--pyrimidin-}4\hbox{--one};$
- $2\hbox{-}(3\hbox{-}(4\hbox{-Methoxyphenyl}) piperidin-1\hbox{-}yl)-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3H\hbox{-pyrimidin-}4\hbox{-}one;$
- $2\hbox{-}(3\hbox{-}(3\hbox{-}Methoxyphenyl) piperidin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3H\hbox{-}pyrimidin-4\hbox{-}one;$
- $2\hbox{-}(3\hbox{-}(2\hbox{-Methoxyphenyl}) piperidin-1\hbox{-}yl)-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3H\hbox{-pyrimidin-}4\hbox{-one};$
- 2-(3-(4-((Pyrrolidin-1-yl)methyl)phenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one:
- (S)-2-(3-(4-(Pyrrolidin-1-yl-methyl)phenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- (R) 2 (3 (4 (Pyrrolidin 1 yl methyl) phenyl) piperidin 1 yl) 3 methyl 6 (4 pyridyl) 3H pyrimidin 4 one;
- $2\hbox{-}(3\hbox{-Hydroxy-3-phenylpiperidin-1-yl})\hbox{-}3\hbox{-methyl-6-}(4\hbox{-pyridyl})\hbox{-}3H\hbox{-pyrimidin-4-one};$
- $2\hbox{-}(3\hbox{-Phenylpiperazin-1-yl})\hbox{-}3\hbox{-methyl-6-}(4\hbox{-pyrimidyl})\hbox{-}3H\hbox{-pyrimidin-4-one};$
- $2\hbox{-}(3\hbox{-}(4\hbox{-}Fluorophenyl)piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyrimidyl)-3\emph{H-}pyrimidin-4\hbox{-}one;$
- $2\hbox{-}(3\hbox{-}(3\hbox{-}Fluorophenyl)piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyrimidyl)-3$$H$-pyrimidin-4-one;$
- $2\hbox{-}(3\hbox{-}(2\hbox{-}Fluorophenyl)piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyrimidyl)-3$$H$-pyrimidin-4-one;}$
- $2\hbox{-}(3\hbox{-}(4\hbox{-}Chlorophenyl)piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyrimidyl)-3$$H$-pyrimidin-4-one;}$
- $2\hbox{-}(3\hbox{-}(3\hbox{-}Chlorophenyl)piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyrimidyl)-3$$H$-pyrimidin-4-one;$

- 2-(3-(2-Chlorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- 2-(3-(4-Bromophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- 2-(3-(3-Bromophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- 2-(3-(2-Bromophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- 2-(3-(4-Cyanophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- 2-(3-(3-Cyanophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- 2-(3-(2-Cyanophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- 2-(3-(4-Methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- 2-(3-(3-Methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- 2-(3-(2-Methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- 2-(3-(2-Ethoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- 2-(3-(6-Fluoro-2-methoxyphenyl) piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3 H-pyrimidin-4-one;
- 2-(3-(5-Fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- $2\hbox{-}(3\hbox{-}(4\hbox{-Fluoro-}2\hbox{-methoxyphenyl}) piperazin-1\hbox{--yl})-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyrimidyl})-3H-pyrimidin-4\hbox{--one};$

- (S)-2-(3-(4-Fluoro-2-methoxyphenyl) piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- $(R)\hbox{-}2\hbox{-}(3\hbox{-}(4\hbox{-Fluoro-}2\hbox{-methoxyphenyl}) piperazin-1\hbox{-}yl)\hbox{-}3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyrimidyl})\hbox{-}3H-pyrimidin-}4\hbox{-}one;$
- $2\hbox{-}(3\hbox{-}(4\hbox{-}Chloro\hbox{-}2\hbox{-}methoxyphenyl) piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyrimidyl)-3$$H-pyrimidin-4-one;}$
- $2\hbox{-}(3\hbox{-}(5\hbox{-Bromo-}2\hbox{-methoxyphenyl}) piperazin-1\hbox{-yl})\hbox{-}3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyrimidyl})\hbox{-}3H-pyrimidin-}4\hbox{-one};$
- $2\hbox{-}(3\hbox{-}(2,6\hbox{-Dichlorophenyl}) piperazin-1\hbox{-}yl)-3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})-3H\hbox{-pyrimidin-}4\hbox{-one};$
- $2\text{-}(3\text{-}(2,4\text{-}Dimethoxyphenyl)piperazin-1-yl)-3\text{-}methyl-6\text{-}(4\text{-}pyridyl)-3} \textit{H-pyrimidin-4-one}; \\$
- 2-(3-(3,4-Dimethoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;
- $2\hbox{-}(3\hbox{-}(2,5\hbox{-Dimethoxyphenyl}) piperazin-1\hbox{--yl})-3\hbox{--methyl-}6\hbox{-}(4\hbox{--pyrimidyl})-3H-pyrimidin-4\hbox{--one};$
- $2\text{-}(3\text{-}(2,6\text{-}Dimethoxyphenyl)piperazin-1-yl)-3\text{-}methyl-6\text{-}(4\text{-}pyrimidyl)-3} \\ H-pyrimidin-4\text{-}one;$
- 2-(3-(2,4-Difluoro-6-methoxyphenyl) piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- 2-(3-(1-Naphthyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- $2\hbox{-}(3\hbox{-}(2\hbox{-Naphthyl}) \hbox{piperazin-1-yl})\hbox{-}3\hbox{-methyl-6-}(4\hbox{-pyrimidyl})\hbox{-}3H\hbox{-pyrimidin-4-one};$
- $2\hbox{-}(3\hbox{-}(2,3\hbox{-}{\rm Dihydrobenzofuran-7-yl}) piperazin-1\hbox{-}{\rm yl})\hbox{-}3\hbox{-}{\rm methyl-6-}(4\hbox{-}{\rm pyrimidyl})\hbox{-}3H-pyrimidin-4-one};$
- $2\hbox{-}(3\hbox{-}(Benzo furan-2\hbox{-}yl)piperazin-1\hbox{-}yl)\hbox{-}3\hbox{-}methyl\hbox{-}6\hbox{-}(4\hbox{-}pyrimidyl)\hbox{-}3H\hbox{-}pyrimidin-4\hbox{-}one;}$
- $2\hbox{-}(3\hbox{-}(4\hbox{-}(Pyrrolidin-1\hbox{-}yl\hbox{-}methyl)phenyl)piperazin-1\hbox{-}yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyrimidyl)-3H\hbox{-}pyrimidin-4\hbox{-}one;}$

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2-(3-(4-(Pyrrolidin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
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- 2-(3-(2-methoxy-4-(pyrrolidin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- 2-(3-(2-methoxy-5-(pyrrolidin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- 2-(3-(4-(Phenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- $2\hbox{-}(3\hbox{-}(4\hbox{-}(4\hbox{-Fluorophenyl})\hbox{phenyl})\hbox{piperazin-1-yl})\hbox{-}3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyrimidyl})\hbox{-}3H-\\ \hbox{pyrimidin-}4\hbox{-}\hbox{one};$
- 2-(3-(4-(4-Methoxyphenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;
- $2-(3-(4-(2-\mathrm{Methoxyphenyl})\mathrm{phenyl})\mathrm{piperazin-1-yl})-3-\mathrm{methyl-6-}(4-\mathrm{pyrimidyl})-3H-\\\mathrm{pyrimidin-4-one};$
- $2-(3-(4-({\rm Morpholin}-4-{\rm yl}){\rm phenyl}){\rm piperazin}-1-{\rm yl})-3-{\rm methyl}-6-(4-{\rm pyrimidyl})-3H-{\rm pyrimidin}-4-{\rm one};$
- 2-(3-(4-(4-Methylpiperazin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- 2-(3-(4-Fluoro-2-methoxyphenyl)-4-methylpiperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- (S)-2-(3-(4-Fluoro-2-methoxyphenyl)-4-methylpiperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- (R) 2 (3 (4 Fluoro 2 methoxyphenyl) 4 methylpiperazin 1 yl) 3 methyl 6 (4 pyrimidyl) 3H pyrimidin 4 one;
- 2-(4-Acetyl-3-(4-fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;
- 2-(4-Benzyl-3-(4-fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;

2-(4-(4-Fluorophenyl)piperidin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one; 2-(4-Cyano-4-phenylpiperidin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one; 2-(4-(6-Fluorobenofuran-3-yl)piperidin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;

- $2\hbox{-}(3\hbox{-}(Benzoisoxazol-3\hbox{-}yl)piperidin-1\hbox{-}yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyrimidyl)-3$$H$-pyrimidin-4-one;$
- (S) 2 (3 (Benzoisoxazol 3 yl) piperidin 1 yl) 3 methyl 6 (4 pyrimidyl) 3 H-pyrimidin 4 one;
- $(R)\hbox{-}2\hbox{-}(3\hbox{-}(Benzoisoxazol\hbox{-}3\hbox{-}yl)piperidin\hbox{-}1\hbox{-}yl)\hbox{-}3\hbox{-}methyl\hbox{-}6\hbox{-}(4\hbox{-}pyrimidyl)\hbox{-}3H-pyrimidin\hbox{-}4\hbox{-}one;}$
- $2\hbox{-}(3\hbox{-}(6\hbox{-}Fluorobenzoisoxazol-3\hbox{-}yl) piperidin-1\hbox{-}yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyrimidyl)-3$$H-pyrimidin-4\hbox{-}one;$
- $2\hbox{-}(4\hbox{-}(6\hbox{-Fluorobenzoisoxazol-3-yl}) piperidin-1\hbox{--yl})-3\hbox{--methyl-6-}(4\hbox{--pyrimidyl})-3H-pyrimidin-4\hbox{--one};$
- $2\hbox{-}(4\hbox{-}(5\hbox{-}Methylbenzo furan-3\hbox{-}yl) piperidin-1\hbox{-}yl)\hbox{-}3\hbox{-}methyl\hbox{-}6\hbox{-}(4\hbox{-}pyrimidyl)\hbox{-}3$$$H-pyrimidin-4\hbox{-}one; and$
- $2\hbox{-}(4\hbox{-}(6\hbox{-Fluorobenzothiophene-3-yl}) piperidin-1\hbox{-}yl)\hbox{-}3\hbox{-}methyl\hbox{-}6\hbox{-}(4\hbox{-}pyrimidyl)\hbox{-}3$$$H-pyrimidin-4\hbox{-}one.$

Salts of the aforementioned preferred compound, and solvates or hydrates of the aforementioned compounds and salts thereof are also preferred.

The 3-substituted-4-pyrimidone compounds represented by the aforementioned formula (I) can be prepared, for example, according to the method explained below.

(In the above scheme, definitions of Q, R, X and Y are the same as those already described.)

The 2-thiopyrimidone represented by the above formula (III) is prepared. easily by a modification of the method described in EP 354,179. The reaction may be carried out in the presence of a base such as sodium hydroxide, potassium hydroxide, sodium methoxide, sodium ethoxide, potassium tert-butoxide, sodium carbonate, sodium hydrogencarbonate, potassium carbonate, triethylamine, diisopropylethylamine, and 1,8-diazabicyclo[5,4,0]undec-7-en for 1 to 100 hours at a suitable temperature ranging from 0  $^{\circ}$ C to 200  $^{\circ}$ C under nitrogen or argon atmosphere or under ordinary air to afford the desired compound (III). Examples of a solvent for the reactions include, for example, alcoholic solvent such as methanol, ethanol, 1-propanol, isopropanol, tert-butanol, ethylene glycol, propylene glycol; etheric solvents such as diethyl ether, tert-butyl methyl ether, tetrahydrofuran, isopropyl ether; hydrocarbonic solvents such as benzene, toluene, xylene; halogenated hydrocarbonic solvents such as dichloromethane, chloroform, dichloroethane; aprotic polar solvents such as formamide, N,N-dimethylformamide, N,N-dimethylacetamide, N-methylpyrrolidone, dimethyl sulfoxide, sulfolane, hexamethylphosphoric triamide, water and the like. Generally, a single solvent or a mixture of two or more solvents may be used so as to be suitable to a base used.

Then the 2-thiopyrimidone derivative (III) is transformed into the 2-chloropyrimidone (IV) by a chlorinating agent. The reaction time and temperature depend on the chlorinating agent used. Examples of a chlorinating agent for the reactions include, for example, thionyl chloride, thionyl chloride and

dimethylformamide, phosphorus oxychloride, phosphorus oxychloride and dimethylformamide, oxalyl chloride, phosphorous oxychloride and dimethylformamide, and phosphorus pentachloride.

The amine represented by the above formula (V) may be prepared by a modification of the method described in Japanese Patent Unexamined Publication [Kokai] No. 52-139085/1977 or according to well-known methods of one skilled in the art.

Then the chloride derivative (IV) is allowed to react with the amine (V) or salts thereof in the presence of a base such as sodium hydroxide, potassium hydroxide, sodium methoxide, sodium ethoxide, sodium carbonate, sodium hydrogencarbonate, potassium carbonate, triethylamine, diisopropylethylamine, and 1,8-diazabicyclo[5,4,0]undec-7-en for 0.1 to 100 hours at a suitable temperature ranging from 0  $^{\circ}$ C to 200  $^{\circ}$ C under nitrogen or argon atmosphere or under ordinary air to afford the desired compound (II).

Examples of a solvent for the reactions include, for example, alcoholic solvent such as methanol, ethanol, 1-propanol, isopropanol, tert-butanol, ethylene glycol, propylene glycol; etheric solvents such as diethyl ether, tert-butyl methyl ether, tetrahydrofuran, isopropyl ether; hydrocarbonic solvents such as benzene, toluene, xylene; halogenated hydrocarbonic solvents such as dichloromethane, chloroform, dichloroethane; aprotic polar solvents such as formamide, N,N-dimethylformamide, N,N-dimethylacetamide, N-methylpyrrolidone, dimethyl sulfoxide, sulfolane, hexamethylphosphoric triamide, water and the like. Generally, a single solvent or a mixture of two or more solvents may be used so as to be suitable to a base used.

The compounds of the present invention have inhibitory activity against TPK1, and they inhibit TPK1 activity in neurodegenerative diseases like Alzheimer disease, thereby suppress the neurotoxicity of A $\beta$  and the formation of PHF and inhibit the nerve cell death. Accordingly, the compounds of the present invention

are useful as an active ingredient of a medicament which radically enables preventive and/or therapeutic treatment of Alzheimer disease. In addition, the compounds of the present invention are also useful as an active ingredient of a medicament for preventive and/or therapeutic treatment of ischemic cerebrovascular accidents, Down syndrome, cerebral bleeding due to solitary cerebral amyloid angiopathy, progressive supranuclear palsy, subacute sclerosing panencephalitis, postencephalitic parkinsonism, pugilistic encephalosis, Guam parkinsonism-dementia complex, Lewy body disease, Pick's disease, corticobasal degeneration frontotemporal dementia, vascular dementia, acute stroke and traumatic injuries, brain and spinal cord trauma, peripheral neuropathies, retinopathies and glaucoma, non-insulin dependent diabetes (such as diabetes type II), and obesity, manic depressive illness, schizophrenia, alopecia, cancers such as breast cancer, non-small cell lung carcinoma, thyroid cancer, T or B-cell leukemia and several virus-induced tumors.

As the active ingredient of the medicament of the present invention, a substance may be used which is selected from the group consisting of the compound represented by the aforementioned formula (I) and pharmacologically acceptable salts thereof, and solvates thereof and hydrates thereof. The substance, per se, may be administered as the medicament of the present invention, however, it is desirable to administer the medicament in a form of a pharmaceutical composition which comprises the aforementioned substance as an active ingredient and one or more of pharmaceutical additives. As the active ingredient of the medicament of the present invention, two or more of the aforementioned substance may be used in combination. The above pharmaceutical composition may be supplemented with an active ingredient of other medicament for the treatment of, for example, Alzheimer disease, vascular dementia, acute stroke and traumatic injuries, brain and spinal cord trauma, peripheral neuropathies, retinopathies and glaucoma, non-insulin dependent diabetes (such as diabetes type II), and obesity, manic depressive illness,

schizophrenia, alopecia, cancers such as breast cancer, non-small cell lung carcinoma, thyroid cancer, T or B-cell leukemia and several virus-induced tumors.

A type of the pharmaceutical composition is not particularly limited, and the composition may be provided as any formulation for oral or parenteral administration. For example, the pharmaceutical composition may be formulated, for example, in the form of pharmaceutical compositions for oral administration such as granules, fine granules, powders, hard capsules, soft capsules, syrups, emulsions, suspensions, solutions and the like, or in the form of pharmaceutical compositions for parenteral administrations such as injections for intravenous, intramuscular, or subcutaneous administration, drip infusions, transdermal preparations, transmucosal preparations, nasal drops, inhalants, suppositories and the like. Injections or drip infusions may be prepared as powdery preparations such as in the form of lyophilized preparations, and may be used by dissolving just before use in an appropriate aqueous medium such as physiological saline.

Sustained-release preparations such as those coated with a polymer may be directly administered intracerebrally.

Types of pharmaceutical additives used for the manufacture of the pharmaceutical composition, content rations of the pharmaceutical additives relative to the active ingredient, and methods for preparing the pharmaceutical composition may be appropriately chosen by those skilled in the art. Inorganic or organic substances, or solid or liquid substances may be used as pharmaceutical additives. Generally, the pharmaceutical additives may be incorporated in a ratio ranging from 1% by weight to 90% by weight based on the weight of an active ingredient.

Examples of excipients used for the preparation of solid pharmaceutical compositions include, for example, lactose, sucrose, starch, talc, cellulose, dextrin, kaolin, calcium carbonate and the like. For the preparation of liquid compositions for oral administration, a conventional inert diluent such as water or a vegetable oil

may be used. The liquid composition may contain, in addition to the inert diluent, auxiliaries such as moistening agents, suspension aids, sweeteners, aromatics, colorants, and preservatives. The liquid composition may be filled in capsules made of an absorbable material such as gelatin. Examples of solvents or suspension mediums used for the preparation of compositions for parenteral administration, e.g. injections, suppositories, include water, propylene glycol, polyethylene glycol, benzyl alcohol, ethyl oleate, lecithin and the like. Examples of base materials used for suppositories include, for example, cacao butter, emulsified cacao butter, lauric lipid, witepsol.

Dose and frequency of administration of the medicament of the present invention are not particularly limited, and they may be appropriately chosen depending on conditions such as a purpose of preventive and/or therapeutic treatment, a type of a disease, the body weight or age of a patient, severity of a disease and the like. Generally, a daily dose for oral administration to an adult may be 0.01 to 1,000 mg (the weight of an active ingredient), and the dose may be administered once a day or several times a day as divided portions, or once in several days. When the medicament is used as an injection, administrations may preferably be performed continuously or intermittently in a daily dose of 0.001 to 100 mg (the weight of an active ingredient) to an adult.

## Examples

The present invention will be explained more specifically with reference to examples. However, the scope of the present invention is not limited to the following examples. The compound numbers in the examples correspond to those in the table above.

Reference Example 1: Synthesis of 2-mercapto-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one

A solution of ethyl 3-oxo-3-(4-pyridyl)propionate (29.0 g, 150 mmol), N-methyl thiourea (40.6 g, 450 mmol) and 1,8-diazabicyclo[5,4,0]-7-undecene (22.4 ml, 150 mmol) was refluxed for 4 hours and the solution of methanesulfonic acid (14.4 g, 150 mmol) in water (50 ml) was added after cooling by ice-water. The precipitate was washed with water, filtered and dried to give the title compound (23.7 g, 72%).

<sup>1</sup>H-NMR (DMSO-d<sub>6</sub>)  $\delta$ : 3.58(s, 3H), 6.40(s, 1H), 7.72(dd, J=1.8, 4.5Hz, 2H), 8.73(dd, J=1.5, 4.8Hz, 2H), 12.92(brd, 1H).

Reference Example 2: Synthesis of 2-chloro-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one

Phosphorous oxychloride (26.11g, 170 mmol) was added to dimethylformamide(180 ml) and stirred 20 min. 2-Mercapto-3-methyl-6-(4-pyridyl)-pyrimidine-4-one (24.15 g, 110 mmol) was added to the solution and stirred 5 min and then stirred at 70°C for 2 hours. Ethyl acetate (630 ml) was added to the ice-cooled solution and precipitate was collected by filtration after stirring for 20 minutes. After drying, the precipitate was dissolved in water (400 ml) and pH was adjusted to 10 by using aqueous sodium hydroxide. The precipitate was washed with water, filtered and dried to give the title compound (18.82 g, 77%).

1H-NMR (CDCl₃) δ: 3.72(s, 3H), 6.90(s, 1H), 7.78(dd, J=1.7, 4.5Hz, 2H), 8.75(dd, J=1.6, 4.5Hz, 2H).

Reference Example 3: Synthesis of 2-mercapto-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one

A solution of ethyl 3-oxo-3-(4-pyrimidyl)propionate (34.1 g, 176 mmol), N-methyl thiourea (47.5 g, 527 mmol) and 1,8-diazabicyclo[5,4,0]-7-undecene (26.3 ml, 176 mmol) in ethanol (340 ml) was refluxed for 2 hours and the solution of methanesulfonic acid (16.9 g, 176 mmol) in water (70 ml) was added after cooling by

ice-water. The precipitate was washed with water, filtered and dried to give the title compound (30.2 g, 78%).

 $^{1}H\text{-NMR} \, (\text{DMSO-d}_{6}) \, \delta: 3.56 (s, 3H), \, 6.88 (s, 1H), \, 8.24 (dd, \, J\text{=}1.2, \, 5.4 \, \text{Hz}, \, 2H), \, 9.05 \, (dd, \, J\text{=}5.4 \, \text{Hz}, \, 1H), \, 11.94 (s, 1H).$ 

Reference Example 4: Synthesis of 2-chloro-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one

Phosphorous oxychloride (4.60 g, 30 mmol) was added to dimethyl-formamide(32 ml) and stirred for 20 min at  $0^{\circ}$ C. 2-Mercapto-3-methyl-6-(4-pyrimidyl)-3H-pyrimidine-4-one(4.40 g, 20 mmol) was added to the solution and stirred 5 min and then stirred at  $70^{\circ}$ C for 2 hours. The reaction mixture was poured into ice water, neutralized by solid potassium carbonate, and extracted with ethyl acetate. The organic layer was washed with brine, dried over sodium sulfate, and evaporated under reduced pressure. Purification of the residue by silica gel chromatography (ethyl acetate) gave the title compound (1.20 g, 27%).

1H-NMR (CDCl<sub>3</sub>)  $\delta: 3.74(s, 3H), 7.56(s, 1H), 8.18(d, J=5.1 Hz, 1H), 8.92(d, J=5.1 Hz, 1H), 9.30(s, 1H).$ MS[M+H]+: 223.

Example 1: Synthesis of 2-(2-(4-fluoro-2-methoxyphenyl)piperazin-4-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one dihydrochloride (No. XA468)

A solution of 2-bromo-5-fluoroanisole (11.8 g, 57.6 mmol) in tetrahydrofuran (60 ml) was dropped into the magnesium (1.40 g, 57.6 mmol) in refluxed tetrahydrofuran (32 ml) containing small amount of 1,2-dibromoethane and refluxed for 15 min. After addition of tetrehydrofuran (50 ml), the solution was cooled to -78 °C and diethyl oxalate (7.41 g, 50.7 mmol) in diethyl ether (50 ml) was dropped into the solution. After stirring at same temperature for 30 min, the solution was warmed to -10°C and 1N aqueous hydrogen chloride (50 ml) and water

were added. Organic layer was extracted with diethyl ether, washed with brine and dried over magnesium sulfate. After removal of the solvent under reduced pressure, purification of the residue by silica gel column chromatography (eluent:

hexane/ethyl acetate = 5/2 ) gave ethyl 2-(4-fluoro-2-methoxyphenyl)-2-ozoacetate (6.80g, 59%)

 $^{1}\text{H-NMR} \ (\text{CDCl}_{3}) \ \delta: 1.40(3\text{H, t}, \text{J=7.1 Hz}), 3.87(3\text{H, s}), 4.89(2\text{H, q}, \text{J=7.1 Hz}), 6.68(1\text{H, d}, \text{J=10.5 Hz}), 6.77-6.81(1\text{H, m}), 7.91-7.95(1\text{H, m}).$ 

Ethylenediamine (0.60 g, 10.0 mmol) was added to a solution of ethyl 2-(4-fluoro-2-methoxyphenyl)-2-oxoacetate (2.26 g, 10.0 mmol) in ethanol(30 ml) and refluxed 4 hr. After removal of the solvent under reduced pressure, residue was washed with ethanol-diethyl ether to give 5,6-dihydro-3-(4-fluoro-2-methoxyphenyl)pyrazinone (1.76 g, 79%).

<sup>1</sup>H-NMR (CDCl<sub>3</sub>)  $\delta$ : 3.50-3.56 (2H, m), 3.81 (3H, s), 3.88-3.92 (2H, m), 6.65(1H, d, J=11.0 Hz), 6.70-6.76 (1H, m), 6.89(1H, bs), 7.36-7.40(1H, m).

5,6-Dihydro-3-(4-fluoro-2-methoxyphenyl)pyrazinone was added to the solution of lithium aluminium hydride (0.46 g, 12 mmol) in diethyl ether (25 ml) and refluxed for 6 hr. Water (0.48 ml), 15% sodium hydroxide solution (0.48 ml) and again water (1.21 ml) were added to the ice-cooled solution and the precipitate was filtered and washed with dichloromethane. Combined organic layer was evaporated to give 2-(4-fluoro-2-methoxyphenyl)piperazine (0.83 g, 99%).

<sup>1</sup>H-NMR (CDCl<sub>3</sub>)  $\delta$ : 2.02(2H, s), 2.57-2.63 (1H, m), 2.80-2.89 (1H, m), 2.92-2.99 (2H, m), 3.06-3.12 (2H, m), 3.80(3H, s), 4.06 (1H, d, J=10.0 Hz), 6.56-6.65 (2H, m), 7.40 (1H, t, J=7.8 Hz).

2-Chloro-3-methyl-6-(4-pyridyl)-pyrimidin-4-one (222 mg, 1.0 mmol) was added to an ice-cooled solution of 2-(4-fluoro-2-methoxyphenyl)piperazine (210 mg, 1.0 mmol), triethylamine (0.15 ml, 1.1 mmol) in N,N-dimethylformamide (10 ml) and stirred at that temperature for 1 hr and then at room temperature for 2 hr. Next day, reaction was quenched by ice-water and the filtrate was washed with

water and dried to give 2-(2-(4-fluoro-2-methoxyphenyl)piperazin-4-yl)-3-methyl-6-(4-pyridyl)-pyrimidin-4-one (246 mg, 62%).  $^{1}\text{H-NMR} \text{ (CDCl}_{3}) \ \delta: 2.89-2.96 \ (1\text{H, m}), \ 3.19-3.31 \ (3\text{H, m}), \ 3.59 \ (3\text{H, s}), \ 3.62-3.74 \ (2\text{H, m}), \ 3.35 \ (3\text{H, s}), \ 4.39-4.44 \ (1\text{H, m}), \ 6.63-6.71 \ (2\text{H, m}), \ 6.67 \ (1\text{H, s}), \ 7.51-7.55 \ (1\text{H, m}), \ 7.81 \ (2\text{H, dd}, \ J=1.7, \ 4.6 \ \text{Hz}), \ 8.71 \ (2\text{H, dd}, \ J=1.7, \ 4.6 \ \text{Hz}).$ 

4N Hydrogen chloride in 1,4-dioxane (0.4 ml) was added to the solution of 2-(2-(4-fluoro-2-methoxyphenyl)piperazin-4-yl)-3-methyl-6-(4-pyridyl)-pyrimidin-4-one (217 mg, 0.6 mmol) in dichloromethane (5 ml) and stirred for 15 min. After addition of diethyl ether, filtration and wash with diethyl ether and dryness gave the title compound (260 mg, quant.).

Example 2: Synthesis of 2-(2-(4-methoxyphenyl)-piperazine-4-yl)-3-methyl-6-(4-pyridyl)pyrimidin-4-one dihydrochloride (No. XA393)

Dimethylslufoxide (50 ml) solution of 4-methyoxyphenacylbromide (9.94 g, 43.4 mmol) and water (1.6 ml, 88.8 mmol) were stirred at 50°C for 2.5 hr. Water was added and the solution was extracted with ethyl acetate 3 times and washed with brine and then dried over sodium sulfate. Removal of the solvent gave 4-methoxyphenylglyoxal (8.30 g, quant.).

1H-NMR (DMSO) δ: 3.84 (3H, s), 6.60-6.69 (1H, m), 7.04 (2H, d, J=8.8 Hz), 8.05 (2H, d, J=9.1 Hz).

Methanol (5 ml) solution of ethylenediamine (3.74 g, 62.29 mmol) was added to the ice-cooled solution of 4-methoxyphenylglyoxal (8.30 g, 45.5 mmol) in methanol (100 ml) and tetrahydrofuran (50 ml) and stirred for 10 min. After cooling to 0°C, sodium tetrahydroborate (6.14 g, 162.2 mmol) and additional methanol (50 ml) was added and stirred overnight. After removal of the solvent, aqueous sodium hydroxide was added and was extracted with dichloromethane three times and washed with brine and dried over sodium sulfate. After removal of the solvent, purification of the residue by silica gel column chromatography (eluent;

dichloromethane/ethanol/diethylamine = 20/2/1) gave 2-(4-methoxypheny)-piperazine (3.96 g, 45%).

<sup>1</sup>H-NMR (CDCl<sub>3</sub>)  $\delta$ : 2.69(1H, dd, J=10.3, 11.9 Hz), 2.80-3.01(4H, m), 3.07-3.11 (1H, m), 3.68-3.73(1H, m), 3.79(3H, s), 6.84-6.88 (2H, m), 7.27-7.32 (2H, m).

A solution of triethylamine (697 mg, 6.9 mmol), 2-(4-methoxyphenyl)-piperazine (430 mg, tetrahydrofuran (10 ml) was stirred at room temperature for 30 min and at 50°C for 3 hr. Solvent was removed under reduced pressure, and 1N aqueous sodium hydroxide solution was added to the residue and extracted by dichloromethane three times and washed with brine and dried over sodium sulfate. After removal of the solvent under reduced pressure, the residue was purified by silica gel column chromatography (eluent; dichloromethane/ethanol = 10/1) to give 2-(2-(4-methoxyphenyl)-piperazine-4-yl)-3-methyl-6-(4-pyridyl)pyrimidin-4-one (594 mg, 76%)

<sup>1</sup>H-NMR (CDCl<sub>3</sub>)  $\delta$ : 3.02 (1H, dd, J=10.8, 12.7 Hz), 3.18-3.25 (3H, m), 3.55 (3H, s), 3.57-3.67 (2H, m), 3..82 (3H, s), 3.98(1H, dd, J=2.7, 10.8 Hz), 6.67 (1H, s), 6.92 (2H, d, J=8.7 Hz), 7.37 (2H, d, J=8.7 Hz), 7.80 (2H, d, J=6.0 Hz), 8.71 (2H, d, J=6.0 Hz).

4N Hydrogen chloride in ethyl acetate (5 ml) was added to the solution of 2-(2-(4-methoxyphenyl)-piperazine-4-yl)-3-methyl-6-(4-pyridyl)pyrimidin-4-one (594 mg, 1.6 mmol) in dichloromethane (5 ml) and stirred for 1 hr. Wash with ethyl acetate after removal of the solvent and dryness gave the title compound (683 mg, 96%).

Example 3: Synthesis of 2-(2-(4-chlorophenyl)-piperazine-4-yl)-3-methyl-6-(4-pyridyl)pyrimidin-4-one hydrochloride (No. XA371)

Mixture of methyl (4-chlorophenyl)acetate (5.10 g, 27.6 mmol) and N-bromosuccinimide (5.16 g, 29 mmol) in carbon tetrachloride was treated by Hg lamp. After filtration, solvent was removed under reduced pressure and the residue was dissolved in methanol. Ethylenediamine (2.03 ml, 30.4 mmol) and

triethylamine (2.06 ml, 14.8 mmol) and di-tert-butyldicarbonate (3.10 ml, 13.5 mmol) were added to the solution of 3-(4-chlorophenyl)piperazin-2-one (2.60 g, 12.3 mmol) in dichloromethane (100 ml) and stirred. The reaction mixture was washed with 1N aqueous hydrogen chloride, water, brine and then dried. After removal of the solvent under reduced pressure, residue was purified by silica gel column chromatography to give 4-(tert-butoxycarbonyl)-3-(4-chlorophenyl)-piperazin-2-one.

<sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ: 1.44 (9H, s), 3.21-3.32 (2H, m), 3.48 (1H, m), 4.04 (1H, brs), 5.66 (1H, brs), 7.10 (1H, brs), 7.30-7.38 (4H, m).

Solution of 4-(tert-butoxycarbonyl)-3-(4-chlorophenyl)-piperazin-2-one (500 mg, 1.6 mmol) and acetic acid (929  $\mu$ l, 16 mmol) were added to a refluxed solution of sodium borohydride (608 mg, 16 mmol) in 1,4-dioxane (5 ml) and reflux was continued. The reaction was quenched by water and extracted with dichloromethane and washed with brine and dried. After removal of the solvent, residue was purified by silica gel column chromatography to give 4-(tert-butoxycarbonyl)-3-(4-chlorophenyl)piperazine (330 mg, 69%). 

1H-NMR (CDCl<sub>3</sub>)  $\delta$ : 1.46(9H, s), 2.76-2.99(3H, m), 3.13(1H, dd, J=13.0 Hz, 4.3 Hz), 3.45-3.49(2H, m), 3.92(1H, m), 5.15(1H, s), 7.27-7.33(4H, m).

A solution of 4-(tert-butoxycarbonyl)-3-(4-chlorophenyl)piperazine (330 mg, 1.1 mmol), 2-chloro-3-methyl-6-(4-pyridyl)pyrimidin-4-one (246 mg, 1.1 mmol) and triethylamine (170  $\mu$ l, 1.22 mmol) in tetrahydrofuran were refluxed. Usual workup and purification by silica gel column chromatography gave 2-(1-(tert-butoxy-carbonyl)-2-(4-chlorophenyl)-piperazine-4-yl)-3-methyl-6-(4-pyridyl)pyrimidin-4-one (500 mg, 93%).

 $^{1}H\text{-NMR} \text{ (CDCl}_{3}) \ \delta: 1.45(9H, \ s), \ 3.09(1H, \ m), \ 3.35(3H, \ s), \ 3.40\text{-}3.63(4H, \ m), \\ 3.96\text{-}4.19(2H, \ m), \ 5.43(1H, \ s), \ 6.68(1H, \ s), \ 7.23(2H, \ d, \ J=8.3 \ Hz), \ 7.32(2H, \ d, \ J=8.3 \ Hz), \ 7.78(2H, \ d, \ J=5.9 \ Hz), \ 8.72(2H, \ d, \ J=5.9 \ Hz).$ 

4N Hydrogen chloride in ethyl acetate was added to the solution of

2-(1-(tert-butoxycarbonyl)-2-(4-chlorophenyl)-piperazine-4-yl)-3-methyl-6-(4-pyridyl)pyrimidin-4-one (500 mg, 1.0 mmol) in ethyl acetate and stirred. Filtration and successive dryness gave the title compound (373mg, 79%).

Example 4: Synthesis of 3-methyl-2-(3-(4-((1-pyrrolidinyl)methyl)phenyl)piperidine -1-yl)-6-(4-pyridyl)pyrimidin-4-one fumarate (No. XB43)

Tetrakis(triphenylphosphine)palladium (0.65 g, 0.56 mmol),
4-formylphenylboric acid (2.81 g, 18.7 mmol), 2M aqueous sodium carbonate (18.7 ml, 37.4 mmol) and ethanol were added to the nitrogen-saturated solution of
3-bromopyridine (2.66 g, 16.8 mmol) in toluene and refluxed under nitrogen for 8 hrs. Water was added to the solution and extracted with ethyl acetate, washed with water and brine and dried. Solvents were removed under reduced pressure and the residue was purified by silica gel column chromatography (eluent; hexane/ethyl acetate = 1/1.5) to give 4-(3-pyridyl)benzaldehyde (0.78 g, 25%).

Methyl iodide (0.8 ml, 12.9 mmol) was added to a solution of 4-(3-pyridyl)benzaldehyde (0.78 g, 4.3 mmol) in dichloromethane and stirred 2 days. Additional methyl iodide (0.8 ml, 12.9 mmol) was added and stirred for 3 hr. After removal of the solvent, methanol was added to the residue and ice-cooled. Sodium tetrahydroborate (6.4 g, 17.0 mmol) was added to the solution and stirred for 1.5 hr with warming to room temperature. Organic solvents were removed under reduced pressure after addition of water and extracted with ethyl acetate, washed with water and brine and dried over sodium sulfate. After removal of the solvent under reduced pressure, residue was purified by silica gel chromatography (eluent ethyl acetate to methanol) to give 3-(4-hydroxymethylphenyl)-1-methyl-1,2,5,6-tetrahydropyridine (0.63 g, 72%).

Triethylamine (1.29 ml, 9.2 mmol), acetic anhydride (0.35 ml, 3.7 mmol) were added to a solution of 4-(hydroxymethyl)phenyl-1-methyl-1,2,5,6-tetrahydropyridine (0.63 g, 3.1 mmol) in dichloromethane and stirred overnight.

Organic solvents were removed under reduced pressure after addition of water and extracted with ethyl acetate, washed with water and brine and dried over sodium sulfate. Removal of the solvent under reduced pressure gave 3-(4-acetozymethyl-phenyl)-1-methyl-1,2,5,6-tetrahydropyridine (0.67 g, 89%).

A solution of 3-(4-acetoxymethylphenyl)-1-methyl-1,2,5,6tetrahydropyridine (0.67 g, 2.7 mmol) and 1-chloroethyl chloroformate (0.36 ml, 3.3 mmol) in dichloroethane was refluxed for 2 hr. Organic solvents were removed under reduced pressure after addition of water and extracted with ethyl acetate, washed with water and brine and dried over sodium sulfate. After removal of the solvent, methanol was added and refluxed for 1.5 hr. Tetrahydrofuran and water were added to the residue after removal of the solvent under reduced pressure and triethylamine (1.9 ml, 13.6 mmol) and di-tert-butyl dicarbonate (0.66 g, 3.0 mmol) were added and stirred. Organic solvents were removed under reduced pressure after addition of water and extracted with ethyl acetate, washed with water and brine and dried over sodium sulfate. Removal of the solvent under reduced pressure and the residue was purified by silica gel chromatography to give 3-(4-acetoxymethylphenyl)-1-(tert-butoxycarbonyl)-1,2,5,6-tetrahydropyridine (0.71 g, 78%).

Palladium on charcoal was added to the solution of 3-(4-acetoxymethylphenyl)-1-(tert-butoxycarbonyl)-1,2,5,6-tetrahydropyridine (0.71 g, 2.1 mmol) in ethyl acetate and stirred under hydrogen atmosphere. After filtration with celite and removal of the solvent under reduced pressure, methanol and 1N aqueous sodium hydroxide were added and stirred. Organic solvents were removed under reduced pressure after addition of water and extracted with ethyl acetate, washed with water and brine and dried over sodium sulfate. Removal of the solvent under reduced pressure and the residue was purified by silica gel chromatography (eluent; hexane/ethyl acetate = 3/1) to give 3-(4-hydroxymethylphenyl)-1-(tert-butoxycarbonyl)piperidine (0.39 g, 62%).

Triethylamine (0.47 g, 3.4 mmol) and methanesulfonyl chloride (0.12 ml, 1.6 mmol) were added to an ice-cooled solution of 3-(4-hydroxymethylphenyl)-1-(tert-butoxycarbonyl)piperidine (0.39 g, 1.34 mmol) in dichloromethane and stirred for 7.5 hr. Pyrrolidine (1.0 ml, 12 mmol) was added to the solution and stirred overnight. Organic solvents were removed under reduced pressure after addition of water and extracted with ethyl acetate, washed with water and brine and dried over sodium sulfate. Removal of the solvent under reduced pressure and the residue was purified by silica gel chromatography (eluent; ethyl acetate to ethyl acetate/methanol = 1/1, then methanol only) to give 3-(4-(1-pyrrolidinyl)methyl-phenyl)-1-(tert-butoxycarbonyl)piperidine (0.26 g, 56%).

4N Hydrogen chloride in ethyl acetate was added to 3-(4-(1-pyrrolidinyl)-methylphenyl)-1-(tert-butoxycarbonyl)piperidine (0.26 g, 0.75 mmol) and stirred overnight. After filtration and dryness, triethylamine (0.5 ml, 3.6 mmol), 2-chloro-3-methyl-6-(4-pyridyl)-pyrimidin-4-one (0.14 g, 0.63 mmol) and tetrahydrofuran were added and stirred at 70°C. Organic solvents were removed under reduced pressure after addition of water and extracted with ethyl acetate, washed with water and brine and dried over sodium sulfate. Removal of the solvent under reduced pressure and the residue was dissolved into ethyl acetate. A solution of fumaric acid (0.095 g, 0.82 mmol) in acetone was added and the resulting precipitate was filtered and dried to give the title compound (0.29 g, 76%).

Example 5: Synthesis of (R)-2-(2-(4-chlorophenyl)piperazin-4-yl)-3-methyl-6-(4-pyridyl)-pyrimidin-4- one (No. XA372)

To a solution of (S)-2-methyl-CBS-oxazaborolidine (27.6 mL, 1.0 M solution in toluene, 27.6 mmol) was added borane-tetrahydrofuran complex (166 ml, 1.0 M solution in tetrahydrofuran, 166 mmol) at -40 °C. To the resulting solution was added a solution of 4'-chlorophenacyl bromide (32.25 g, 138.1 mmol) in tetrahydrofuran (200 ml) through dropping funnel over 1 h at -40 °C. After stirring

for 3 hours below 0 °C, methanol (ca. 50 ml) was added dropwise. After stirring the resulting solution for additional 30 min at room temperature, solvent was removed under reduced pressure. The residue, dissolved in ethyl acetate, was treated with 1 N hydrochloric acid to form white precipitate, which was filtered off. The layers of the filtrate was separated, and the organic layer was washed with hydrochloric acid and brine successively, dried over anhydrous sodium sulfate, filtered, and concentrated under reduced pressure. The residue was used for the next reaction without further purification.

The residue was dissolved in ether (250 ml), and stirred with potassium hydroxide (15.5 g, 276 mmol) in water (250 ml) vigorously. After consumption of the starting material, the layers were separated. The organic layer was washed with brine, dried over anhydrous sodium sulfate, filtered, and concentrated under reduced pressure. The residue was used for the next reaction without further purification.

The residue was heated with benzylamine (37.7 ml, 345 mmol) at 80 °C for 4.5 h. After cooling at room temperature, the resulting white crystals was washed with ether/hexane and collected to afford (S)-2-benzylamino-1-(4-chlorophenyl)-ethanol (23.8 g, 65.8%). The excess benzylamine in the filtrate was distilled off at 120 °C under reduced pressure. From the residue, another (S)-2-benzylamino-1-(4-chlorophenyl)ethanol (2.41 g, 6.7%) was obtained.

¹H NMR (CDCl<sub>3</sub>) ™: 2.68(1H, dd, J=12.3, 8.9Hz), 2.92(1H, dd, J=12.3, 3.7Hz), 3.80(1H, d, J=11.9Hz), 3.86(1H, d, J=11.9Hz), 4.68(1H, dd, J=8.9, 3.7Hz), 7.30(9H, m).

To a suspension of (S)-2-benzylamino-1-(4-chlorophenyl)ethanol (15.76 g, 60.21 mmol) and triethylamine (33.6 ml, 241 mmol) in dichloromethane (300 ml) was added a solution of thionyl chloride (4.83 ml, 66.2 mmol) in dichloromethane (20 ml) at -78 °C over 20 min. The resulting suspension was stirred at -78 °C for 20 min and at 0 °C for additional 20 min. The reaction mixture was partitioned

between ether and water, and the organic layer was washed with brine, dried over anhydrous sodium sulfate, filtered, and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (eluent: 10-20% ethyl acetate-hexane) to afford (2RS,5S)-3-benzyl-5-(4-chlorophenyl)-1,2,3-oxathiazolidine 2-oxide (16.2 g 87.4%) as a pale vellow solid.

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The resulting product was obtained as a mixture of two diastereomers due to the S-oxide.

major isomer: <sup>1</sup>H NMR (CDCl<sub>3</sub>)  $\delta$ : 3.31(1H, dd, J=10.5, 9.9Hz), 3.55(1H, dd, J=9.0, 6.3Hz), 3.88(1H, d, J=13.2Hz), 4.37(1H, d, J=13.2Hz), 5.49(1H, dd, J=10.5, 6.3Hz), 7.22-7.43(9H, m).

minor isomer: <sup>1</sup>H NMR (CDCl<sub>3</sub>)  $\delta$ : 3.21(1H, dd, J=13.5, 4.5Hz), 3.77(1H, dd, J=13.5, 11.4Hz), 4.05(1H, d, J=13.5Hz), 4.38(1H, d, J=13.5Hz), 5.99(1H, dd, J=11.4, 4.5Hz), 7.22-7.43(9H, m).

A solution of (2RS,5S)-3-benzyl-5-(4-chlorophenyl)-1,2,3-oxathiazolidine 2-oxide (16.2 g, 52.6 mmol) and sodium azide (17.11 g, 263.2 mmol) in N,N-dimethylformamide (100 ml) was heated at 70 °C for 24 hours. The reaction mixture was partitioned between ether and water, and the organic layer was washed with water and brine successively, dried over anhydrous sodium sulfate, and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (eluent: 10-20% ethyl acetate-hexane) to afford (R)-N-benzyl-2-azido-2-(4-chlorophenyl)ethylamine (12.7 g, 83.8%) as a yellow oil. <sup>1</sup>H NMR (CDCl<sub>3</sub>) δ: 2.81(1H, dd, J=12.5, 5.1Hz), 2.89(1H, dd, J=12.5, 8.5Hz), 3.82(2H, s),4.64(1H, dd, J=8.5, 5.1Hz),7.23-7.36(9H, m).

A solution of (R)-N-benzyl-2-azido-2-(4-chlorophenyl)ethylamine (12.7 g, 44.1 mmol) in tetrahydrofuran (176 mL) was treated with triphenylphosphine (13.9 g, 52.9 mmol) at room temperature. After addition of water (20 ml), the reaction mixture was heated at 60 °C for 1 h. The reaction mixture was condensed, and partitioned between ether and 1 N hydrochloric acid. The aqueous layer was

treated with 1 N aqueous sodium hydroxide solution until the solution became basic. The resulting solution was extracted with dichlromethane thoroughly. The combined organic layer was washed with water, dried over anhydrous sodium sulfate, filtered, and concentrated under reduced pressure. The residue was used for the next reaction without further purification.

The residue was heated with diethyl oxalate (18 ml, 132 mmol) at 120 °C for 1.5 h. The resulting white precipitate was washed with ether and collected to afford (R)-1-benzyl-5-(4-chlorophenyl)-2,3-dioxopiperazine (11.4 g, 82.2%). 

1H NMR (CDCl<sub>3</sub>)  $\delta$ : 3.46(1H, dd, J=12.9, 8.1Hz), 3.60(1H, dd, J=12.9, 3.8Hz), 4.48(1H, d, J=14.7Hz), 4.79(1H, d, J=14.7Hz), 4.80(1H, dd, J=8.9, 3.8Hz), 6.83(1H, s), 7.13(4H, m), 7.27(5H, m).

To a suspension of (R)-1-benzyl-5-(4-chlorophenyl)-2,3-dioxopiperazine (11.4 g, 36.3 mmol) in tetrahydrofuran (300 ml) was added borane-tetrahydrofuran complex (181 mL, 1.0 M solution in tetrahydrofuran, 181 mmol) at room temperature. After stirring for 24 hours, the reaction mixture was quenched with methanol (50 ml) at 0 °C, and concentrated under reduced pressure. The residue was treated with 10% aqueous sodium hydroxide solution (300 ml) and heated at 100 °C for 2 hours. After cooling at room temperature, the mixture was extracted with dichloromethane thoroughly. The combined organic layer was dried over anhydrous sodium sulfated, filtered, and concentrated under reduced pressure. The residue was used for the next reaction without further purification.

To a solution of the residue and triethylamine (7.58 ml, 54.4 mmol) in dichloromethane (150 ml) was added di-tert-butyl dicarbonate (9.49 g, 43.5 mmol) at room temperature. After stirring for 45 min, the resulting mixture was partitioned between dichloromethane and water, dried over anhydrous sodium sulfate, filtered, and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (eluent: 10-20% ethyl acetate-hexane) to afford (R)-1-benzyl-4-(tert-butoxycarbonyl)-3-(4-chlorophenyl)piperazine (11.6 g,

82.8%) as an oil.

<sup>1</sup>H NMR (CDCl<sub>3</sub>)  $\delta$ : 1.43(9H, s), 2.16(1H, dt, J=4.4, 11.7Hz), 2.40(1H, dd, J=4.4, 11.7Hz), 2.78(1H, dd, J=4.4, 11.7Hz), 2.98(1H, dt, J=4.4, 11.7Hz), 3.20(1H, d, J=12.8Hz), 3.42(1H, d, J=12.9Hz), 3.57(1H, d, J=12.9Hz), 3.89(1H, d, J=12.8Hz), 5.17(1H, s), 7.24-7.36(9H, m).

To a solution of (R)-1-benzyl-4-(tert-butoxycarbonyl)-3-(4-chlorophenyl)piperazine (11.6 g, 30.1 mmol) in 1,2-dichloroethane (80 ml) was added 1-chloroethyl chloroformate (4.91 ml, 45.1 mmol) at room temperature. Upon disappearance of the starting material, the reaction mixture was concentrated under reduced pressure. The residue was then dissolved in methanol (100 ml) and refluxed for 30 min. The resulting white precipitate was filtered and washed with methanol to afford (R)-2-(4-chlorophenyl)piperazine dihydrochloride, which was liberated with aqueous sodium hydroxide solution, and extracted with dichloromethane to afford (R)-2-(4-chlorophenyl)piperazine (3.04 g, 51.4%) as white solid.

<sup>1</sup>H NMR (CDCl<sub>3</sub>)  $\delta$  :2.65(1H, dd, J=12.0, 10.5Hz), 2.82-3.04(4H, m), 3.09(1H, d, J=12.6Hz), 3.73(1H, dd, J=10.1, 2.7Hz), 7.29(4H, m)

The filtrate was concentrated under reduced pressure and partitioned between ether and 1 N hydrochloric acid. The aqueous layer was neutralized with 1 N aqueous sodium hydroxide solution, and extracted with dichloromethane thoroughly. The combined organic extracts were dried over anhydrous sodium sulfate, filtered, and concentrated under reduced pressure. The residue was purified after Boc-protection (Boc<sub>2</sub>O, Et<sub>3</sub>N, CH<sub>2</sub>Cl<sub>2</sub>) to furnish (R)-1,4-di(tert-butoxycarbonyl)-2-(4-chlorophenyl)piperazine (2.70 g, 22.6%) as pale yellow solid.

<sup>1</sup>H NMR (CDCl<sub>3</sub>)  $\delta$ : 1.43(9H, s), 1.46(9H, s), 2.96(2H, m), 3.32(1H, dd, J=13.8, 4.2Hz), 3.74(1H, m), 3.94(1H, d, J=11.4Hz), 4.40(1H, d, J=13.2Hz),5.23(1H, s),7.25(2H, m)

To a suspension of (R)-2-(4-chlorophenyl)piperazine dihydrochloride (1.09 g, 4.05 mmol) in tetrahydrofuran (24 ml) was added triethylamine (2.82 ml, 20.3 mmol). After stirring for 15 min at room temperature, 2-chloro-3-methyl-6-(4pyridyl)-3H-pyrimidin-4-one (748 mg, 3.38 mmol) was added portionwise. Upon disappearance of the chloropyrimidone, the reaction mixture was condensed under reduced pressure. The residue was partitioned between saturated aqueous sodium bicarbonate solution and dichloromethane. The organic layer was dried over anhydrous sodium sulfate, filtered, and concentrated under reduced pressure to give pale yellow solid, which was recrystallized from ethanol to afford (R)-2-(2-(4-chlorophenyl)piperazin-4-yl)-3-methyl-6-(4-pyridyl)-pyrimidin-4-one (998 mg, 77.4%) as white crystals. The enantiomer excess was determined by HPLC (>99% ee). The crystals were converted into its dihydrochloride salt. <sup>1</sup>H NMR (DMSO-d<sub>6</sub>)  $\delta$ : 3.40(3H, m), 3.46(3H, s), 3.62(1H, dd, J=12.0, 13.2Hz), 3.72(1H, m), 3.92(1H, t, J=15.5Hz), 4.68(1H, t, J=10.1Hz), 7.18(1H, s), 7.58(2H, d, J=8.6Hz), 7.83(2H, d, J=8.6Hz), 8.57(2H, d, J=6.6Hz), 9.01(2H, d, J=6.6Hz), 10.20(1H, d, J=7.8Hz), 10.76(1H, br s)MS: 382(M+H)  $[\alpha]_{D^{24}} = +62.2 \circ (c \ 1.00, \ H_2O)$ 

Example 6: Synthesis of (S)-2-(2-(4-chlorophenyl)piperazin-4-yl)-3-methyl-6-(4-pyridyl)-pyrimidin-4-one (No. XA373)

(S)-isomer was prepared same as above by using (R)-2-methyl-CBS-oxazaborolidine instead of (S)-2-methyl-CBS-oxazaborolidine.  $^{1}\text{H NMR (DMSO-d_6)} \quad \delta: 3.40 \text{ (3H, m)}, 3.45 \text{ (3H, s)}, 3.53-3.96 \text{ (3H, m)}, 4.68 \text{ (1H, t, J = 13.5Hz)}, 7.10 \text{ (1H, s)}, 7.60 \text{ (2H, d, J=8.3Hz)}, 7.76 \text{ (2H, d, J=8.3Hz)}, 8.38 \text{ (1H, br s)}, 8.91 \text{ (1H, d, J=4.8Hz)}, 9.88 \text{ (1H, br s)}, 10.31 \text{ (1H, br s)}$  MS: 382(M+H)  $[\alpha]_{D^{24}} = -63.3 \text{ ($c$ 1.00, H<sub>2</sub>O)}$ 

Example 7: Synthesis of 2-(2-(4-fluoro-2-methoxyphenyl)piperazin-4-yl)-3-methyl-6-(4-pyrimidyl)-pyrimidin-4-one (No. YA0366)

A solution of 2-bromo-5-fluoroanisole (11.8 g, 57.6 mmol) in tetrahydrofuran (60 ml) was dropped into the magnesium (1.40 g, 57.6 mmol) in refluxed tetrahydrofuran (32 ml) containing small amount of 1,2-dibromoethane and refluxed for 15 min. After addition of tetrahydrofuran (50 ml), the solution was cooled to -78 °C and diethyl oxalate (7.41 g, 50.7 mmol) in diethyl ether (50 ml) was dropped into the solution. After stirring at the same temperature for 30 min, the solution was warmed to -10°C and 1N aqueous hydrogen chloride (50 ml) and water were added. Organic layer was extracted with diethyl ether, washed with brine and dried over magnesium sulfate. After removal of the solvent under reduced pressure, purification of the residue by silica gel column chromatography (eluent: hexane/ethyl acetate = 5/2) gave ethyl 2-(4-fluoro-2-methoxyphenyl)-2-oxoacetate (6.80g, 59%)

<sup>1</sup>H-NMR (CDCl<sub>3</sub>)  $\delta$ : 1.40(3H, t, J=7.1 Hz),3.87(3H, s), 4:89(2H, q, J=7.1Hz), 6.68(1H, d, J=10.5 Hz), 6.77-6.81(1H, m), 7.91-7.95(1H, m).

Ethylenediamine (0.60 g, 10.0 mmol) was added to a solution of ethyl 2-(4-fluoro-2-methoxyphenyl)-2-oxoacetate (2.26 g, 10.0 mmol) in ethanol(30 ml) and refluxed 4 hr. After removal of the solvent under reduced pressure, residue was washed with ethanol-diethyl ether to give 5,6-dihydro-3-(4-fluoro-2-methoxyphenyl)pyrazinone (1.76 g, 79%).

<sup>1</sup>H-NMR (CDCl<sub>3</sub>)  $\delta$ : 3.50-3.56 (2H, m), 3.81 (3H, s), 3.88-3.92 (2H, m), 6.65(1H, d, J=11.0 Hz), 6.70-6.76 (1H, m), 6.89(1H, bs), 7.36-7.40(1H, m).

5,6-Dihydro-3-(4-fluoro-2-methoxyphenyl)pyrazinone was added to the solution of lithium aluminium hydride (0.46 g, 12 mmol) in diethyl ether (25 ml) and refluxed for 6 hr. Water (0.48 ml), 15% sodium hydroxide solution (0.48 ml) and again water (1.21 ml) were added to the ice-cooled solution and the precipitate was

filtered and washed with dichloromethane. Combined organic layer was evaporated to give 2-(4-fluoro-2-methoxyphenyl)piperazine (0.83 g, 99%).

 $^{1}$ H-NMR (CDCl<sub>3</sub>)  $\delta: 2.02(2H, s), 2.57-2.63$  (1H, m), 2.80-2.89 (1H, m), 2.92-2.99 (2H, m), 3.06-3.12 (2H, m), 3.80(3H, s), 4.06 (1H, d, J=10.0 Hz), 6.56-6.65 (2H, m), 7.40 (1H, t, J=7.8 Hz).

2-Chloro-3-methyl-6-(4-pyrimidyl)-pyrimidin-4-one (223 mg, 1:0 mmol) was added to an ice-cooled solution of 2-(4-fluoro-2-methoxyphenyl)piperazine (210 mg, 1.0 mmol), triethylamine (0.15 ml, 1.1 mmol) in N,N-dimethylformamide (10 ml) and stirred at that temperature for 0.5 hr and then at room temperature for 3 hours. Reaction was quenched by ice-water and the filtrate was washed with water and dried to give 2-(2-(4-fluoro-2-methoxyphenyl)piperazin-4-yl)-3-methyl-6-(4-pyrimidyl)-pyrimidin-4-one (262 mg, 66%).  $^{1}$ H-NMR (CDCl<sub>3</sub>)  $\delta$ : 2.89-2.98 (1H, m), 3.22-3.31 (3H, m), 3.60 (3H, s), 3.62-3.71 (2H, m), 3.86 (3H, s), 4.39-4.44 (1H, m), 6.43-6.73 (2H, m), 7.33 (1H, s), 7.52-7.56 (1H, m), 8.19 (1H, d, J=5.1 Hz), 8.87 (1H, d, J=5.2 Hz), 9.28 (1H, d, J=1.2 Hz).

4N Hydrogen chloride in 1,4-dioxane (0.2 ml) was added to the solution of 2-(2-(4-fluoro-2-methoxyphenyl)piperazin-4-yl)-3-methyl-6-(4-pyrimidyl)-pyrimidi n-4-one (238 mg, 0.6 mmol) in dichloromethane (5 ml) and stirred for 15 min. Wash with methanol and ethyl acetate after removal of the solvent and dryness gave the title compound (223 mg, 86%).

Example 8: Synthesis of 2-(2-(4-chlorophenyl)-piperazine-4-yl)-3-methyl-6-(4-pyrimidyl)pyrimidin-4-one (No. YA0269)

Dimethyl sulfoxide (60 ml) solution of 4-chlorophenacylbromide (11.11 g, 65.9 mmol) and water (1.7 ml) were stirred. The solution was extracted with ethyl acetate 3 times and washed with water twice and brine and then dried over sodium sulfate. After removal of the solvent, the residue was washed with hexane-ethyl acetate and dried to give 4-chlorophenylglyoxal (4.43 g, 50%).

<sup>1</sup>H-NMR (CDCl<sub>3</sub>)  $\delta$ : 4.02-4.16(2H, m), 5.90-5.95(1H, m), 7.45-7.53(2H, m), 8.05-8.11(2H, m).

A methanol (10 ml) solution of ethylenediamine (1.90 g, 31.6 mmol) was added to the ice-cooled solution of 4-chlorophenylglyoxal (4.43 g, 26.3 mmol) in methanol (100 ml) and tetrahydrofuran (30 ml) and stirred for 10 min. After addition of sodium tetrahydroborate (3.26 g, 86.3 mmol), additional methanol (50 ml) was added and stirred overnight. After removal of the solvent, diluted hydrochloric acid was added and extracted with ether twice. After addition of sodium hydroxide, basic aqueous layer was extracted with dichloromethane three times and washed with brine and dried over sodium sulfate. After removal of the solvent by filtration, purification of the residue by silica gel column chromatography (eluent; dichloromethane/ethanol = 10/1 to dichloromethane/ethanol/diethylamine = 20/2/1) to give 2-(4-chlorophenyl)-piperazine (0.43 g, 9%)

1H-NMR (CDCl<sub>3</sub>)  $\delta$ : 2.67(1H, dd, J=10.5, 12.0 Hz), 2.87-3.03(4H, m), 3.07-3.13(1H, m), 3.77(1H, dd, J=2.7, 10.2 Hz), 7.27-7.36(4H, m).

Triethylamine (528 mg, 5.2 mmol) was added to a solution of 4-(chlorophenyl)piperazine (216 mg, 1.1 mmol) and 2-chloro-3-methyl-6-(4-pyrimidyl)pyrimidin-4-one and stirred at 50°C for 2 hr. Solvent was removed under reduced pressure, and 1N aqueous sodium hydroxide solution was added to the residue and extracted by dichloromethane. After washing with brine and dryness by sodium sulfate, solvent was removed under reduced pressure, and the residue was purified using ISOLUTE(registered trade mark) SI (International Sorvent Technology, UK)(eluent; dichloromethane/ethanol = 10/1) to give the title compound (396 mg, 95 %).

Example 9: Synthesis of 2-(2-(4-chlorophenyl)-6,6-dimethyl-piperazin-4-yl)-3-methyl-6-pyridin-4-yl-3*H*-pyrimidin-4-one dihydrochloride (No. XA1986)

A solution of 4'-chloro-2-bromoacetophenone (25.0 g, 107 mmol), water (1.92 mL, 107 mmol) and 47% hydrobromic acid (0.20 mL) in dimethylsulfozide (160 mL) was stirred at 80°C for 5 h. After the reaction mixture was poured into water, the precipitate was filtered, washed with diethylether and dried, affording 4'-chloro-2,2-dihydroxyacetophenone (14.0 g, 70%). <sup>1</sup>H NMR (300MHz, CDCl<sub>3</sub>), δ 5.92(1H, s), 7.45-7.52(2H, m), 8.05 –8.20(2H, m).

2,2-dimethly-ethylenediamine (2.10 mL, 20.0 mmol) was added to a solution of 4'-chloro-2,2-dihydroxyacetophenone (3.70 g, 20.0 mmol) in methanol (120 mL) and tetrahydrofuran (30 mL) at room temperature. After 2 h, sodium borohydride (1.50 g, 40.0 mmol) was added to the reaction mixture at 0 ℃. The reaction mixture was stirred overnight, then quenched with 1N hydrochloric acid and evaporated in vacuo. The acidic solution was extracted with ethyl acetate, then basified to pH 11 using 15% aqueous sodium hydroxide, and extracted with dichloromethane. The extract was dried over sodium sulfate and concentrated in vacuo. Di-t-butyldicarbonate (6.40 mL, 27.9 mmol) was added to the solution of the residue in 1N aqueous sodium hydroxide (40 mL) and tetrahydrofuran (60 mL). The resulting suspension was heated at 40 °C for 8 h, then diluted with ethyl acetate and water. The organic layer was extracted with additional ethyl acetate, dried and concentrated in vacuo. The crude product was purified by flash column chromatography, affording 2-(4-chlorophenyl)-4-t-butoxycarbonyl-6,6-dimethylpiperazine (1.69 g, 28%, 2 steps).  $^{1}$ H NMR (300MHz, CDCl<sub>3</sub>),  $\delta$  1.15(3H, s), 1.21(3H, s), 2.47-2.70(2H, m), 3.72-4.16(3H, m), 7.26-7.37(4H, m).

4 M Hydrogen chloride in ethyl acetate (5.0 mL, 20.0 mmol) was added to a solution of 2-(4-chlorophenyl)-4-t-butoxycarbonyl-6,6-dimethyl-piperazine (1.69 g, 5.2 mmol). After 12 h, removing the solvent, filtrating and washing the precipitate with ethyl acetate gave 2-(4-chlorophenyl)-6,6-dimethyl-piperazine dihydrochloride

 $(1.43 \text{ g}, 95\%). \ ^{1}\text{H NMR} (300 \text{MHz}, \text{DMSO-d}_{6}), \\ \delta \ 1.40 (3 \text{H}, \text{s}), \ 1.58 (3 \text{H}, \text{s}), \ 3.24 - 3.99 (4 \text{H}, \text{m}), \ 4.73 (1 \text{H}, \text{m}), \ 7.69 (2 \text{H}, \text{d}, \text{J} = 8.4 \text{Hz}), \ 7.79 (2 \text{H}, \text{m}), \ 9.99 - 10.12 (2 \text{H}, \text{m}).$ 

A solution of 2-(4-chlorophenyl)-6,6-dimethyl-piperazine hydrochloride (155 mg, 0.52 mmol), 2-chloro-3-methyl-6-(4-pyridyl)-pyrimidine-4-one (111 mg, 0.50 mmol) and triethylamine (0.42 mL, 2.50 mmol) in tetrahydrofuran (5 mL) was stirred at room temperature for 6 h. The whole was evaporated in vacuo and the residue was extracted with dichloromethane. The organic layer was washed with water, dried and concentrated in vacuo. The residue was dissolved in methanol (5mL) and treated with 4M hydrogen chloride in ethyl acetate (0.50 mL, 2.0 mmol) for 20 min. After removing the solvent, filtrating and washing the precipitate with ethanol gave 2-(2-(4-chlorophenyl)-6,6-dimethyl-piperazin-4-yl)-3-methyl-6-pyridin-4-yl-3H-pyrimidin-4-one dihydrochloride (235 mg, 97%).

Example 10 : Synthesis of 2-(2S-(4-bromophenyl)-piperazin-1-yl)-3-methyl-6-pyridin-4-yl-3H-pyrimidin-4-one (No. XA2051)

Benzyl chloroformate (2.40 mL, 15.0 mmol) was added to a solution of 2S-(4-bromophenyl)-piperazine dihydrochloride in 1N aqueous sodium hydroxide (30 mL) and dichloromethane (60 mL). The resulting suspension was stirred at room temperature for 1.5 h. After partitioned between ethyl acetate, the organic layer was extracted with additional ethyl acetate, dried and concentrated in vacuo. The precipitate was washed with ether, affording 2S-(4-bromophenyl)-4-benzyloxycarbonyl-piperazine (2.92 g, 57%). <sup>1</sup>H NMR (300MHz, CDCl<sub>3</sub>), δ 2.87-3.01(2H, m), 3.47(2H, m), 3.93-3.97(1H, m), 4.20(2H, m), 5.16(2H, s), 7.36(5H, m), 7.42-7.61(4H, m).

A solution of 2S-(4-bromophenyl)-4-benzyloxycarbonyl-piperazine (788 mg, 2.10 mmol), 2-chloro-3-methyl-6-(4-pyridyl)-pyrimidine-4-one (444 mg, 2.00 mmol) and diisopropylethylamine (0.70 mL, 4.00 mmol) in dimethylformamide (20 mL) was stirred at 80°C for 3 h. The reaction mixture was poured into water and the

whole was extracted with ethyl acetate. The organic layer was washed with brine, dried and concentrated in vacuo. Chromatographic purification of the residue provided 2-(2S-(4-bromophenyl)-4-benzyloxycarbonyl-piperazin-1-yl)}-3-methyl-6-pyridin-4-yl-3H-pyrimidin-4-one (601 mg, 54%). <sup>1</sup>H NMR (300MHz, CDCl<sub>3</sub>), δ 3.05(1H, m), 3.30-3.48(3H, m), 3.64(3H, s), 4.08-4.22(2H, m), 4.68(1H, m), 5.15(1H, d, J= 12.3 Hz), 5.21(1H, d, J= 12.6 Hz), 6.63(1H, s), 7.21(2H, d, J= 8.4 Hz), 7.28-7.39(7H, m), 7.59(2H, d, J=6.3 Hz), 8.68(2H, d, J=6.3 Hz).

Potassium hydroxide (168 mg, 3.0 mmol) was added to a solution of 2-{2S-(4-bromophenyl)-4-benzyloxycarbonyl-piperazin-1-yl}-3-methyl-6-pyridin-4-y l-3H-pyrimidin-4-one in ethanol (2.0 mL). After stirring for 8 h at room temperature, purifying by preparative HPLC gave 2-(2S-(4-bromophenyl)-piperazin-1-yl)-3-methyl-6-pyridin-4-yl-3H-pyrimidin-4-one (40 mg, 26%).

Example 11: Synthesis of (S)-3-methyl-6-(4-pyridyl)-2-(3-(4-(3-(pyrrolidin-1-yl) pyrrolidin-1-yl)phenyl)piperazin-1-yl)pyrimidin-4-one (No. XA2032)

A suspension of (S)-2-(4-bromophenyl)-1,4-di(t-butoxycarbonyl) piperazine (1.33 g, 3.00 mmol), (R)-3-pyrrolidinol (520 mg, 4.20 mmol), palladium acetate (27 mg, 0.12 mmol), 2-(di-t-butylphosphino)biphenyl (72 mg, 0.24 mmol), and sodium t-butoxide (808 mg, 8.41 mmol) in tert-butanol (20 mL) was heated at 90 °C for 3.5 h. After dilution with ethyl acetate, the resulting mixture was passed through a Celite column. The filtrate was concentrated in vacuo, and the residue was purified by silica gel column chromatography eluting 10-50% ethyl acetate hexane to afford (S)-1,4-di-(t-butoxycarbonyl)-2-(4-((R)-3-hydroxypyrrolidino) phenyl)piperazine (733 mg, 54.5%) as a yellow foam.

To a solution of (S)-1,4-di(t-butoxycarbonyl)-2-(4-((R)-3-hydroxy pyrrolidino) phenyl)piperazine (733 mg, 1.64 mmol) and triethylamine (0.34 mL, 2.46 mmol) in dichloromethane (20 mL) was added methanesulfonyl chloride (0.152 mL, 1.97 mmol) at 0 °C. After stirring for 20 min, the reaction mixture was

partitioned between ethyl acetate and water. The organic layer was washed with brine, dried over anhydrous sodium sulfate, and concentrated in vacuo to afford (S)-1,4-di(t-butoxycarbonyl)-2-(4-((R)-3-(methansulfonyloxy)pyrrolidin-1-yl) phenyl)piperazine (877 mg, quant.) as a brown solid.

To a solution of (S)-1,4-di(t-butoxycarbonyl)-2-(4-((R)-3-methansulfonyloxy-pyrrolidino)phenyl)piperazine (877 mg, 1.64 mmol) in toluene (10 mL) was added pyrrolidine (0.64 mL, 8.19 mmol), and the resulting solution was heated at 90 °C for 8 h. After checking consumption of the starting material with TLC, the reaction mixture was partitioned between ethyl acetate and saturated sodium bicarbonate aqueous solution. The organic layer was washed with brine, dried over anhydrous sodium sulfate, and concentrated in vacuo. The residue was purified by silica gel column chromatography eluting 30-100% ethyl acetate-hexane and then 3-10% methanol-ethyl acetate to afford (S)-1,4-di(t-butoxycarbonyl)-2-(4-((S)-3-(pyrrolidin-1-yl)pyrrolidin-1-yl) phenyl)piperazine (479 mg, 58%) as a pale yellow powder.

To a solution of (S)-1,4-di(t-butoxycarbonyl)-2-(4-((S)-3-(pyrrolidin-1-yl) pyrrolidin-1-yl)phenyl)piperazine (479 mg, 0.957 mmol) in dichloromethane (4 mL) was added 4 N hydrogen chloride in ethyl acetate (4 mL) at room temperature. After stirring for 3 h, the resulting precipitate was collected and dried in vacuo to afford (S)-2-(4-((S)-3-(pyrrolidin-1-yl)pyrrolidin-1-yl)phenyl)piperazine tetrahydrochloride (370 mg, 87%) as a white solid.

To a suspension of (S)-2-(4-((S)-3-(pyrrolidin-1-yl)pyrrolidin-1-yl)phenyl) piperazine tetrahydrochloride (98 mg, 0.22 mmol) in tetrahydrofuran (5 mL) was added triethylamine (0.20 mL, 1.40 mmol) and 2-chloro-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one (44 mg, 0.20 mmol) at room temperature. After stirring for 24 h, the reaction mixture was concentrated in vacuo. The residue was dissolved in dichloromethane and sodium bicarbonate aqueous solution, and the solution was passed through CHEM ELUT CE1010 (manufactured by VARIAN). The filtrate was

concentrated, and the resulting crystals were washed in a mixture of diisopropyl ether and ethanol to afford (S)-2-(3-(4-(3-(pyrrolidin-1-yl)pyrrolidin-1-yl)phenyl)piperazin-1-yl)-3- methyl-6-(4-pyridyl)pyrimidin-4-one (80 mg, 82%) as a pale yellow solid.

Example 12: Synthesis of (S)-3-methyl-6-(4-pyrimidinyl)-2-(3-(4-(3-(pyrrolidin-1-yl) pyrrolidin-1-yl)phenyl)piperazin-1-yl)pyrimidin-4-one (No. YA1577)

To a suspension of (S)-2-(4-((S)-3-(pyrrolidin-1-yl)pyrrolidin-1-yl)phenyl) piperazine tetrahydrochloride (99 mg, 0.22 mmol) in tetrahydrofuran (5 mL) was added triethylamine (0.20 mL, 1.40 mmol) and 2-chloro-3-methyl-6-(4-pyrimidinyl)-3H-pyrimidin-4-one (45 mg, 0.20 mmol) at room temperature. After stirring for 24 h, the reaction mixture was concentrated in vacuo. The residue was dissolved in dichloromethane and sodium bicarbonate aqueous solution, and the solution was passed through CHEM ELUT CE1010 (manufactured by VARIAN). The filtrate was concentrated, and the resulting crystals were washed in a mixture of diisopropyl ether and ethanol to afford (S)-3-methyl-6-(4-pyrimidinyl)-2-(3-(4-(3-(pyrrolidin-1-yl)pyrrolidin-1-yl)phenyl)piperazin-1-yl)-pyrimidin-4-one (65 mg, 66%) as a pale yellow solid.

Example 13: Synthesis of (S)-2-(3-(4-(N-cyclohexyl-N-methylamino)phenyl) piperazin- 1-yl)-3-methyl-6-(4-pyridyl)pyrimidin-4-one (No. XA1999)

A suspension of (S)-2-(4-bromophenyl)-1,4-di(t-butoxycarbonyl) piperazine (1.21 g, 2.75 mmol), N-methylcyclohexylamine (0.43 mL, 3.30 mmol), palladium acetate(25 mg, 0.11 mmol), 2-(di-t-butylphosphino)biphenyl (66 mg, 0.22 mmol), and sodium t-butoxide (370 mg, 3.85 mmol) in t-butanol (15 mL) was heated at 80 °C for 8 h. The resulting solution was partitioned between ethyl acetate and water. The organic layer was washed with brine, dried over anhydrous sodium sulfate, and concentrated in vacuo. The residue was purified by silica gel column

chromatography eluting 10-15% ethyl acetate-hexane to afford (S)-1,4-di(t-butoxycarbonyl)-2-(4-(N-cyclohexyl-N-methylamino)phenyl)piperazine (917 mg) as white crystals.

To a solution of (S)-1,4-di(t-butozycarbonyl)-2-(4-(N-cyclohezyl-N-methylamino)phenyl)piperazine in dichloromethane (4 mL) was added 4 N hydrogen chloride in ethyl acetate(4 mL). After stirring for 40 min, the white precipitate was collected, which included impurities. The mixture was purified by a reverse phase chromatography eluting 0.05% TFA in water-acetonitrile to afford (S)-2-(4-(N-cyclohexyl-N-methylamino)phenyl)piperazine (59 mg 8% in 2 steps) as a clear oil.

To a solution of (S)-2-(4-(N-cyclohexyl-N-methylamino)phenyl) piperazine(50 mg, 0.183 mmol) and triethylamine (0.077 mL, 0.55 mmol) was added 2-chloro-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one (37 mg, 0.17 mmol) at room temperature. After stirring for 4.5 h, the reaction mixture was concentrated in vacuo. The residue was partitioned between dichloromethane and saturated sodium bicarbonate aqueous solution. The organic layer was dried over anhydrous sodium sulfate and concentrated. The residue was purified by a reverse phase chromatography eluting 0.05% TFA in water-acetonitrile to afford (S)-2-(3-(4-(N-cyclohexyl-N-methylamino)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyr idyl)pyrimidin-4-one (67 mg, 88%) as a oil, which was dissolved in ethyl acetate and treated with 4 N hydrogen chloride in ethyl acetate to yield its trihydrochloride.

Example 14: Synthesis of (S)-2-(3-(4-(N,N-dimethylamino)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)pyrimidin-4-one trihydrochloride (No. XA2017)

A suspension of (S)-2-(4-bromophenyl)-1,4-di(t-butoxycarbonyl) piperazine (1.14 g, 2.59 mmol), N,N-dimethylamine hydrochloride (422 mg, 5.17 mmol), palladium acetate (23 mg, 0.10 mmol), 2-(di-t-butylphosphino)biphenyl(62 mg, 0.21 mmol), and sodium t-butoxide (845 mg, 8.80 mmol) in t-butanol (15 mL)

was heated at 90 °C for 3 h. After dilution with ethyl acetate, the resulting solution was passed through a Celite column. The filtrate was concentrated, and the residue was purified by silica gel column chromatography eluting 10-20% ethyl acetate-hexane to afford (S)-1,4-di(t-butoxycarbonyl)-2-(4-(N,N-dimethylamino) phenyl)piperazine (556 mg, 53%) as white crystals.

To a solution of (S)-1,4-di(t-butoxycarbonyl)-2-(4-(N,N-dimethylamino) phenyl)piperazine (556 mg, 1.37 mmol) in dichloromethane (4 mL) was added 4 N hydrogen chloride in ethyl acetate (4 mL). After stirring for 8.5 h, the white precipitate was collected and dried in vacuo to afford (S)-2-(4-(N,N-dimethylamino) phenyl)piperazine trihydrochloride (413 mg, 96%) as white crystals.

To a suspension of (S)-2-(4-(N,N-dimethylamino)phenyl)piperazine trihydrochloride(115 mg, 0.365 mmol) in tetrahydrofuran (5 mL) was added triethylamine (0.28 mL, 2.0 mmol) and then 2-chloro-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one (74 mg, 0.33 mmol) at room temperature. After stirring for 10 h, the resulting mixture was concentrated in vacuo. The residue was dissolved in dichloromethane and saturated sodium bicarbonate aqueous solution, and the solution was passed through CHEM ELUT CE1010 (manufactured by VARIAN). The filtrate was concentrated in vacuo to yield crystals, which were washed with diisopropyl ether. After the crystals were dissolved in ethyl acetate, the solution was treated with 4 N hydrogen chloride in ethyl acetate. White precipitate was collected and dried in vacuo to afford (S)-2-(3-(4-(N,N-dimethylamino)phenyl) piperazin-1-yl)-3-methyl-6-(4-pyridyl)pyrimidin-4-one trihydrochloride (135 mg, 81%).

Example 15: Synthesis of (S)-2-(3-(4-methoxybiphen-4-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)pyrimidin-4-one (No. XA1991)

A mixture of (S)-2-(4-bromophenyl)-1,4-di(t-butoxycarbonyl)piperazine (1.82 g, 4.11 mmol), 4-methoxyphenylboronic acid (937 mg, 6.17 mmol), sodium

carbonate (2.18 g, 20.6 mmol), and tetrakis(triphenylphosphine)palladium(0) (238 mg, 0.206 mmol) was dissolved in dimethoxyethane (20 mL) and water (20 mL), and the resulting solution was refluxed for 3 h. After cooling to room temperature, the mixture was partitioned between ethyl acetate and water. The organic layer was washed with brine, dried over anhydrous sodium sulfate, and concentrated in vacuo. The resulting solid was washed with ethyl acetate to afford (S)-1,4-di(t-butoxycarbonyl)-2-(4'-methoxybiphen-4-yl) piperazine (1.46 g, 75.9%) as a white solid.

To a solution of (S)-1,4-di(t-butoxycarbonyl)-2-(4'-methoxybiphen-4-yl)-piperazine (1.46 g, 3.12 mmol) in dichloromethane (8 mL) was added 4 N hydrogen chloride in ethyl acetate (8 mL) at room temperature. After stirring for 1 h, the precipitate was collected and dried in vacuo to afford (S)-2-(4'-methoxybiphen-4-yl) piperazine dihydrochloride (1.00 g, 94%) as white solid.

To a suspension of (S)-2-(4'-methoxybiphen-4-yl)-piperazine dihydrochloride (237 mg, 0.694 mmol) in tetrahydrofuran (5 mL) was added triethylamine (0.40 mL, 2.9 mmol) and then 2-chloro-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one (128 mg, 0.579 mmol) at room temperature. After stirring for 28 h, the resulting mixture was concentrated in vacuo. The residue was partitioned between dichloromethane and saturated sodium bicarbonate aqueous solution, and the organic layer was dried over anhydrous sodium sulfate and then concentrated in vacuo. The resulting solid was washed with hot ethanol to afford (S)-2-(3-(4-methoxybiphen-4-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)pyrimidin-4-one (252 mg, 96%), which was treated with 4 N hydrogen chloride in ethyl acetate to yield its dihydrochloride salt (252 mg) as pale yellow crystals.

Example 16: Synthesis of (S)-2-(3-benzylpiperazin-1-yl)-3-methyl-6-(4-pyridyl) pyrimidin-4-one (No. XA2004)

To a solution of L-phenylalanine ethyl ester hydrochloride (3.875 g, 16.87

mmol), Boc-glycine (2.815 g, 16.07 mmol) in dichloromethane (100 mL) was added triethylamine (2.35 mL, 16.87 mmol) and then 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (3.23 g, 16.87 mmol) at room temperature. After the resulting mixture was stirred for 2.5 h, it was partitioned between ethyl acetate and water. The organic layer was washed with 1 N hydrochloric acid, brine, and then saturated sodium bicarbonate aqueous solution, dried over anhydrous sodium sulfate, and concentrated in vacuo to afford Boc-glyclylphenylalanine ethyl ester (5.96 g).

To a solution of Boc-glycylphenylalanine ethyl ester (5.96 g) in dichloromethane (20 ml) was added trifluoroacetic acid (20 mL) at room temperature. After stirring 1.5 h, the resulting solution was concentrated in vacuo. The residue was dissolved in water, into which sodium bicarbonate was added until the pH was 9. After the solution was stirred for several hours, the resulting white crystals were collected and dried in vacuo to afford (S)-3-benzyl-2,5-dioxopiperazine (2.29 g, 70% in 2 steps) as a white powder.

To a suspension of (S)-3-benzyl-2,5-dioxopiperazine (2.284 g, 11.18 mmol) in tetrahydrofuran (20 mL) was added borane-tetrahydrofuran complex (49 mL, 1.0 M solution in THF, 49 mmol) at room temperature. The resulting mixture was refluxed for several hours before it was quenched with methanol at 0 °C. After concentration in vacuo, the residue was treated with 10% sodium hydroxide aqueous solution, which was extracted with dichloromethane thoroughly. The organic layer was washed with water, dried over anhydrous sodium sulfate, and concentrated in vacuo to afford white crystals, which were washed with ether to yield (S)-2-benzylpiperazine (795 mg, 40.3%).

To a solution of (S)-2-benzylpiperazine (48 mg, 0.27 mmol) in tetrahydrofuran (5 mL) was added triethylamine (0.10 mL, 0.74 mmol) and then 2-chloro-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one (55 mg, 0.248 mmol) at room temperature. After refluxing for 24 h, the resulting mixture was concentrated in

vacuo. The residue was partitioned between dichloromethane and saturated sodium bicarbonate aqueous solution, and the organic layer was dried over anhydrous sodium sulfate and then concentrated in vacuo. The residue was purified by a reverse phase chromatography eluting 0.05% TFA in water-acetonitrile to afford (S)-2-(3-benzylpiperazin-1-yl)-3-methyl-6-(4-pyridyl) pyrimidin-4-one (73 mg 81%), which was treated with 4 N hydrogen chloride in ethyl acetate to yield its dihydrochloride salt as a yellow powder.

Example 17: Synthesis of (S)-3-methyl-2-(3-(4-(1,2,4-oxadiazol-3-yl)phenyl) piperazin-1-yl)-6-(4-pyridyl)pyrimidin-4-one (No. XA2039)

To a solution of 4-cyanoacetophenone (11.32 g, 77.98 mmol) in dichloromethane (200 mL) was added bromine (4.00 mL, 78.0 mmol) dropwise at room temperature. After stirring several minutes, the reaction mixture was washed with water, dried over anhydrous sodium sulfate, and concentrated in vacuo to afford 4-cyanophenacyl bromide (17.73 g) as a white solid.

A solution of 4-cyanophenacyl bromide (11.20 g, 49.99 mmol) in dimethylsulfoxide (83 mL) was treated with water (0.90 mL, 49.99 mmol). After stirring for 24 h at room temperature, it was poured into ice-water, and extracted with ether. The organic layer was washed with water and then brine, dried over anhydrous sodium sulfate, and concentrated in vacuo. The residue was purified by a silica gel column chromatography cluting 20-50% ethyl acetate in hexane to afford 4-cyanophenylglyoxal (5.10 g, 64.1%) as a yellow solid.

To a solution of 4-cyanophenylglyoxal (2.21 g, 12.5 mmol) in methanol (30 mL) and tetrahydrofuran (10 mL) was added ethylenediamine (1.00 mL, 14.96 mmol) at room temperature. After the mixture was stirred at room temperature for 1 h, sodium borohydride (943 mg, 24.92 mmol) was added at 0 °C. The solution was warmed up to room temperature and stirred for another 2 h before it was quenched with 1 N hydrochloric acid. After concentration in vacuo, the mixture was

partitioned between ether and water. The aqueous layer was alkalized with sodium hydroxide, and extracted with dichloromethane. The extract was dried over anhydrous sodium sulfate, and then concentrated in vacuo to afford reddish oil (1.69 g). The oil was dissolved in dichloromethane (30 mL), into which triethylamine (3.82 mL ,27.41 mmol) and di-tert-butyl dicarbonate (5.98 g, 27.41 mmol) at room temperature. The reaction mixture was stirred for several hours before it was partitioned between ethyl acetate and water. The organic layer was dried over anhydrous sodium sulfate, and then concentrated in vacuo. The residue was purified by a silica gel column chromatography eluting 5-20% ethyl acetate in hexane to afford 1,4-di(t-butoxycarbonyl)-2-(4-cyanophenyl)piperazine (2.46 g, 50.9%) as white crystals.

A solution of 1,4-di(t-butoxycarbonyl)-2-(4-cyanophenyl)piperazine (558 mg, 1.44 mmol), hydroxylamine hydrochloride (300 mg, 4.23 mmol), and sodium carbonate (763 mg, 7.20 mmol) in ethanol (3 mL) and water (3 mL) was heated at 80 °C for 2.5 h before it was partitioned between dichloromethane and water. The aqueous layer was extracted with dichloromethane. The combined organic layer was dried over sodium sulfate, and concentrated in vacuo to afford white foam (680 mg), which was dissolved in toluene (5 mL) and treated with triethyl orthoformate (2.4 mL, 14.4 mmol) and p-toluenesulfonic acid (27 mg, 0.14 mmol). The solution was heated at 90 °C for 1 h before it was partitioned between dichloromethane and saturated sodium bicarbonate aqueous solution. The organic layer was dried over anhydrous sodium sulfate, and concentrated in vacuo. The resulting white crystals were washed with ethyl acetate, and dried in vacuo to afford 1,4-di(t-butoxycarbonyl)-2-(4-(1,2,4-oxadiazol-3-yl)phenyl)piperazine (464 mg, 75% in 2 steps).

To a solution of 1,4-di(t-butoxycarbonyl)-2-(4-(1,2,4-oxadiazol-3-yl) phenyl)piperazine (464 mg, 1.08 mmol) in dichloromethane (2 mL) was added 4 N hydrogen chloride in ethyl acetate (3 mL) at room temperature. After stirring for

1.5 h, the precipitate was collected and dried in vacuo to afford 2-(4-(1,2,4-oxadiazol-3-yl)phenyl)piperazine dihydrochloride (321 mg, 98%) as a white powder.

To a suspension of 2-(4-(1,2,4-oxadiazol-3-yl)phenyl)piperazine dihydrochloride (102 mg, 0.34 mmol) in tetrahydrofuran (6 mL) was added triethylamine (0.23 mL, 1.65 mmol) and then 2-chloro-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one (73 mg, 0.33 mmol) at room temperature. After stirring for 24 h, the resulting mixture was concentrated in vacuo. The residue was dissolved in dichloromethane and saturated sodium bicarbonate aqueous solution, and the solution was passed through CHEM ELUT CE1010 (manufactured by VARIAN). The filtrate was concentrated in vacuo to yield crystals, which were washed with diisopropyl ether and ethanol to afford (S)-2-(3-(4-(1,2,4-oxadiazol-3-yl)phenyl) piperazin-1-yl)-3-methyl-6-(4-pyridyl)pyrimidin-4-one (102 mg 74%) as a white powder.

Example 18: Synthesis of 2-[4-(2-Methoxyphenylamino)-piperidin-1-yl]-3-methyl-6-(pyridin-4-yl)-3H-pyrimidin-4-one (No. XB276)

To a solution of anisidine (3.1g, 25.2 mmol) and 4-oxo-piperidine-1-carboxylic acid tert-butyl ester (5.0 g, 25.1 mmol) in methanol (100 mL) was added sodium triacetoxyborohydride (13.4 g, 63.2 mmol) at room temperature. After stirring for 6 h, the resulting suspension was partitioned between ethyl acetate and 1N sodium hydroxide. The aqueous layer was extracted with ethyl acetate. The combined organic layer was washed with brine, dried over magnesium sulfate, and concentrated in vacuo. The residue was purified by silica gel chromatography eluting 10-20 % ethyl acetate in hexane to furnish 4-(2-methoxyphenylamino)-piperidine-1-carboxylic acid tert-butyl ester (2.7g, 8.8mmol, 35%) as a pale yellow oil.

To a solution of 4-(2-methoxyphenylamino)-piperidine-1-carboxylic acid tert-butyl ester (2.7g, 8.8mmol) in methanol (30 mL) was added 4N hydrochloric

acid in ethyl acetate (20 mL) at room temperature. After stirring for 1h, the resulting suspension was concentrated in vacuo. The residue was partitioned between chloroform and 1N sodium hydroxide. The aqueous layer was extracted with chloroform. The combined organic layer was washed with brine, dried over magnesium sulfate, and concentrated in vacuo. The residue was purified by silica gel chromatography eluting 10-20% methanol in chloroform to furnish 4-(2-methoxyphenylamino)-piperidine (1.8 g, 8.7 mmol, 99%) as white crystals.

To a solution of 4-(2-methoxyphenylamino)-piperidine (0.8 g, 3.87 mmol) and triethylamine (1.3 g, 12.8 mmol) in tetrahydrofuran (20 mL) was added 2-chloro-3-methyl-6-(pyridin-4-yl)-3H-pyrimidin-4-one (0.8 g, 3.61 mmol) portionwise. After stirring for 12 h, the resulting suspension was partitioned between chloroform and 1N sodium hydroxide. The aqueous layer was extracted with chloroform. The combined organic layer was washed with brine, dried over magnesium sulfate, and concentrated *in vacuo*. The residue was purified by silica gel chromatography eluting 5-10% methanol in chloroform to furnish 2-(4-(2-methoxyphenylamino)-piperidin-1-yl)-3-methyl-6-(pyridin-4-yl)-3H-pyrimidin-4-one (1.2 g, 3.07 mmol, 85%) as white crystals.

Example 19: Synthesis of 3-Methyl-2-(3-(4-(4-methylpiperazin-1-yl)-phenyl)-piperidin-1-yl)-6-(pyridin-4-yl)-3H-pyrimidin-4-one (No. XB278)

A solution of (4-bromo-phenyl)-acetic acid ethyl ester (2.31 g, 9.50 mmol) in dimethylsulfoxide (6 mL) was added to the suspension of sodium hydride (407 mg, 60% in oil, 10.17 mmol) and stirred 3 min. A solution of (3-bromo-propyl)-carbamic acid tert-butyl ester (2.03 g, 8.52 mmol) in dimethylsulfoxide (6 mL) was added to the solution and stirred at 50 °C for 30 min. The resulting solution was partitioned between ethyl acetate and saturated aqueous ammonium chloride. The aqueous layer was extracted with ethyl acetate. The combined organic layer was washed with water and brine, dried by passing through Celite column, and concentrated in

vacuo. The residue was purified by silica gel chromatography eluting ethyl acetate / hexane (4/1 to 3/1, v/v) to afford 3-(4-Bromo-phenyl)-6-tert-butoxycarbonylamino-hexanoic acid ethyl ester (2.43 g. 74%).

To a solution of 3-(4-Bromo-phenyl)-6-tert-butozycarbonylamino-hexanoic acid ethyl ester (2.43 g, 6.32 mmol) in ethyl acetate (3 mL) was added 4 N hydrogen chloride in ethyl acetate (6 mL) at room temperature. Removal of the solvent in vacuo after stirring for 30 min afforded 6-Amino-3-(4-bromo-phenyl)-hexanoic acid ethyl ester hydrochloride that was used in the next step without further purification.

A solution of 6-amino-3-(4-bromo-phenyl)-hexanoic acid ethyl ester hydrochloride, potassium carbonate (1039 mg, 7.52 mmol) in ethanol (50 ml) was refluxed for 20 hr. Solvent was removed in vacuo after addition of dilute hydrochloric acid and water was added to the residue. Filtration, wash with water and dryness afforded 3-(4-Bromo-phenyl)-piperidin-2-one (1387 mg, 86%, 2 steps).

To an ice-cooled solution of 3-(4-bromo-phenyl)-piperidin-2-one (37.97 g, 149 mmol) in tetrahydrofuran (250 ml) was added borane-tetrahydrofuran complex (335 ml, 1.0 M solution in THF, 335 mmol). The solution was stirred overnight at room temperature, and then refluxed 1.5 hr after addition of 10% aqueous hydrochloric acid. Solvents was removed in vacuo, and the residue was partitioned between dichloromethane and 1N sodium hydroxide. The aqueous layer was extracted with dichlorometane. The combined organic layer was washed with water and brine, dried over sodium sulfate, and concentrated in vacuo. The residue was dissolved in water (100 mL) and concentrated hydrochloric acid (100 mL) and refluxed for 3 hr. Sodium hydroxide was added to the solution and the resulting solution was extracted with dichlorometane. The organic layer was washed with water and brine, dried over sodium sulfate Concentration in vacuo afforded 3-(4-bromo-phenyl)-piperidine (32 18 g, 90%).

To a suspension of 3-(4-bromophenyl)-piperidine (25.2 g, 105 mmol), and

triethylamine (13 g, 128 mmol) in tetrahydrofuran (250 mL) was added di-tert-butyl-dicarbonate (25.2 g, 105 mmol) at room temperature. After stirring for 1 h, the resulting suspension was partitioned between ethyl acetate and 1N sodium hydroxide. The aqueous layer was extracted with ethyl acetate. The combined organic layer was washed with brine, dried over magnesium sulfate, and concentrated in vacuo. The residue was washed by hexane to furnish 3-(4-bromophenyl)- piperidine-1-carboxylic acid tert-butyl ester (35.7 g, 105 mmol, 100%) as white crystals.

To a suspension of 3-(4-bromophenyl)-piperidine-1-carboxylic acid tert-butyl ester (3.0 g, 8.8 mmol), palladium acetate (80 mg, 0.36 mmol), 2-(di-t-butyl phosphino)biphenyl (210 mg, 0.70 mmol), and sodium t-butoxide (1.2 g, 125 mmol) in toluene (30 mL) was added N-methylpiperazine (1.3 g, 13.0 mmol) at room temperature. After heating at 90 °C for 5 h, the resulting suspension was passed through a Celite column. The filtrate was concentrated under reduced pressure, and the residue was purified by silica gel chromatography eluting 5-25% of ethyl acetate in hexane to afford 3-(4-(4-methylpiperazin-1-yl)-phenyl)-piperidine-1-carboxylic acid tert-butyl ester (2.0 g, 5.56 mmol, 63%) as white crystals.

To a solution of 3-(4-(4-methylpiperazin-1-yl)-phenyl)-piperidine1-carboxylic acid tert-butyl ester (2.0 g, 5.56 mmol) in methanol (20 mL) was added
4N hydrochloric acid in ethyl acetate (20 mL) at room temperature. After stirring
for 1h, the resulting suspension was concentrated *in vacuo*. The residue was washed
with ethyl acetate to furnish 3-(4-(4-methylpiperazin-1-yl)-phenyl)-piperidine
trihydrochloride (1.84 g, 4.99 mmol, 90%) as white crystals.

To a solution of 3-(4-(4-methylpiperazin-1-yl)-phenyl)-piperidine trihydrochloride salt (0.4 g, 1.08 mmol) and triethylamine (0.6 g, 5.93 mmol) in tetrahydrofuran (10 mL) was added 2-chloro-3-methyl-6-(pyridin-4-yl)-3H-pyrimidin-4-one (0.22 g, 0.99 mmol) portionwise. After stirring for 12 h, the

resulting suspension was partitioned between chloroform and 1N sodium hydroxide. The aqueous layer was extracted with chloroform. The combined organic layer was washed with brine, dried over magnesium sulfate, and concentrated in vacuo. The residue was purified by silica gel chromatography eluting 5-10% methanol in chloroform to furnish 3-methyl-2-(3-(4-(4-methylpiperazin-1-yl)-phenyl)-piperidin-1-yl)-6-(piridin-4-yl)-3H-pyrimidin-4-one (0.31 g, 0.70 mmol, 71%) as white crystals.

Example 20: Synthesis of 2-(3-(4-cyclohexylaminophenyl)-piperidin-1-yl)-3-methyl-6-(pyridin-4-yl)-3H-pyrimidin-4-one (No. XB301)

To a suspension of 3-(4-bromophenyl)-piperidine-1-carboxylic acid tert-butyl ester (8.0 g, 23.5 mmol), palladium acetate (210 mg, 0.94 mmol), 2-(di-t-butyl phosphino)biphenyl (560 mg, 1.88 mmol), and sodium t-butoxide (3.2 g, 33.3 mmol) in toluene (80 mL) was added cyclohexylamine (2.8 g, 28.2 mmol) at room temperature. After heating at 90 °C for 5 h, the resulting suspension was passed through a Celite column. The filtrate was concentrated under reduced pressure, and the residue was purified by silica gel chromatography eluting 5-25% of ethyl acetate in hexane to afford 3-(4-cyclohexylaminophenyl)-piperidine-1-carboxylic acid tert-butyl ester (6.74 g, 18.8 mmol, 80%) as white crystals.

To a solution of 3-(4-cyclohexylaminophenyl)-piperidine-1-carboxylic acid tert-butyl ester (6.74 g, 18.8 mmol) in methanol (50 mL) was added 4N hydrochloric acid in ethyl acetate (40 mL) at room temperature. After stirring for 1 h, the resulting suspension was concentrated *in vacuo*. The residue was washed with ethyl acetate to furnish 3-(4-cyclohexylaminophenyl)-piperidine dihydrochloride (5.84.g, 17.6 mmol, 94%) as white crystals.

To a solution of 3-(4-cyclohexylaminophenyl)-piperidine dihydrochloride salt (1.0 g, 3.02 mmol) and triethylamine (1.5 g, 14.8 mmol) in tetrahydrofuran (20

mL) was added 2-chloro-3-methyl-6-(pyridin-4-yl)-3H-pyrimidin-4-one (0.64 g, 2.89 mmol) portionwise. After stirring for 12 h, the resulting suspension was partitioned between chloroform and 1N sodium hydroxide. The aqueous layer was extracted with chloroform. The combined organic layer was washed with brine, dried over magnesium sulfate, and concentrated in vacuo. The residue was purified by silica gel chromatography eluting 5-10% methanol in chloroform to furnish 2-(3-(4-cyclohexylaminophenyl)-piperidin-1-yl)-3-methyl-6-(pyridin-4-yl)-3H-pyrimidin-4-one (1.23 g, 2.77 mmol, 96%) as white crystals.

Example 21: Synthesis of 2-(4-(4-Bromo-phenyl)-piperidin-1-yl)-3-methyl-6-pyridin-4-yl-3H-pyrimidin-4-one (No. XB267)

Mixture of 4-bromobenzaldehyde (22.40 g, 121.1 mmol), dimethyl malonate(19.37 g, 146.6 mmol), cat. acetic acid and cat. piperidine in toluene (100 ml) were refluxed for 6 h with azeotropically removal of water. Resulting solution was partitioned between ethyl acetate and water. The aqueous layer was extracted with ethyl acetate. The combined organic layer was washed with water, saturated aqueous sodium bicarbonate and brine, dried over sodium sulfate. Concentration of the organic solvent in vacuo afforded 2-(4-bromo-benzylidene)-malonic acid diethyl ester as an oil that was used in the next step without further purification.

To an ice-cooled solution of dimethyl malonate (19.35 g, 146.5 mmol) and sodium methoxide (30.12g in 28% methanol solution, 156.1 mmol) in methanol (300 ml) was added 2-(4-bromo-benzylidene)-malonic acid diethyl ester in methanol (50 ml). After stirring for 3 h, the solvent was removed in vacuo and the residue was partitioned between ethyl acetate and dilute hydrochloric acid. The aqueous layer was extracted with ethyl acetate. The combined organic layer was washed with brine, dried over sodium sulfate. Concentration of the organic solvent in vacuo afforded 3-(4-bromo-phenyl)-2,4-bis-ethoxycarbonyl-pentanedioic acid diethyl ester as an oil that was used in the next step without further purification.

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A solution of 3-(4-bromo-phenyl)-2,4-bis-ethoxycarbonyl-pentanedioic acid diethyl ester in concentrated hydrochloric acid (100 ml) and acetic acid (100 ml) was refluxed for 8 h. Removal of the solvent in vacuo and recrystallization of the residue from acetonitrile yielded 3-(4-bromo-phenyl)-pentanedioic acid (22.84 g in 1st crop, 65%, 3.84 g in 2nd crop, 11.05% from 4-bromobenzaldehyde).

A solution 3-(4-bromo-phenyl)-pentanedioic acid (26.68 g, 92.9 mmol) in acetic anhydride (100 ml) was refluxed for 1.5 hr. Removal of the solvent in vacuo, and remaining solvent were azeotropically removed using toluene.

Teterahydrofuran (200 ml) and aqueous ammonia (28%, 50 ml) was added to the residue and stirred overnight. After removal of the solvent in vacuo, acetic anhydride (100 ml) was added and refluxed for 4 hr. After removal of the solvent in vacuo and succeeding azeotropic distillation with toluene, residue was partitioned between ethyl ether and water. Filtration of the suspension and dryness afforded the 4-(4-bromo-phenyl)-piperidine-2,6-dione (12.53 g, 50%) as a solid.

To an ice-cooled solution of lithium tetrahydroborate (4.13 g, 189.6 mmol) in tetrahydrofuran (200 ml) was added chlorotrimethylsilane (41.52 g, 382.2 mmol). After stirring 5 min, a solution of 4-(4-bromo-phenyl)-piperidine-2,6-dione (12.53 g, 46.7 mmol) was added and stirred overnight. The resulting solution was concentrated in vacuo after addition of 10% aqueous hydrochloric acid. The residue was dissolved in aqueous sodium hydroxide solution and methanol, and a solution of di-tert-butyl dicarbonate (11.45 g, 52.5 mmol) in methanol (10 ml) was added and stirred for 6 h. After removal of the solvent in vacuo, concentrated hydrochloric acid wad added and stirred overnight. After extraction of the solution by diethyl ether, sodium hydroxide was added to the aqueous layer to turn basic, and extracted with dichloromethane. The organic layer was washed with brine, dried over sodium sulfate. The residue of the diethyl ether and dichloromethane after removal of the solvents under reduced pressure was mixed and dissolved in tetrahydrofuran (200 ml). A solution of di-tert-butyl dicarbonate (7.45 g, 34.1 mmol) in tetrahydrofuran

(10 ml) and triethylamine were added and stirred overnight. The resulting solution was concentrated in vacuo. Purification of the residue by silica gel chromatography eluting hexane / ethyl acetate (5/1, v/v) furnished 4-(4-bromo-phenyl)-piperidine-1-carbozylic acid tert-butyl ester (14.4g, 91%) as a solid.

To a solution of furnished 4-(4-bromophenyl)-piperidine-1-carboxylic acid tert-butyl ester (1114 mg, 3.27 mmol) in ethyl acetate (1 mL) was added 4 N hydrogen chloride in ethyl acetate (2 mL) at room temperature. After stirring for 5 h, solvent was removed in vacuo, and the resulting solid was washed with ethyl acetate and dried in vacuo to afford (4-(4-bromophenyl)-piperidine hydrochloride (884 mg, 98%) as a white solid.

A solution of (4-(4-bromophenyl)-piperidine hydrochloride (279 mg, 1.01 mmol) and triethylamine (554 mg, 5.47 mmol), 2-chloro-3-methyl-6- (pyridin-4-yl)-3H-pyrimidin-4-one (206 mg, 0.929 mmol) in tetrahydrofuran (20 mL) was stirred for 3 hr. The resulting solution was diluted with tetrahydrofuran and filtrated.

After removal of the solvents under reduced pressure and the purification of the resulting residue by CHEM ELUT CE1010 (manufactured by VARIAN) eluting dichloromethane / ethanol (15/1, v/v) and wash with ethyl acetate afforded 2-(4-(4-Bromophenyl)-piperidin-1-yl)-3-methyl-6-pyridin-4-yl-3H-pyrimidin-4-one (368 mg, 93%) as a solid.

Example 22: Synthesis of 3-Methyl-6-pyridin-4-yl-2-[4-(4-pyrrolidin-1-yl-phenyl)-piperidin-1-yl]-3H-pyrimidin-4-one (No. XB269)

A suspension of 4-(4-Bromophenyl)-piperidine-1-carboxylic acid tert-butyl ester (1.97 g, 5.79 mmol), palladium acetate (54 mg, 0.24 mmol), 2-(di-t-butylphosphino)biphenyl (154 mg, 0.52 mmol), and sodium t-butoxide (846 mg, 8.80 mmol), pyrrolidine (587 mg, 8.25 mmol) in toluene (80 mL) was heated at 90 °C for 3 h under nitrogen atmosphere. The resulting suspension was passed through a

Celite column and partitioned between ethyl acetate and water. The aqueous layer was extracted with ethyl acetate. The combined organic layer was washed with brine, dried over sodium sulfate, and concentrated in vacuo. Purification of the residue by HPLC afforded 4-(4-pyrrolidin-1-yl-phenyl)-piperidine-1-carboxylic acid tert-butyl ester as a solid that was used in the next step without further purification.

To a solution of furnished 4-(4-Pyrrolidin-1-yl-phenyl)-piperidine-1-carboxylic acid tert-butyl ester in ethyl acetate (5 mL) was added 4 N hydrogen chloride in ethyl acetate (10 mL) at room temperature. After stirring for 3 h, solvent was removed in vacuo, and the resulting solid was purified by HPLC. Sodium hydroxide was added to the resulting fractions and the aqueous layer was extracted by dichloromethane. Organic layer was washed with brine, and passed through Cerite. Removal of the solvent under reduced pressure afforded 4-(4-pyrrolidin-1-yl-phenyl)-piperidine (1.01 g, 76%).

A solution of 4-(4-pyrrolidin-1-yl-phenyl)-piperidine (215 mg, 0.933 mmol) and triethylamine (391 mg, 3.86 mmol), 2-chloro-3-methyl-6-(pyridin-4-yl)-3H-pyrimidin-4-one (187 mg, 0.844 mmol) in tetrahydrofuran (10 mL) was refluxed for 5 hr. The resulting solution was diluted with tetrahydrofuran and filtrated. After removal of the solvents under reduced pressure and the purification of the resulting residue by CHEM ELUT CE1010 (manufactured by VARIAN) eluting dichloromethane / ethanol (15/1, v/v) and wash with ethyl acetate afforded 3-methyl-6-pyridin-4-yl-2-(4-(4-pyrrolidin-1-yl-phenyl)-piperidin-1-yl)-3H-pyrimidin-4-one (284 mg, 81%) as a solid.

Example 23: Synthesis of 2-(4-(6-Fluorobenzo[b]thiophen-3-yl)piperidin-1-yl)-1-methyl-1H-[4,4']bipyrimidinyl-6-one (No. YB253)

The key intermediate 4-(6-fluorobenzo[b]thiophen-3-yl)piperidine hydrochloride of 2-[4-(6-fluorobenzo[b]thiophen-3-yl)piperidin-1-yl]-1-methyl- 1H-

[4,4']bipyrimidinyl-6-one was synthesized from 1-acetylpipridine-4-carboxylic acid which was prepared according to the method reported by Watanabe (J. Heterocyclic Chem., 30, 445 (1993)).

To a solution of 1-benzoylpiperidine-4-carboxylic acid (66 g, 235 mmol) in dichloromethane (160 mL) was added thionyl chloride (26 mL, 388 mmol). After stirring at 60°C for 1 h, the mixture was added portionwise to a stirred suspension of 2,4-difluorobenzene (45 g, 397 mmol) and anhydrous aluminum chloride (88 g, 666 mmol) in dichloromethane (245 mL), and the reaction mixture was refluxed for 5 h. The reaction mixture was poured into a mixture of ice and concentrated hydrochloric acid and extracted with chloroform. The organic layer was dried over sodium sulfate and the solvent was evaporated under reduced pressure.

Recrystallization from hexane gave 1-benzoyl-4-(2,4-difluorobenzoyl)piperidine (46 g, 50%) as colorless crystals.

A solution of 1-benzoyl-4-(2,4-difluorobenzoyl)piperidine (40 g, 120 mmol), methyl thioglycolate (12 mL, 130 mmol) in dimethylformamide (500 mL) was stirred at room temperatute for 12h. The solvent was evaporated off in vacuo and the residue treated with water and ethyl acetate. The organic layer was dried over sodium sulfate and the solvent was evaporated under reduced pressure. The obtained residue was purified by silica gel column chromatography eluting hexane/ethyl acetate to give 3-(1-benzoylpiperidin-4-yl)-6-fluorobenzo[b]thiophene-2 -carboxylic acid (11.8 g, 26%) as an oil.

3-(1-Benzoylpiperidin-4-yl)-6-fluorobenzo[b]thiophene-2-carboxylic acid (10 g, 26 mmol) was suspended in quinoline (100 mL) and cupper powder (0.5g) was added. After stirring at 200°C for 1 h, the mixture was cooled to room temperature and partitioned between ethyl acetate and water. The organic layer was dried over magnesium sulfate and evaporated. The obtained residue was purified by silica gel column chromatography eluting hexane/ ethyl acetate to give (4-(6-fluorobenzo[b]thiophen-3-yl)piperidin-1-yl)phenylmethanone (5.0 g, 48%) as yellow

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crystals.

A solution of (4-(6-fluorobenzo[b]thiophen-3-yl)piperidin-1-yl) phenylmethanone (6.5 g, 19 mmol) in acetic acid (100 mL) and concentrated hydrochloric acid (100 mL) was stirred at 90°C for 10 h. To a solution of reaction mixture was added ethyl acetate. The precipitated crystals were collected by filtration and washed with ethyl acetate to give 4-(6-fluorobenzo[b]thiophen-3-yl)piperidine hydrochloride (4.8 g, 89%) as yellow crystals.

To a solution of 4-(6-fluorobenzo[b]thiophen-3-yl)piperidine hydrochloride (200 mg, 0.74 mmol) and 2-chloro-1-methyl-1H[4,4']bipyrimidinyl-6-one (160 mg, 0.70 mmol) in tetrahydrofuran (10 mL) was added triethylamine (212 mg, 2.1 mmol). The mixture was stirred at 90°C for 6 h. The solvent was evaporated off in vacuo and the residue was treated with water and chloroform. The organic layer was dried over sodium sulfate and the solvent was evaporated under reduced pressure. Recrystallization from ethyl acetate gave 2-[4-(6-fluorobenzo[b]thiophen-3-yl)piperidin-1-yl]-1-methyl-1H[4,4']bipyrimidinyl-6-one (220 mg, 96%) as colorless crystals.

Example 24: Synthesis of 2-(4-(Biphenyl-2-yl)piperazin-1-yl)-1-methyl-1H-[4,4']bipyrimidinyl-6-one (No. YA1552)

To a solution of 1-biphenyl-2-yl-piperazine dihydrochloride (311 mg, 1.0 mmol) and 2-chloro-1-mcthyl-1*H*-[4,4']bipyrimidinyl-6-one (202 mg, 0.91 mmol) in tetrahydrofuran (20 mL) was added triethylamine (404 mg, 4.0 mmol). The mixture was stirred at 90°C for 4 h. The solvent was evaporated off *in vacuo* and the residue treated with water and chloroform. The organic layer was dried over sodium sulfate and the solvent was evaporated under reduced pressure. Recrystallization from ethyl acetate gave 2-[4-(biphenyl-2-yl)piperazin-1-yl]-

1-methyl-1H-[4,4']bipyrimidinyl-6-one (250 mg, 65%) as colorless crystals.

The compounds in the following table were prepared in the same manner as the methods described above. The compound numbers in the following table correspond to those shown in the above-described table of preferred compounds.

Table 5

NO	NMR	Exact-MS
XA19	2.51-2.89(4H, m), 3.31-3.34(4H, m), 3.39(3H,s), 3.56(2H, s), 6.80(1H, s), 7.25-7.31(1H, m), 7.31-7.36(4H, m), 7.98(2H, dd, J=1.5, 4.8 Hz), 8.68(2H, dd,	362
XA25	3.32-3.34(4H, m), 3.46(3H, s), 3.48-3.51(4H, m), 6.80-6.85(1H, m), 6.84(1H, s), 7.01(2H, d, J=8.0 Hz), 7.23-7.28(2H, m), 8.00(2H, dd, J=1.3, 4.6 Hz), 8.70(2H, dd, J=1.5, 4.5 Hz)(DMSO-d6)	348
XA156	3.47(3H,s), 3.51-3.60(4H, m), 3.62-3.71(4H, m), 6.85(1H, s), 7.41-7.49(1H, m), 7.56-7.61(1H, m), 8.02(2H, dd, J=1.5, 4.5 Hz), 8.09(1H, d, J=8.1 Hz), 8.16(1H, d, J=8.1 Hz), 8.70(2H, dd, J=1.5, 4.8 Hz)(DMSO-d6)	405
XA289	1.11-1.28(3H, m), 2.98-3.16(1H, m), 3.28-3.41(1H, m), 3.39(3H, s), 3.54-3.80(3H, m), 3.88-3.99(1H, m), 4.08-4.26(4H, m), 4.32-4.45(1H, m), 7.13(1H, s), 7.37-7.53(5H, m), 8.45(2H, d, J=5.8 Hz), 8.96(2H, d, J=6.0 Hz) (DMSO-d6) <sup>5</sup>	134
XA361	3.44(3H,s), 3.54-3.95(6H,m), 4.64(1H,brs), 7.11(1H,s), 7.42-7.51(3H,m), 7.74(2H,d,J=6.6Hz), 8.46(2H,d,J=5.7Hz), 8.94(2H,d,J=5.7Hz), 9.98(1H,brs), 10.46(1H,brs) (DMSO-d6).	348
XA364	(DMSO-d6): 3.41-3.76(4H, m), 3.48(3H, s), 3.89-4.01(2H, m), 4.96(1H, m), 7.16(1H, s), 7.33-7.58(3H, m), 8.11(1H, dd, J=7.2, 7.2Hz), 8.52(2H, d, J=6.6Hz), 8.97(2H, d, J=6.6Hz), 10.04(1H, m), 10.66(1H, m).	366
XA365	J=5.7Hz. 2H)(CDCl3)	366
XA366	2.27-2.85(1H, m), 2.94-3.08(3H, m), 3.43(3H,s), 3.59-3.67(2H, m), 3.94-3.97(1H	366

- 1	3.35-3.50(2H, m), 3.46(3H, s), 3.58-3.75(2Hm), 3.86-3.97(2H, m), 4.69(4H)	
1.		1,
XA36	· · · · · · · · · · · · · · · · · · ·	.
(HC	リート・・04-1・0/(ZH m) 8 48/クロ a	366
	1 0.00(41), 4, 3-0.3 HZ) 9 55-10 00/41,	
	1 10.04 10.70(10, 10)(11, 10)	
	(CDCI3):2.81(1H.dd.l=10.4.42.5U=)	
ĺ	19.10-3.4U(3H.M) 3.50-3.80/EU	1
XA36	0   4.00(10,00,J=2.5 10 1Hz)	
Artou	7.20-7.45(3H,m), 7.74(1H,dd,J=1.9,7.6Hz),	382
	' · · · · ·   (	002
	8.70(2H.dd.J=1 4 4 6H=)	
	(CDCI3):3.01(1H dd J=10.4.42 51-)	
	19.10-3.30(3H m) 3.50-2.90/Ei	
XA37	4.04(1H,dd,J=2.7,10.8Hz), 6.67(1H,s),	1
AASA	7.20-7.45(4H,m), 7.50(1H,s),	382
	7.80(2H,dd,J=1.5,4.8Hz),	302
	8./1(2H.dd.J=1.5.5.1Hz)	
	3.44(3H,s), 3.44-3.71(7H,m), 3.90(2H,m),	
1	1 7.00(111,D(S) / 17/1H 6)	
XA371	7.55(2H,d,J=8.4Hz), 7.78(2H,d,J=8.4Hz),	1
	8.50(2H,d,J=5.7Hz), 8.95(2H,d,J=5.7Hz),	382
	10.13(1H,brs), 10.60(1H,brs)(DMSO-d6)	
	(11, bis)(DMSO-d6)	
	(DMCO dC) a 45/00	
XA376	(DMSO-d6):3.45(3H,s), 3.50-4.20(6H,m),	ĺ
	1 ''YY ( '' ', DI S), / 1/(1H e) 7 79//(1 - \	426
	- 1 9・44(4月,U.J=b.bHz) 8 Q//2日 a 15c cc 、	
	3.37-3.93(6H, m), 3.48(3H, s), 3.87(3H, s),	
	1 1100 TiOU(111, 111) / 11/12/ 2/2/21 2.1	
XA391	7.17(1H, d, J=8.5 Hz), 7.45-7.51(1H, m),	0~-
	1 1 1 2 1 O O O O O O O O O O O O O O O	378
	8.83-8.91(2H, m), 9.66-9.77(1H, m),	
	9.91-10.10(1H, m)(DMSO)	ĺ
	(DMSO-d6) :3.30-3.58(5H,m),	
	3.58-3.80(2H,m), 3.81(3H,s), 3.85-4.00(2H,m), 4.50	
XA392	3.85-4.00(2H,m), 4.58-4.75(1H,m),	1
	7.03(1H,dd,J=1.8,8.1Hz), 7.11(1H, s),	378
	7.26(1H,d,J=7.8Hz), 7.35-7.50(2H,m),	
	1 9.7 1(21), U, J ~ 3. ( H7) 8 42(24) 4 1-6 611-1	1
		1
	1 0.40-0.43(3H,m), 3.51-3.63(2H m)	
XA393	3.78(3H,s), 3.93(2H,m),4.58(1H,br),	- 1
77333	7.02-7.06(3H,m), 7.64(2H,d,J=8.7Hz),	378
	8.34(2H,d,J=6.3Hz), 8.88(2H,d,J=8.7Hz),	
	0.7 0(117,b1), 10.10(1H,br)(DMSO-d6)	1
	1.30(3H, t, J=6.9 Hz) 3 38-3 54(4H == )	
1	9.45(01) 91. 3.00-3 (9(1H m) 2 04 2 00/01 1	ĺ
f	· ''ハブ・'' 4-74,10(2日, M) 4 84/1日 ↓ 1_40 たい 、 「	1
X 4 3 0 c	1.04-1.16(2H m) 7.15(4H a) 7.00 - 3.5 (12),	000
XA396	( ^ - \ - \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \	300
XA396	7.04-7.16(2H, m), 7.15(1H, s), 7.39-7.45(1H, m), 7.89(1H, d, J=6.6 Hz), 8.49(2H, d, J=6.3	392
XA396	m), 7.89(1H, d, J=6.6 Hz), 8.49(2H, d, J=6.3 Hz), 8.95(2H, d, J=6.6 Hz), 9.92(1H, d, J=9.3 Hz), 10.51-10.64(1H, m)(DMSO-d6)	392

	(DMSO-d6):3.64(2H,m), 3.94(2H,t,J=11.4Hz), 4.02-4.40(5H,m),	
. 1	4.78(1H,t,J=10.4Hz), 7.06(1H,s),	
VA 400	7.98(2H,d,J=8.3Hz), 8.01(2H,d,J=8.3Hz),	373
XA406		3/3
ì	8.23(1H,dd,J=1.2,5.1Hz),	
	9.02(1H,d,J=5.1Hz), 9.31(1H,d,J=1.2Hz),	
	10.03(1H,d,J=8.7Hz), 10.57(1H,s).	
	(CDCl3):2.00(4H,m),	
	3.03(1H,dd,J=10.8,12.0Hz), 3.21(3H,m),	
V 0 400	3.29(4H,m), 3.57(3H,s), 3.62(2H,m),	417
XA433	3.90(1H,dd,J=2.7,10.8Hz),	417
	6.57(2H,d,J=8.7Hz), 6.66(1H,s), 7.29(2H,d,J=8.7Hz), 7.80(2H,d,J=4.8Hz),	
	8.70(2H,d,J=4.8Hz). (CDCl3):3.02(1H,dd,J=10.7,12.4Hz),	
	3.18(7H,m), 3.55(3H,s), 3.62(2H,m),	
. 1	3.87(4H,m), 3.96(1H,dd,J=2.5,11.1Hz),	
XA439	6.66(1H,S), 6.93(2H,d,J=8.7Hz),	434
	7.36(2H,d,J=8.7Hz), 7.79(2H,d,J=4.5Hz),	
	8.70(2H,d,J=4.5Hz). (CDCl3):2.36(3H,s), 2.59(4H,m),	
	3.02(1H,t,J=11.6Hz), 3.22(7H,m),	
	3.55(3H,s), 3.63(2H,m),	
XA442	3.94(1H,d,J=10.5Hz), 6.66(1H,s),	446
	6.93(2H,d,J=8.7Hz), 7.34(2H,d,J=8.7Hz),	
	7.80(2H,d,J=4.5Hz), 8.70(2H,d,J=4.5Hz).	
<del> </del>	3.41-3.54(3H, m), 3.48(3H, s), 3.69-3.73(1H,	
{	m), 3.78(3H, s), 3.82(3H, s), 3.86-3.93(2H,	
	m), 4.89(1H, t, J=10.5 Hz), 6.97-7.01(1H, m),	
\ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \	7.08(1H, d, J=9.0 Hz), 7.15(1H, s), 7.66(1H,	400
XA463	d, J=3.0 Hz), 8.51(2H, d, J=6.3 Hz), 8.96(2H,	408
	d, J=6.3 Hz), 9.93(1H, d, J=9.0 Hz),	*
}	10.60-10.73(1H, m)(DMSO-d6)	
		<u></u>
ļ	(DMSO-d6): 3.45(3H, s), 3.38-3.81(6H, m),	-
	3.88(6H, s), 5.06(1H, m), 6.82(2H, d,	
XA464	J=8.7Hz), 7.04(1H, s), 7.44(1H, t, J=8.4Hz),	408
	8.20(1H, m), 8.30(2H, d, J=6.3Hz), 8.87(2H,	
	d, J=6.3Hz), 10.07(1H, m).	
	3.40-3.50(4H, m), 3.47(3H, s), 3.83-3.94(2H,	
	m), 3.88(3H, s), 4.81-4.91(1H, m),	
XA468	6.92-6.99(1H, m), 7.07-7.10(1H, m),	396
77400	7.12(1H, s), 7.79-7.91(1H, m), 8.30-8.40(2H,	
1	m), 8.85-8.92(2H, m), 9.70-9.79(1H, m),	
	10.02-10.23(1H, m)(DMSO)	
	(DMSO-d6) :3.38-3.60(6H,m),	
	3.60-3.80(1H,m) 3.80-4.00(5H,m),	l
XA469/	4.80-4.97(1H,m), 6.85-7.00(1H,m),	25.5
XA470	7.09(1H,dd,J=2.4,11.4Hz), 7.13(1H,s),	396
"", ", "	7.95(1H,dd,J=6.9,8.7Hz),	
	8.46(2H,d,J=6.6Hz), 8.94(2H,d,J=6.3Hz),	
	9.80-10.00(1H,brd), 10.35-10.60(1H,brd).	
	3.36-4.00(6H, m), 3.46(3H, s), 3.94(3H, s),	
	4.94-5.02(1H, m), 6.96-7.01(1H, m),	1
XA472	7.05(1H, d, J=8.6 Hz), 7.14(1H, s),	396
	7.49-7.58(1H, m), 8.44-8.50(2H, m),	
	8.52-8.64(1H, m), 8.96(2H, d, J=6.6 Hz),	1
1	10.49-10.60(1H, m)(DMSO)	1

XA480	8.0 Hz), 7.50(1H, d, J=8.2 Hz), 7.82(2H, dd, J=1.5, 4.8 Hz), 8.71(2H, dd, J=1.8, 4.5 Hz)(CDCI3)	412
XA490 (2HCI)		380
XA501	(CDCl3):2.77(1H,dd,J=10.2,12.0Hz), 3.15-3.35(3H,m), 3.50-3.80(5H,m), 3.84(3H,s), 4.39(1H,d,J=7.8Hz), 6.67(1H,s), 6.78(1H,d,J=8.8Hz), 7.39(1H,dd,J=2.4,8.7Hz), 7.71(1H,d,J=2.3Hz), 7.82(2H,d,J=6.0Hz), 8.71(2H,d,J=6.0Hz).	456
XA510	(CDCl3): 1.98-2.05(4H, m), 2.85(1H, dd, J=12, 10.5Hz), 3.17-3.24(7H, m), 3.58(3H, s), 3.65-3.72(2H, m), 3.85(3H, s), 4.28(1H, dd, 10.5, 2.7Hz), 6.10(1H, d, J=2.1Hz), 6.18(1H, dd, J=8.7, 2.1Hz), 6.65(1H, s), 7.33(1H, d, J=8.4Hz), 7.83(2H, dd, J=4.5, 1.8Hz), 8.70(2H, dd, J=4.5, 1.5Hz).	447
XA511	(CDCI3):1.90-2.05(4H,m), 2.93(1H,t,J=12.0Hz), 3.15-3.40(7H,m), 3.59(3H,s), 3.65-3.85(5H,m), 4.11(1H,dd,J=2.1,10.2Hz), 6.49(1H,dd,J=3.0,9.0Hz), 6.66(1H,s), 7.83(2H,dd,J=1.8,4.5Hz), 8.70(2H,dd,J=1.5,4.5Hz).	447
XA516	(DMSO-d6):3.20-3.70(4H,m), 3.70(1H,m), 3.98(3H,s), 3.99(3H,s), 4.00(1H,m), 4.96(1H,d,J=10.2Hz), 7.01(1H,s), 7.03(2H,m), 8.26(2H,d,J=6.1Hz), 8.53(1H,s), 8.84(2H,d,J=6.1Hz), 10.25(1H,d,J=10.7Hz)	414
XA525	(DMSO-d6):3.30-3.50(2H,m), 3.48(3H,s), 3.55-3.78(2H,m), 3.78(3H,s), 3.96(2H,d,J=13.5Hz), 4.69(1H,t,J=10.4Hz), 7.06(1H,t,J=7.4Hz), 7.12(1H,s), 7.14(1H,d,J=7.4Hz), 7.31(1H,d,J=7.4Hz), 7.39(1H,t,J=7.4Hz), 7.59(2H,d,J=8.3Hz), 7.77(2H,d,J=8.3Hz), 8.43(2H,d,J=6.5Hz), 8.93(2H,d,J=6.5Hz), 9.89(1H,d,J=8.7Hz), 10.34(1H,s).	454
i	(DMSO-d6):3.40-4.10(9H,m), 3.81(3H,s), 4.69(1H,m), 7.05(1H,s), 7.05(2H,d,J=9.0Hz), 7.67(2H,d,J=9.0Hz), 7.75(4H,s), 8.27(2H,d,J=5.7Hz), 8.85(2H,d,J=5.7Hz), 9.75(1H,s), 10.04(1H,s).	454

XA536	(DMSO-d6):3.40-3.60(2H,m), 3.47(3H,s), 3.68(2H,m), 3.95(2H,m), 4.71(1H,t,J=9.9Hz), 7.16(1H,s), 7.33(2H,t,J=8.85Hz), 7.78(6H,m), 8.50(2H,d,J=6.3Hz), 8.97(2H,d,J=6.3Hz), 10.02(1H,s), 10.50(1H,s).	443
XA543	3.52(s, 3H), 3.57-4.10(m, 6H), 5.57(m, 1H), 7.02(s, 1H), 7.53-7.70(m, 2H), 8.06(d, J=7.2Hz, 2H), 8.21-8.34(m, 3H), 8.82(d, J=6.3Hz, 2H), 9.88-9.92(m, 1H), 10.58-10.61(m, 1H)(DMSO d6)	398
XA544	3.41-3.59(2H, m), 3.49(3H, s), 3.68-3.76(2H, m), 3.97-4.02(2H, m), 4.78-4.89(1H, m), 7.15(1H, s), 7.58-7.63(2H, m), 7.89-8.07(4H, m), 8.30(1H, s), 8.49(2H, d, J=6.3 Hz), 8.95(2H, d, J=6.3 Hz), 10.17(1H, d, J=8.4 Hz), 10.57-10.70(1H, m)(DMSO-d6)	398
XA619	(CDCI3): 2.98(1H, dd, J=12.6, 10.8Hz), 3.17-3.28(5H, m), 3.58(3H, s), 3.62(1H, m), 3.79(1H, m), 4.26(1H, dd, 10.5, 2.7Hz), 4.62(2H, m), 6.66(1H, s), 6.88(1H, t, J=7.5Hz), 7.16(1H, d, J=7.2Hz), 7.27(1H, m), 7.84(2H, d, J=6.0), 8.70(2H, dd, J=4.8, 1.2Hz).	390
XA626	3.33-3.41(4H, m), 3.42(3H, s), 3.47-3.87(4H, m), 6.84(1H, s), 7.44-7.49(5H, m), 7.99(2H, dd, J=1.5, 4.5 Hz), 8.69(2H, dd, J=1.4, 4.8 Hz)(DMSO-d6)	376
XA649	d,J=6.6Hz),8.95(2H, d,J=6.6Hz),12.15(1H, brs)(DMSO-d6)	362
XA756	(CDCl3):2.50-2.61(1H,m), 2.80-2.95(1H,m), 3.05-3.20(1H,m), 3.25-3.40(1H,m), 3.50-3.60(1H,m), 3.57(3H,s), 3.65-3.75(1H,m), 3.75-3.80(1H,m), 3.85(3H,s), 6.60-6.80(3H,m), 7.47(1H,dd,J=7.2,8.4Hz), 7.82(2H,dd,J=1.5,4.5Hz), 8.71(2H,dd,J=1.5,4.5Hz).	410
XA757 XA758	(DMSO-d6):2.54(3H,s), 3.40-3.79(3H,m), 3.46(3H,s), 3.80-4.10(6H,m), 4.83-5.10(1H,m), 6.90-7.05(1H,m), 7.08(1H,s), 7.13(1H,dd,J=2.7,11.4Hz), 8.00-8.25(1H,brd), 8.37(2H,d,J=6.3Hz), 8.91(2H,d,J=6.6Hz), 11.80-12.20(1H,brd).	410
XA83	2.55(s, 3H), 3.51(s, 3H), 3.67-3.82(m, 4H), 4.04-4.08(m, 2H), 5.64(m, 1H), 7.05(s, 1H), 7.59-7.72(m, 3H), 8.06-8.11(m, 2H), 8.35(d,	412

	(DMCO do) o de	
	(DMSO-d6):3.15-3.35(1H,m),	
XA	3.38-3.60(4H,m), 3.75-4.15(8H,m), 4.18-4.25(1H,m), 4.90-5.20(1H,m),	
1016		486
	8.50-8.70(3H,m), 9.00(2H,d,J=6.3Hz).	
	(CDCl3):1.80-2.42(3H, m), 3.08-3.39(4H, m), 3.40-3.62(4H, m), 2.08-3.39(4H, m)	
XA	4.63-4.90(0.6H, m), 5.40-5.62(0.7H, m), 5.80-6.00(0.1H, m), 6.50-6.7H, m),	İ
1276	5.80-6.00(0.1H, m), 6.52-6.78(3H, m),	438
	6.90-7.2(1H, m), 7.68-7.90(2H, m),	430
	8.64-8.80(2H,m)	
	1.48(3H, s), 1.57(3H, s), 3.50(2H, s)	
	10.01-0.00(4H, M) 3 79-2 76/4H	
ļ	1 0.00(01), 8), 3.99(1H H I=12 A II=1	
XA	1 3.13-3.23(1H, M) 7 NR <sub>2</sub> 7 43/3L1	
1649	11.10(10, U, J=8.6 Hz) 7 A6 7 40/411 \	406
	1 9.07 0.7 H ID MI X 3 / Q //C/AH \	,,,,
	1 0.00-0.3/(2n, m) 9 40-0 60/4L1\	
	1 9.00 10.111111 11111111111111111111111	
	3.01 (1H, dd, $J = 10.8$ 12.0 Hz) 2.40.0 00	
XA	1 (Y') 107, U.JUMO (5 15 H m) 4 64 (41)	
1973		
1973		382
	Hz), 8.71 (2H, dd, J = 1.5, 5.1 Hz) (CDCl3)	
	2.80 (1H, dd, J = 10.3, 12.2 Hz), 3.15-3.30	
	(3H. m) 3 50-3 80 (5H = ) 4.41 (3H. m)	
XA	(3H, m), 3.50-3.80 (5H, m), 4.44 (1H, dd, J = 2.6, 10.3 Hz), 6.67 (1H, s), 7.10-7.20 (1H, m), 7.25-7.40 (4H, m)	·
1974	m), 7.25-7.40 (1H, m), 7.58 (1H, dd, J = 1.0,	1
1974	8.1 Hz), 7.73 (1H, dd, J = 1.6, 7.8 Hz), 7.81 (2H, dd, J = 1.6, 4.5 Hz), 7.81	426
	(2H, dd, J = 1.6, 4.5 Hz), 8.70 (2H, dd, J = 1.6, 4.5 Hz), (CDC)	
		1
	2.95-3.10 (1H m) 3.10.2.25 (01)	
XA		
1975		
.070	3.00 / · 10 (40, m), / 80 /2H dd 1 = 4 0 0 0	407
İ	0. TO 1011, 1111 3 45 13H 01 2 FO 0 00 (0)	
XA		i
4070	\~''9 9: 0=0.30(2): / /6 (2)( A 10 0)(	
1	\'``', ~' \'\ \'.\'\	382
1	s), 10.31 (1H, br s) (DMSO-d6)	
	3.40(3H, m). 3.46(3H, s), 3.62(1H, dd,	
	9. 10(011, 1111, 3.4h).3H et 9.69/411 i	
	J=12 0 13 2H=\ 2 70/4H	1
	9 14.0, 13.4HZ), 3 72(1H m), 2 00(4H, 1	
XΑ	J=15.5Hz), 4.68(1H, t, l=10.1Hz), 7.48(44)	
XA 1977	J=15.5Hz), 4.68(1H, t, J=10.1Hz), 7.18(1H, t), 7.58(2H, d, J=8.6Hz), 7.83(2H, d, J=8.6Hz), 7.83(2H, d, J=8.6Hz)	382
XA 1977	J=15.5Hz), 4.68(1H, t, J=10.1Hz), 7.18(1H, s), 7.58(2H, d, J=8.6Hz), 7.83(2H, d, J=8.6Hz), 0.04(2H, d, J=8.6Hz	382
XA 1977	J=12.0, 13.2Hz), 3.72(1H, m), 3.92(1H, t, J=15.5Hz), 4.68(1H, t, J=10.1Hz), 7.18(1H, s), 7.58(2H, d, J=8.6Hz), 7.83(2H, d, J=8.6Hz), 8.57(2H, d, J=6.6Hz), 9.01(2H, d, J=6.6Hz), 10.20(1H, d, J=7.8Hz), 10.76(4H, d, J=7.8Hz), 10.76(	382
XA 1977	J=12.0, 13.2Hz), 3.72(1H, m), 3.92(1H, t, J=15.5Hz), 4.68(1H, t, J=10.1Hz), 7.18(1H, s), 7.58(2H, d, J=8.6Hz), 7.83(2H, d, J=8.6Hz), 8.57(2H, d, J=6.6Hz), 9.01(2H, d, J=6.6Hz), 10.20(1H, d, J=7.8Hz), 10.76(1H, D) (DMSO-d6)	382
XA 1977	J=12.0, 13.2Hz), 3.72(1H, m), 3.92(1H, t, J=15.5Hz), 4.68(1H, t, J=10.1Hz), 7.18(1H, s), 7.58(2H, d, J=8.6Hz), 7.83(2H, d, J=8.6Hz), 8.57(2H, d, J=6.6Hz), 9.01(2H, d, J=6.6Hz), 10.20(1H, d, J=7.8Hz), 10.76(1H, pr s) (DMSO-d6)	382
XA 1977	J=12.0, 13.2Hz), 3.72(1H, m), 3.92(1H, t, J=15.5Hz), 4.68(1H, t, J=10.1Hz), 7.18(1H, s), 7.58(2H, d, J=8.6Hz), 7.83(2H, d, J=8.6Hz), 8.57(2H, d, J=6.6Hz), 9.01(2H, d, J=6.6Hz), 10.20(1H, d, J=7.8Hz), 10.76(1H, pr s) (DMSO-d6)  2.98 (1H, t, J = 10.9 Hz), 3.22 (m, 3H), 3.56 3H, s), 3.60 (2H, m), 4.03 (4H, d, J=7.8Hz)	382
XA 1977	J=15.5Hz), 4.68(1H, t, J=10.1Hz), 7.18(1H, s), 7.58(2H, d, J=8.6Hz), 7.83(2H, d, J=8.6Hz), 8.57(2H, d, J=6.6Hz), 9.01(2H, d, J=6.6Hz), 10.20(1H, d, J=7.8Hz), 10.76(1H, DT s) (DMSO-d6)  2.98 (1H, t, J = 10.9 Hz), 3.22 (m, 3H), 3.56 3H, s), 3.60 (2H, m), 4.03 (1H, d, J = 8.7 Hz), 6.68 (1H, s), 7.28 (1H, d, J=8.7 Hz),	382
XA 1977         	J=12.0, 13.2Hz), 3.72(1H, m), 3.92(1H, t, J=15.5Hz), 4.68(1H, t, J=10.1Hz), 7.18(1H, s), 7.58(2H, d, J=8.6Hz), 7.83(2H, d, J=8.6Hz), 8.57(2H, d, J=6.6Hz), 9.01(2H, d, J=6.6Hz), 10.20(1H, d, J=7.8Hz), 10.76(1H, pr s) (DMSO-d6)  2.98 (1H, t, J = 10.9 Hz), 3.22 (m, 3H), 3.56 3H, s), 3.60 (2H, m), 4.03 (4H, d, J=7.8Hz)	382

XA 1979	3.31 (1H, dd, J = 13.8, 8.9 Hz), 3.46 (3H, s), 3.85 (1H, dd, J = 13.8, 3.6 Hz), 4.10 (1H, d, J = 17.7 Hz), 4.19 (1H, d, J = 17.7 Hz), 4.91 (1H, dd, J = 8.9, 3.6 Hz), 6.11 (1H, s), 6.74 (1H, s), 7.32 (2H, d, J = 8.4 Hz), 7.42 (2H, d, J = 8.4 Hz), 7.79 (2H, dd, J = 4.8, 1.5 Hz), 8.74 (2H, dd, J = 4.8, 1.5 Hz) (CDCI3)	396
XA 1980	1.97 (4H, m), 3.26 (4H, m), 3.38 (2H, m), 3.45 (3H, s), 3.60 (2H, m), 3.80 (1H, d, J = 13.8 Hz), 3.92 (1H, d, J = 14.1 Hz), 4.48 (1H, t, J = 10.4 Hz), 6.65 (2H, d, J = 8.7 Hz), 7.16 (1H, s), 7.54 (2H, d, J = 8.7 Hz), 8.57 (2H, d, J = 6.6 Hz), 9.00 (2H, d, J = 6.6 Hz), 9.83 (1H, d, J = 9.3 Hz), 10.32 (1H, br s) (DMSO-d6)	417
XA 1981	3.21 (4H, m), 3.40 (2H, m), 3.46 (3H, s), 3.65 (2H, m), 3.78 (4H, m), 3.91 (2H, t, J = 13.7 Hz), 4.55 (1H, t, J = 10.1 Hz), 7.14 (2H, d, J = 8.7 Hz), 7.20 (1H, s), 7.64 (2H, d, J = 8.7 Hz), 8.60 (2H, d, J = 6.6 Hz), 9.02 (2H, d, J = 6.6 Hz), 9.93 (1H, d, J = 9.0 Hz), 10.47 (1H, br s) (DMSO-d6)	433
XA 1982	2.80 (3H, d, J = 4.5 Hz), 3.15 (4H, m), 3.44 (4H, m), 3.45 (3H, s), 3.60 (2H, m), 3.82 (1H, d, J = 13.5 Hz), 3.90 (3H, m), 4.54 (1H, t, J = 10.5), 7.10 (2H, d, J = 8.7 Hz), 7.17 (1H, s), 7.64 (2H, d, J = 8.7 Hz), 8.54 (2H, d, J = 6.3 Hz), 8.99 (2H, d, J = 6.3 Hz), 9.94 (1H, d, J = 8.7 Hz), 10.47 (1H, br s), 11.26 (1H, br s) (DMSO-d6)	446
XA 1983	1.27(3H, t, J=6.6 Hz), 3.46-4.14(8H, m), 4.70(1H, m), 7.11(1H, s), 7.60(2H, d, J=8.4 Hz), 7.76(2H, d, J=8.4 Hz), 8.32(2H, d, J=6 Hz), 8.89(2H, d, J=6.0 Hz), 9.87(1H, m), 10.23(1H, m), (DMSO-d6)	396
XA 1984	1.27(6H, dd, J=6.9, 6.9 Hz), 3.37-4.36(6H, m), 4.66-4.79(2H, m), 7.03(1H, s), 7.62(2H, d, J=8.7 Hz), 7.78(2H, d, J=8.7 Hz), 8.33(2H, d, J=6 Hz), 8.90(2H, d, J=6.0 Hz), 9.93(1H, m), 10.25(1H, m), (DMSO-d6)	410
XA 1985	1.40(3H, d, J=6.3 Hz), 3.44-4.04(5H, m), 3.48(3H, s), 4.69(1H, m), 7.08(1H, s), 7.60(2H, d, J=8.4 Hz), 7.79(2H, d, J=8.4 Hz), 8.33(2H, d, J=6.3 Hz), 8.90(2H, d, J=6.3 Hz), 9.83(1H, m), 10.00(1H, m), (DMSO-d6)	396

	1 67/01	•
X/ 198	36 Hz), 7.94(2H, d, J=7.4 Hz), 8.41(2H, d, J=6.0 Hz), 8.93(2H, d, J=6.0 Hz), 9.88(1H, m), 10.05(1H, m), (DMSO-d6)	410
χ <i>β</i> 198	7.39(2H, d, J=8.4 Hz), 7.84(2H, d, J=8.4 Hz), 8.43(2H, d, J=6.6 Hz), 8.95(2H, d, J=6.6 Hz), 9.65(1H, m), 10.23(1H, m), (DMSO-d6)	396
XA 1988	2.34 (1H, m), 2.42 (1H, m), 2.80 (3H, d, J = 5.6 Hz), 2.81 (3H, d, J = 5.6 Hz), 3.28 (1H, q, J = 8.8 Hz), 3.43 (2H, m), 3.45 (3H, s), 3.57 (5H, m), 3.80 (1H, d, J = 11.4 Hz), 3.96 (2H, m), 4.50 (1H, t, J = 10.4 Hz), 6.69 (2H, d, J = 8.4 Hz), 7.14 (1H, s), 7.55 (2H, d, J = 8.4 Hz), 8.47 (2H, d, J = 5.6 Hz), 8.96 (2H, d, J = 5.6 Hz), 9.75 (1H, d, J = 8.0 Hz), 10.16 (1H, br s), 11.40 (1H, br s) (DMSO ds)	460
XA 1989	1.65 (2H, br s), 1.91 (4H, br s), 3.46 (9H, s), 3.70 (2H, m), 3.92 (2H, t, J = 16.6 Hz), 4.66 (1H, br s), 7.16 (1H, s), 7.85 (4H, br s), 8.50 (2H, d, J = 6.4 Hz), 8.97 (2H, d, J = 6.4 Hz), 10.01 (1H, br s), 10.59 (1H, br s) (DMSO-d6)	431
XA 1990	2.32 (1H, m), 2.42 (1H, m), 2.79 (3H, d, J = 5.2 Hz), 2.81 (3H, d, J = 5.2 Hz), 3.27 (1H, m), 3.39 (2H, m), 3.45 (3H, s), 3.59 (5H, m), 3.79 (1H, d, J = 13.3 Hz), 3.95 (2H, m), 4.50 (1H, t, J = 11.6 Hz), 6.69 (2H, d, J = 8.4 Hz), 7.16 (1H, s), 7.56 (2H, d, J = 8.4 Hz), 8.50 (2H, s), 8.98 (2H, d, J = 5.6 Hz), 9.78 (1H, br s), 10.19 (1H, br s), 11.44 (1H, br s)	460
XA 1991	3.47 (3H, s), 3.61 (3H, m), 3.81 (3H, s), 4.02 (3H, m), 4.69 (1H, t, J = 10.6 Hz), 7.05 (2H, d, J = 8.8 Hz), 7.10 (1H, s), 7.67 (2H, d, J = 8.8 Hz), 7.77 (4H, s), 8.38 (2H, br s), 8.91 (2H, d, J = 5.2 Hz), 9.90 (1H, br s), 10.28 (1H, br s) (DMSO-d6)	454
XA 1992	1.26(3H, t, J=6.9 Hz), 1.41(3H, d, J=6.3 Hz), 3.43-4.06(7H, m), 4.74(1H, m), 7.09(1H, s), 7.58(2H, d, J=8.4 Hz), 7.84(2H, d, J=8.4 Hz), 8.32(2H, d, J=6.6 Hz), 8.90(2H, d, J=6.6 Hz), 9.90(1H, m), 10.03(1H, m), (DMSO-d6)	410
XA 1993	1.41(3H, t, J=6.3 Hz), 1.55(6H, dd, J=6.6, 6.6 Hz), 3.49-3.73(5H, m), 4.64(1H, m), 4.78(1H, m), 6.99(1H, s), 7.58(2H, d, J=8.7 Hz), 7.81(2H, d, J=8.7 Hz), 8.28(2H, d, J=6.3 Hz), 8.87(2H, d, J=6.3 Hz), 9.91(2H, m)(DMSO-d6)	424

XA 1994	1.27(3H, t, J=6.9 Hz), 1.55(3H, s), 1.60(3H, s), 3.42-4.14(6H, m), 5.04(1H, m), 7.13(1H, s), 7.60(2H, d, J=8.4 Hz), 7.91(2H, d, J=8.4 Hz), 8.32(2H, d, J=6.3 Hz), 8.89(2H, d, J=6.3 Hz), 9.80-9.84(2H, m)(DMSO-d6)	424
XA 1995	1.52(3H, d, J=6.6 Hz), 1.58(6H, s), 1.59(3H, d, J=6.6 Hz), 3.40-3.68(4H, m), 4.75(1H, m), 5.09(1H, m), 7.03(1H, s), 7.60(2H, d, J=8.4 Hz), 7.93(2H, d, J=8.4 Hz), 8.33(2H, d, J=6.0 Hz), 8.89(2H, d, J=6.0 Hz), 9.89(2H, m)(DMSO-d6)	438
XA 1996	1.29 (3H, t, J = 6.8 Hz), 3.47 (2H, br s), 3.66 (3H, m), 3.81 (3H, s), 3.83 (1H, m), 4.04 (2H, m), 4.71 (1H, d, J = 10.6 Hz), 7.05 (2H, d, J = 8.8 Hz), 7.12 (1H, s), 7.67 (2H, d, J = 8.8 Hz), 7.75 (2H, d, J = 8.4 Hz), 7.79 (2H, d, J = 8.4 Hz), 8.36 (2H, d, J = 6.4 Hz), 8.91 (2H, d, J = 6.4 Hz), 9.92 (1H, d, J = 8.8 Hz), 10.29 (1H, br s) (DMSO-d6)	468
XA 1997	1.56 (3H, d, J = 6.4 Hz), 1.58 (3H, d, J = 6.4 Hz), 3.47 (2H, br s), 3.60 (1H, m), 3.77 (2H, m), 3.81 (3H, s), 4.72 (3H, m), 7.05 (2H, d, J = 8.8 Hz), 7.06 (1H, s), 7.68 (2H, d, J = 8.8 Hz), 7.76 (2H, d, J = 8.4 Hz), 7.80 (2H, d, J = 8.4 Hz), 8.42 (2H, d, J = 6.4 Hz), 8.94 (2H, d, J = 6.4 Hz), 10.02 (1H, d, J = 9.6 Hz), 10.39 (1H, br s) (DMSO-d6)	482
XA 1998	1.24 (1H, m), 1.39 (4H, m), 1.72 (1H, m), 1.79 (4H, m), 2.55 (1H, m), 3.45 (3H, s), 4.00-3.45 (6H, m), 4.61 (1H, t, J = 11.2 Hz), 7.09 (1H, s), 7.35 (2H, d, J = 8.4 Hz), 7.62 (2H, d, J = 8.4 Hz), 8.37 (2H, d, J = 4.0 Hz), 8.90 (2H, d, J = 4.0 Hz), 9.75 (1H, d, J = 9.6 Hz), 10.17 (1H, br s), (DMSO-d6)	430
XA 1999	1.04 (1H, m), 1.17 (2H, m), 1.43 (2H, m), 1.60 (1H, m), 1.79 (4H, m), 2.96 (3H, br s), 3.45 (3H, s), 4.18-3.44 (6H, m), 4.62 (1H, br s), 7.13 (1H, s), 7.75 (4H, br s), 8.46 (1H, br s), 8.95 (1H, br s), 9.87 (1H, br s), 10.40 (1H, br s) (DMSO-d6)	459
XA 2000	1.40(3H, d, J=6.6 Hz), 3.44-4.04(5H, m), 3.48(3H, s), 4.72(1H, m), 7.05(1H, s), 7.61(2H, d, J=8.4 Hz), 7.78(2H, d, J=8.4 Hz), 8.29(2H, d, J=6.0 Hz), 8.90(2H, d, J=6.0 Hz), 9.78-10.00(2H, m), (DMSO-d6)	396

XA 2001	9.84-10.00(2H, m), (DMSO-d6)	410
XA 2002	1.41(3H, t, J=6.0 Hz), 1.56(6H, dd, J=6.6, 6.6 Hz), 3.49-3.73(5H, m), 4.62(1H, m), 4.78(1H, m), 7.00(1H, s), 7.59(2H, d, J=8.4 Hz), 7.81(2H, d, J=8.4 Hz), 8.88(2H, d, J=6.3 Hz), 9.91(2H, m)(DMSO-d6)	
XA 2003	3.03(4H, td, J=4.6Hz), 3.26(4H, t, J=4.5Hz), 3.48(3H, s), 6.65(1H, s), 7.10(2H, m), 7.20-7.45(5H, m), 7.65(2H, d, J=8.5Hz), 7.79(2H, d, J=6.3Hz), 8.71(2H, d, J=1.5, 4.8Hz)(CDCl3),	425
XA 2004	2.93 (1H, m), 3.20 (2H, m), 3.30 (3H, s), 3.36 (1H, d, J = 12.8 Hz), 3.46 (1H, t, J = 12.0 Hz), 3.73 (4H, m), 7.03 (1H, s), 7.33 (2H, m), 7.42 (3H, m), 8.16 (2H, d, J = 6.4 Hz), 8.86 (2H, d, J = 6.4 Hz), 9.61 (1H, d, J = 10.0 Hz), 9.95 (1H, d, J = 8.4 Hz) (DMSO-d6)	362
XA 2005	2.93 (1H, dd, J = 14.8, 8.4 Hz), 3.07 (1H, m), 3.19 (1H, m), 3.33 (3H, s), 3.41 (3H, s), 3.69 (1H, m), 3.80 (2H, d, J = 14.0 Hz), 6.96 (1H, br s), 7.39 (2H, d, J = 8.0 Hz), 7.49 (2H, d, J = 8.0 Hz), 8.00 (2H, br s), 8.77 (2H, br s), 9.24 (1H, s), 9.54 (1H, s) (DMSO-d6)	396
	3.39 (2H, m), 3.46 (3H, s), 3.56 (2H, m), 3.85 (1H, d, J = 13.2 Hz), 3.93 (1H, d, J = 13.6 Hz), 4.55 (1H, t, J = 10.4 Hz), 6.94 (1H, br s), 7.13 (1H, s), 7.14 (4H, m), 7.30 (2H, m), 7.59 (2H, d, J = 8.0 Hz), 8.45 (2H, s), 8.95 (2H, s), 9.73 (1H, br s), 10.10 (1H, br s) (DMSO-d6)	508
XA 2007	1.39 (1H, m), 1.80 (8H, m), 2.18 (2H, d, J = 11.2 Hz), 2.76 (2H, t, J = 11.4 Hz), 3.90 (2H, m), 3.33 (1H, m), 3.40 (3H, m), 3.45 (3H, s), 3.58 (2H, m), 3.82 (1H, d, J = 13.3 Hz), 3.93 (3H, m), 4.53 (1H, t, J = 10.4 Hz), 7.09 (2H, d, J = 8.8 Hz), 7.11 (1H, s), 7.56 (2H, d, J = 8.8 Hz), 8.40 (2H, d, J = 6.0 Hz), 8.92 (2H, d, J = 6.0 Hz), 9.75 (1H, d, J = 8.8 Hz), 10.14 (1H, pr s), 10.39 (1H, br s) (DMSO-d6)	514
XA 4 7	2.82-2.90(1H, m), 3.01-3.05(4H, m), 3.22(3H, s), 3.44(3H, s), 3.58-3.66(2H, m), 3.08(1H, dd, J=1.2, 10.2Hz), 6.81(1H, s), 3.77(2H, d, J=7.2Hz), 7.92-7.98(4H, m), 3.69(2H, d, J=4.2Hz)(DMSO-d6)	426

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XA 2009	1.21(3H, d, J=6.6 Hz), 3.17-3.45(4H, m), 3.52(3H, s), 4.02(1H, m), 4.69(1H, m), 7.20(1H, s), 7.54(2H, d, J=8.4 Hz), 7.70(2H, d, J=8.4 Hz), 8.26(2H, d, J=6.3 Hz), 8.88(2H, d, J=6.3 Hz), 9.90(1H, m), 10.16(1H, m), (DMSO-d6)	396
XA 2010	1.21(3H, d, J=6.0 Hz), 3.17-3.45(4H, m), 3.53(3H, s), 4.02(1H, m), 4.70(1H, m), 7.24(1H, s), 7.54(2H, d, J=8.7 Hz), 7.73(2H, d, J=8.7 Hz), 8.33(2H, d, J=5.7 Hz), 8.93(2H, d, J=5.7 Hz), 10.04(1H, m), 1037(1H, m), (DMSO-d6)	396
XA 2011	3.02 (1H, t, J = 11.9 Hz), 3.17 (6H, m), 3.55 (3H, s), 3.63 (2H, m), 3.86 (4H, m), 3.96 (1H, d, J = 10.2 Hz), 6.66 (1H, s), 6.92 (2H, d, J = 8.4 Hz), 7.35 (2H, d, J = 8.4 Hz), 7.80 (2H, d, J = 5.1 Hz), 8.70 (2H, d, J = 5.1 Hz) (CDCI3)	433
XA 2012	2.31 (3.6H, s), 3.16 (4H, t, J = 4.8 Hz), 3.44 (3H, s), 3.45 (4H, m), 3.75 (4H, t, J = 4.8 Hz), 3.86 (1H, d, J = 14.0 Hz), 3.92 (1H, d, J = 12.4 Hz), 4.56 (1H, d, J = 10.4 Hz), 6.95 (1H, s), 7.06 (2H, d, J = 8.8 Hz), 7.43 (2H, d, J = 8.8 Hz), 8.06 (2H, d, J = 6.0 Hz), 8.75 (2H, d, J = 6.0 Hz), 9.03 (1H, s), 9.33 (1H, d, J = 10.0 Hz) (DMSO-d6)	433
XA 2013	1.82 (4H, m), 1.97 (2H, m), 2.12 (2H, m), 2.77 (2H, t, J = 11.6 Hz), 3.01 (2H, m), 3.27 (1H, m), 3.40 (2H, m), 3.45 (3H, s), 3.49 (2H, m), 3.57 (1H, m), 3.63 (1H, m), 3.84 (1H, d, J = 13.6 Hz), 3.92 (3H, d, J = 12.8 Hz), 4.53 (1H, t, J = 11.2 Hz), 7.12 (2H, d, J = 8.4 Hz), 7.14 (1H, s), 7.58 (2H, d, J = 8.9 Hz), 8.49 (2H, d, J = 5.2 Hz), 8.97 (2H, d, J = 5.2 Hz), 9.82 (1H, br s), 10.24 (1H, br s), 11.12 (1H, br s) (DMSO-d6)	500
XA 2014	1.75(2H, m), 2.14(2H, m), 2.72(6H, d, J=4.5 Hz), 2.74-2.80(3H, m), 3.30-3.95(8H, m), 3.45(3H, s), 4.54(1H, m), 7.10(2H, d, J=9.0 Hz), 7.15(1H, s), 7.60(2H, d, J=9.0 Hz), 8.51(2H, d, J=6.6 Hz), 8.98(2H, d, J=6.6 Hz), 9.86(1H, m), 10.32(1H, m), 10.93(1H, m), (DMSO-d6)	474
XA 2015	1.68(2H, m), 2.09(2H, m), 3.16-3.90(10H, m), 3.45(3H, s), 4.60(1H, m), 7.13(1H, s), 7.45-7.71(4H, m), 8.45(2H, d, J=6.0 Hz), 8.94(2H, d, J=6.0 Hz), 9.83(1H, m), 10.22(1H, m) (DMSO-d6)	447

X/ 20	d, J= 8.7 Hz), 8.44(2H, d, J=6.3 Hz), 8.94(2H d, J=6.3 Hz), 9.61(1H, m), 9.89(1H, m) (DMSO-d6)	433
XA 201	7 (2H, br s), 9.72 (1H, br s), 10.09 (1H, br s) (DMSO-d6)	391
XA 2018	8.33-8.35(2H, m), 8.82-8.87(2H, m), 9.65-9.75(2H, br)(DMSO-d6)	566
XA 2019	1.32(6H, d, J=6.8Hz), 3.04-3.88(18H, m), 4.52-4.55(1H, m), 7.09-7.12(3H, m), 7.62(2H, d, J=7.2Hz), 8.45(2H, d, J=4.2Hz), 8.94(2H, d, J=4.2Hz), 9.83-10.34(3H, br), 11.00-11.04(1H, br)(DMSO-d6)	474
XA 2020	1.32(6H, d, J=6.8Hz), 3.04-3.88(18H, m), 4.52-4.55(1H, m), 7.09-7.12(3H, m), 7.62(2H, d, J=7.2Hz), 8.45(2H, d, J=4.2Hz), 8.94(2H, d, J=4.2Hz), 9.83-10.34(3H, br), 11.00-11.04(1H, br)(DMSO-d6)	476
XA 2021	2.09(3H, s), 3.19-4.00(20H, m), 4.43-4.54(3H, m), 7.06-7.19(3H, m), 7.62(2H, d, J=7.2Hz), 8.44(2H, d, J=4.2Hz), 8.94(2H, d, J=4.2Hz), 9.82-9.85(1H, br), 10.26-10.30(1H, br), 11.30-11.40(1H, br)(DMSO-d6)	518
XA 2022	3.17-3.21(4H, m), 3.38-4.16(14H, m), 4.51-4.54(1H, m), 7.08-7.18(3H, m), 7.60(2H, d, J=7.2Hz), 8.43(2H, d, J=4.2Hz), 8.93(2H, d, J=4.2Hz), 9.26-9.34(2H, br), 9.81-84(1H, br), 10.25-10.30(1H, br)(DMSO-d6)	432
XA 2023	1.82(3H, m), 3.29(3H, m), 3.40-3.96(9H, m), 3.48(3H, s), 4.55(1H, m), 7.10(1H, s), 7.13(2H, d, J=8.4 Hz), 7.56(2H, d, J=8.4 Hz), 8.39(2H, d, J=6.0 Hz), 8.91(2H, d, J=6.0 Hz), 9.67(1H, m), 9.97(1H, m) (DMSO-d6)	445

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XA 2024	1.89-2.03(2H, m), 2.95-3.07(5H, m), 3.29-3.83(5H, m), 3.40(3H, s), 4.40(1H, m), 4.94(1H, m), 6.49(2H, d, J= 8.4 Hz), 7.13(1H, s), 7.25(2H, d, J= 8.4 Hz), 7.95(2H, d, J=6.0 Hz), 8.69(2H, d, J=6.0 Hz) (DMSO-d6)	433
XA 2025	1.16(6H, d, J= 6.3 Hz), 2.28-2.36(2H, m), 2.97-3.21(6H, m), 3.54(3H, s), 3.55-3.62(4H, m), 3.95(1H, m), 6.66(1H, s), 6.93(2H, d, J= 8.7 Hz), 7.32(2H, d, J= 8.7 Hz), 7.80(2H, d, J=6.3 Hz), 8.70(2H, d, J=6.3 Hz) (CDCI3)	460
XA 2026	1.26(6H, d, J= 6.3 Hz), 2.42(2H, dd, J= 11.1, 11.1 Hz), 3.02(1H, dd, J= 12.3, 10.8 Hz), 3.17-3.22(3H, m), 3.45-3.63(4H, m), 3.55(3H, s), 3.81(1H, m), 3.95(1H, dd, J= 13.2, 2.1 Hz), 6.66(1H, s), 6.92(2H, d, J= 8.4 Hz), 7.34(2H, d, J= 8.4 Hz), 7.80(2H, d, J=6.0 Hz), 8.70(2H, d, J=6.0 Hz) (CDCI3)	461
XA 2027	2.91-3.09(5H, m), 3.26(3H, s), 3.46(3H, s), 3.69-3.73(2H, m), 4.07-4.11(1H, m), 6.81(1H, s), 7.64(2H, d, J=7.2Hz), 7.77(2H, d, J=7.2Hz), 7.94-8.02(6H, m), 8.68(1H, d, J=4.2Hz)(DMSO-d6)	502
XA 2028	3.28-3.32(4H, m), 3.46(3H, s), 3.86-3.91(2H, m), 4.59-4.61(1H, m), 6.90(1H, s), 7.77-8.06(10H, m), 8.70(2H, d, J=4.2Hz), 9.36-9.44(1H, br)(DMSO-d6)	449
XA 2029	3.08 (1H, dd, J = 12.4, 10.4 Hz), 3.25 (3H, m), 3.58 (3H, s), 3.68 (2H, m), 4.09 (1H, dd, J = 10.4, 2.4 Hz), 6.68 (1H, s), 7.29 (2H, d, J = 8.4 Hz), 7.54 (2H, d, J = 8.4 Hz), 7.56 (2H, d, J = 8.4 Hz), 7.59 (2H, d, J = 8.4 Hz), 7.81 (2H, dd, J = 4.4, 1.6 Hz), 8.71 (2H, dd, J = 4.4, 1.6 Hz) (CDCI3)	508
XA 2030	3.08 (1H, dd, J = 12.4, 10.4 Hz), 3.27 (3H, m), 3.58 (3H, s), 3.70 (2H, m), 4.11 (1H, dd, J = 10.4, 2.4 Hz), 6.68 (1H, s), 7.57 (2H, d, J = 8.0 Hz), 7.63 (2H, d, J = 8.0 Hz), 7.70 (4H, s), 7.81 (2H, dd, J = 4.8, 1.2 Hz), 8.71 (2H, dd, J = 4.8, 1.2 Hz) (CDCI3)	492
XA 2031	1.45 (3H, t, J = 12.4 Hz), 3.08 (1H, dd, J = 12.4, 10.8 Hz), 3.24 (3H, m), 3.57 (3H, s), 3.67 (2H, m), 4.07 (1H, m), 4.09 (2H, q, J = 7.0 Hz), 6.67 (1H, s), 6.97 (2H, d, J = 8.4 Hz), 7.51 (2H, d, J = 8.4 Hz), 7.51 (2H, d, J = 8.4 Hz), 7.54 (2H, d, J = 8.4 Hz), 7.57 (2H, d, J = 8.4 Hz), 7.81 (2H, dd, J = 4.8, 1.2 Hz), 8.71 (2H, dd, J = 4.8, 1.2 Hz) (CDCI3)	1 700

	1 04 (4H m) 2 02 (4H m) 0 04 (4H m) 0 00	<del></del>
XA 2032	1.94 (4H, m), 2.02 (1H, m), 2.21 (1H, m), 2.62 (4H, m), 2.91 (1H, m), 3.03 (1H, dd, J = 12.4, 10.4 Hz), 3.20 (4H, m), 3.33 (1H, m), 3.48 (2H, m), 3.54 (3H, s), 3.62 (2H, m), 3.91 (1H, dd, J = 10.4, 2.4 Hz), 6.55 (2H, d, J = 8.4 Hz), 6.66 (1H, s), 7.29 (2H, d, J = 8.4 Hz), 7.81 (2H, dd, J = 4.4, 0.8 Hz), 8.70 (2H, dd, J = 4.4, 0.8 Hz) (CDCI3)	468
XA 2033	2.29(3H, s), 3.06(4H, t, J=4.8Hz), 3.38(4H, t, J=4.8Hz), 3.51(3H, s), 5.70(1H, s), 6.67(1H, s), 7.24-7.29(5H, m), 7.83(2H, dd, J=1.6, 4.3Hz), 8.72(2H, dd, J=1.3, 4.5Hz)(CDCI3)	427
XA 2034	3.09 (1H, dd, J = 12.0, 10.8 Hz), 3.23 (3H, m), 3.57 (3H, s), 3.66 (2H, m), 3.82 (3H, s), 3.86 (3H, s), 4.06 (1H, dd, J = 10.8, 2.4 Hz), 6.58 (2H, m), 6.67 (1H, s), 7.24 (2H, m), 7.47 (2H, d, J = 8.0 Hz), 7.53 (2H, d, J = 8.0 Hz), 7.82 (2H, dd, J = 4.8, 1.2 Hz), 8.71 (2H, dd, J = 4.8, 1.2 Hz) (CDCI3)	484
: XA 2035	3.08 (3H, dd, J = 12.4, 10.8 Hz), 3.25 (3H, m), 3.57 (3H, s), 3.67 (2H, m), 3.93 (3H, s), 3.96 (3H, s), 4.08 (1H, dd, J = 10.0, 2.0 Hz), 6.68 (1H, s), 6.95 (1H, d, J = 8.4 Hz), 7.11 (1H, d, J = 2.4 Hz), 7.16 (1H, dd, J = 8.4, 2.4 Hz), 7.51 (2H, d, J = 8.0 Hz), 7.58 (2H, d, J = 8.0 Hz), 7.81 (2H, dd, J = 4.8, 1.2 Hz), 8.71 (2H, dd, J = 4.8, 1.2 Hz) (CDCI3)	484
XA 2036	3.08 (1H, dd, J = 12.4, 10.8 Hz), 3.26 (3H, m), 3.57 (3H, s), 3.67 (2H, m), 4.09 (1H, dd, J = 10.0, 2.0 Hz), 6.68 (1H, s), 7.42 (2H, d, J = 8.4 Hz), 7.53 (4H, d, J = 8.4 Hz), 7.58 (2H, d, J = 8.4 Hz), 7.80 (2H, dd, J = 4.8, 1.6 Hz), 8.71 (2H, dd, J = 4.8, 1.6 Hz) (CDCI3)	458
XA 2037	3.09 (1H, dd, J = 12.4, 10.8 Hz), 3.25 (3H, m), 3.58 (3H, s), 3.69 (2H, m), 4.11 (1H, dd, J = 10.4, 2.4 Hz), 6.68 (1H, s), 7.28 (2H, m), 7.44 (2H, d, J = 8.0 Hz), 7.51 (3H, m), 8.81 (2H, dd, J = 4.0, 1.2 Hz), 8.72 (2H, dd, J = 4.0, 1.2 Hz) (CDCI3)	492
XA 2038	3.07 (1H, dd, J = 12.3, 11.0 Hz), 3.26 (3H, m), 3.57 (3H, s), 3.67 (2H, m), 4.10 (1H, dd, J = 10.2, 2.1 Hz), 6.68 (1H, s), 7.42 (1H, dd, J = 8.1, 2.2 Hz), 7.55 (5H, m), 7.68 (1H, d, J = 2.2 Hz), 7.80 (2H, dd, J = 4.8, 1.3 Hz), 8.71 (2H, dd, J = 4.8, 1.3 Hz) (CDCI3)	492

XA 2039	3.06 (1H, dd, J = 12.0, 10.8 Hz), 3.24 (3H, m), 3.58 (3H, s), 3.67 (2H, m), 4.13 (1H, dd, J = 10.4, 2.4 Hz), 6.68 (1H, s), 7.61 (2H, d, J = 8.4 Hz), 7.80 (2H, d, J = 4.4 Hz), 8.15 (2H, d, J = 8.4 Hz), 8.71 (2H, d, J = 4.4 Hz), 8.77 (1H, s) (CDCl3)	416
XA 2040	3.04-3.26(4H, m), 3.57(3H, s), 3.66-3.71(2H, m), 4.07(1H, m), 5.12(2H, s), 6.68(1H, s), 7.06(2H, d, J= 8.7 Hz), 7.40-7.59(11H, m), 7.81(2H, d, J=6.0 Hz), 8.71(2H, d, J=6.0 Hz) (CDCI3)	530
XA 2041	0.38(2H, m), 0.67(2H, m), 1.32(1H, m), 3.09(1H, dd, J=12.6, 11.1 Hz), 3.22-3.28(3H, m), 3.58(3H, s), 3.67-3.71(2H, m), 3.86(2H, d, J= 6.9 Hz), 4.08(1H, m), 6.68(1H, s), 7.06(2H, d, J= 9.0 Hz), 7.49-7.60(6H, m), 7.82(2H, d, J=6.0 Hz), 8.72(2H, d, J=6.0 Hz) (CDCI3)	494
XA 2042	1.37(6H, d, J= 6.0 Hz), 3.08(1H, dd, J=12.3, 11.1 Hz), 3.20-3.28(3H, m), 3.57(3H, s), 3.65-3.70(2H, m), 4.06(1H, m), 4.59(1H, m), 6.67(1H, s), 7.06(2H, d, J= 9.0 Hz), 7.48-7.59(6H, m), 7.81(2H, d, J=6.0 Hz), 8.71(2H, d, J=6.0 Hz) (CDCl3)	482
XA 2043	0.99(3H, t, J= 7.5 Hz), 1.40-1.85(4H, m), 3.05-3.30(4H, m), 3.57(3H, s), 3.65-3.70(2H, m), 4.00-4.10(3H, m), 6.67(1H, s), 6.97(2H, d, J= 8.7 Hz), 7.50-7.56(6H, m), 7.81(2H, d, J=6.0 Hz), 8.71(2H, d, J=6.0 Hz) (CDCI3)	496
XA 2044	1.66(1H, br.s), 2.52(3H, s), 3.05(1H, dd, J=10.5, 12.6Hz), 3.20-3.26(3H, m), 3.57(3H, s), 3.62-3.72(2H, m), 4.07(1H, dd, J=2.1, 10.5Hz), 6.67(1H, s), 7.33(2H, d, J=8.4Hz), 7.50-7.61(6H, m), 7.81(2H, dd, J=1.6, 4.3Hz), 8.70(2H, dd, J=1.3, 4.5Hz)(CDCI3)	469
XA 2045	1.72(1H, br.s), 2.40(3H, s), 2.98-3.26(5H, m), 3.57(3H, s), 3.57-3.67(1H, m), 4.07(1H, dd, J=2.1, 10.5Hz), 6.67(1H, s), 7.24(2H, d, J=8.1Hz), 7.49-7.52(4H, m), 7.60(2H, d, J=8.1Hz), 7.81(2H, dd, J=1.6, 4.3Hz), 8.70(2H, dd, J=1.3, 4.5Hz)(CDCI3)	437
XA 2046	1.36(9H, s), 1.72(1H, br.s), 3.06(1H, dd, J=10.5, 12.4Hz), 3.20-3.28(3H, m), 3.57(3H, s), 3.57-3.67(2H, m), 4.07(1H, dd, J=2.1, 10.5Hz), 6.67(1H, s), 7.24(2H, d, J=8.1Hz), 7.43-7.56(6H, m), 7.81(2H, dd, J=1.6, 4.3Hz), 8.71(2H, dd, J=1.3, 4.5Hz)(CDCI3)	479

XA 2047	7.63(2H, d, J=8.1Hz), 7.81(2H, dd, J=1.6, 4.3Hz), 8.71(2H, dd, J=1.3, 4.5Hz), (CDCI3)	465
XA 2048	1.68(2H, br.s), 2.98(1H, dd, J=10.5, 12.6Hz), 3.20-3.27(2H, m), 3.56(3H, s), 3.64-3.74(1H, m), 4.04(1H, dd, J=3.3, 11.1Hz), 4.80(3H, s), 6.66(1H, s), 6.72(2H, d, J=8.5Hz), 7.49-7.52(4H, m), 7.63(2H, d, J=8.1Hz), 7.81(2H, dd, J=1.6, 4.3Hz), 8.70(2H, dd, J=1.3, 4.5Hz)(DMSO-d6)	438
XA 2049	2.67 (3H, s), 3.06 (1H, dd, J = 12.4, 10.8 Hz), 3.25 (3H, m), 3.57 (3H, s), 3.62 (2H, m), 4.12 (1H, dd, J = 10.0, 2.0 Hz), 6.68 (1H, s), 7.59 (2H, d, J = 8.0 Hz), 7.80 (1H, dd, J = 4.8, 1.2 Hz), 8.09 (1H, d, J = 8.0 Hz), 8.71 (1H, dd, J = 4.8, 1.2 Hz) (CDCI3)	1
XA 2050	3.05(1H, m), 3.30-3.48(3H, m), 3.64(3H, s), 4.08-4.22(2H, m), 4.68(1H, m), 5.15(1H, d, J= 12.3 Hz), 5.21(1H, d, J= 12.6 Hz), 6.63(1H, s), 7.21(2H, d, J= 8.4 Hz), 7.28-7.39(7H, m), 7.59(2H, d, J=6.3 Hz), 8.68(2H, d, J=6.3 Hz) (CDCI3)	560
XA 2051	2.88-3.34(6H, m), 3.67(3H, s), 4.56(1H, dd, J= 9.9, 3.3 Hz), 6.62(1H, s), 7.19(2H, d, J= 10.8 Hz), 7.36(2H, d, J= 10.8 Hz), 7.58(2H, dd, J=4.5, 1.5 Hz), 8.67(2H, dd, J=4.5, 1.5 Hz) (CDCI3)	426
XA 2052	3.04(1H, m), 3.29-3.48(3H, m), 3.64(3H, s), 4.10-4.15(2H, m), 4.68(1H, m), 5.15(1H, d, J= 12.3 Hz), 5.21(1H, d, J= 12.6 Hz), 6.63(1H, s), 7.21(2H, d, J= 8.1 Hz), 7.32-7.39(7H, m), 7.59(2H, d, J=6.0 Hz), 8.68(2H, d, J=6.0 Hz) (CDCI3)	560
XA 2053	3.01(1H, m), 3.29-3.41(3H, m), 3.66(3H, s), 4.05-4.13(2H, m), 4.67(1H, m), 6.64(1H, s), 7.23(2H, d, J= 8.4 Hz), 7.41(2H, d, J= 8.4 Hz), 7.60(2H, dd, J=4.5, 1.5 Hz), 8.69(2H, dd, J=4.5, 1.5 Hz) (CDCI3)	527
XA 2054	2.28(3H, s), 3.07(4H, m), 3.59(4H, m), 3.73(3H, s), 5.78(1H, s), 6.70(1H, s), 6.98(1H, m), 7.40(1H, m), 7.60-7.66(2H, m), 7.81(2H, dd, J=1.6, 4.3Hz), 8.72(2H, dd, J=1.3, 4.5Hz)(CDCI3)	445

XA 2055	2.31(3H, s), 3.19(4H, m), 3.46(4H, m), 3.54(3H, s), 5.79(1H, s), 6.69(1H, s), 7.18-7.23(1H, m), 7.79(2H, d, J=5.4Hz), 7.79-7.87(2H, m), 8.54(1H, d, J=5.2Hz), 8.72(2H, d, J=4.5Hz)(CDCl3)	428
XB13	1.16-1,28(1H, m), 1.50-1.64(1H, m), 1.70-1.82(2H, m), 1.90-2.01(1H, m), 2.58(2H, d, J=7.3 Hz), 2.64-2.72(1H, m), 2.89-2.97(1H, m), 3.28(3H, s), 3.57-3.67(2H, m), 6.93(1H, s), 7.20-7.35(5H, m), 8.26(2H, d, J=5.7 Hz), 8.87(2H, d, J=5.9 Hz)(DMSO-d6)	361
XB16	1.75-2.16(4H, m), 2.96-3.08(3H, m, 3.55(3H, s), 3.69-3.79(2H, m), 6.66(1H, s), 7.26-7.40(5H, m), 7.81(2H, d, J = 6.0 Hz), 8.70(2H, d, J = 6.0 Hz) (CDCI3)	347
XB17	1.76-1.99(5H, m), 2.97-3.10(2H, m), 3.75(1H, d, J=12.4 Hz), 6.81(1H, s), 7.18-7.24(2H, m), 7.28-7.35(1H, m), 7.47(1H, t, J=7.1 Hz), 7.98(2H, d, J=5.8 Hz), 8.68(2H, d, J=5.8 Hz)(DMSO-d6)	365
XB19	1.86-2.14(4H, m), 2.94-3.03(3H, m), 3.55(3H, s), 3.68-3.75(2H, m), 6.66(1H, s), 7.05(2H, m), 7.23(2H, m), 7.80(2H, d, J = 6.3 Hz), 8.70(2H, d, J = 6.3 Hz)(CDCI3)	365
XB33	1.75-2.08(4H, m), 2.80(1H, m), 3.03(1H, m), 3.42(3H, s), 3.77(2H, m), 3.85(3H, s), 6.65(1H, s), 6.89-7.00(2H, m), 7.22-7.28(2H, m), 7.82(2H, d, J = 6.0 Hz), 8.70(2H, d, J = 6.0 Hz) (CDCI3)	377
XB35	1.73-1.83(4H, m), 2.90-3.02(3H, m), 3.42(3H, s), 3.67-3.81(2H, m), 3.74(3H, s), 6.80(1H, s), 6.91(2H, d, J=8.7 Hz), 7.27(2H, d, J=8.5 Hz), 7.97(2H, d, J=5.9 Hz), 8.69(2H, d, J=5.7 Hz)(DMSO-d6)	377
XB43	1.69-1.90(7H, m), 1.94-2.00(1H, m), 2.59-2.68(4H, m), 2.92-3.02(3H, m), 3.43(3H, s), 3.69-3.80(4H, m), 6.59(3H, s), 6.79(1H, s), 7.29-7.36(4H, m), 7.96(2H, d, J=5.9 Hz), 8.68(2H, d, J=5.1 Hz)(DMSO-d6)	430
XB46	(CDCl3): 1.95-2.09(3H, m), 2.39(1H, m), 3.15(1H, m), 3.45(1H, dd, J=12.9, 10.8Hz), 3.57(3H, s), 3.61-3.72(2H, m), 4.08(1H, m), 6.67(1H, s), 7.32(1H, m), 7.58-7.60(2H, m), 7.74(1H, d, J=7.8Hz), 7.80(2H, dd, J=4.5, 1.5Hz), 8.69(2H, dd, J=4.5, 1.5Hz).	388
XB47	(CDCl3): 1.90-2.06(3H, m), 2.36(1H, m), 3.14(1H, m), 3.42(1H, m), 3.57(3H, s), 3.61-3.71(2H, m), 4.06(1H, m), 6.68(1H, s), 7.09(1H, m), 7.28(1H, m), 7.68(1H, dd, J=8.8, 5.1Hz), 7.79(2H, d, J=4.7Hz), 8.69(2H, d, J=5.9Hz).	406

XB4	7.56-7.62(2H, m), 7.74(1H, d, J=13.8 Hz), 7.80(2H, dd, J=1.8, 4.5 Hz), 8.70(2H, dd, J=1.8, 4.8 Hz)(CDC(3)	6 H, ), 388
ЖВ49	1.91-2.09(3H, m), 2.37-2.42(1H, m); 3.12-3.19(1H, m), 3.45(1H, dd, J=10.8, 12.9 Hz), 3.57(3H, s), 3.60-3.72(2H, m), 4.08(1H d, J=11.1 Hz), 6.67(1H, s), 7.30-7.35(1H, m) 7.54-7.62(2H, m), 7.75(1H, d, J=8.1 Hz), 7.80(2H, dd, J=1.5, 4.5 Hz), 8.70(2H, dd, J=1.8, 4.5 Hz)(CDC(3)	
XB50	1.59-1.67(1H, m), 1.72-1.81(1H, m), 2.08(1H, dt, J=3.4, 12.7 Hz), 2.23-2.40(1H, m), 3.06-3.14(1H, m), 2.44, 2.23-2.40(1H,	363
XB80	s), 7.38-7.42(1H, m), 7.46-7.51(2H, m), 7.58-7.64(2H, m), 8.01(2H, d, J=5.1 Hz), 8.70(2H, d, J=5.1 Hz),	372
XB122	1.44(2H, m), 1.75-1.83(3H, m), 2.63(2H, d, J = 6.9 Hz), 2.90(2H, m), 3.51(3H, s), 3.64(2H, m), 6.65(1H, s), 7.17-7.34(5H, m), 7.80(2H, d, J = 6.3 Hz), 8.70(2H, d, J = 6.3 Hz) (CDCl3) 1.44-2.16(5H, m), 2.86-2.97(2H, m),	361
XB123	4.48(1H, d, J = 7.2 Hz), 6.64(1H, s), 7.07(2H, m), 7.32(2H, m), 7.79(2H, d, J = 6.3 Hz), (CDC)2)	395
XB124	1.38-1.60(3H, m), 1.78(1H, m), 2.16(1H, m), 2.79-2.94(2H, m), 3.20(3H, s), 3.49(3H, s), 3.59(1H, m), 3.69(1H, m), 3.88(1H, d, J = 7.5 Hz, 1H), 6.64(1H, s), 7.08(2H, m), 7.25(2H, m), 7.79(2H, d, J = 6.0 Hz), 8.70(2H, d, J = 6.0 Hz) (CDCI3)	409
	1.87-2.06(4H, m), 2.79(1H, m), 3.10(2H, m), 3.57(3H, s), 3.78(2H, m), 6.68(1H, s), 7.23-7.29(3H, m), 7.34(2H, m), 7.84(2H, d, J = 6.0 Hz), 8.72(2H, d, J = 6.0 Hz) (CDCI3)  1.81-2.03(4H, m), 2.78(1H, m), 3.09(2H, m), 3.57(3H, s), 3.70(2H, m), 3.09(2H, m),	347
XB130	7.03(2H, m), 7.23(2H, m), 6.69(1H, s), Hz), 8.72(2H, br s) (CDCI3)	365
XB134 8	1.78-1.95(4H, m), 2.80-2.91(1H, m), 2.96-3.09(2H, m), 3.45(3H, s), 3.81(2H, d, 1=13.1 Hz), 6.80(1H, s), 7.33(1H, dd, J=2.0, 3.3 Hz), 7.56-7.60(2H, m), 7.99(2H, dd, =1.6, 4.5 Hz), 8.69(2H, dd, J=1.5, 4.5 Hz)(DMSO-d6)	415

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XB145	1.82-2.02(4H, m), 3.09-3.27(3H, m), 3.57(3H, s), 3.79(2H, m), 3.86(3H, s), 6.67(1H, s), 6.89-6.99(2H, m), 7.21-7.26(2H, m), 7.84(2H, d, J = 6.0 Hz), 8.72(2H, d, J = 6.0 Hz) (CDCI3)	377
XB157	1.85-2.07(2H,m), 2.17-2.30(2H,m), 2.91-3.10(1H,m), 3.10-3.24(2H,m), 3.57(3H,s), 3.71-3.88(2H,m), 6.69(1H,s), 6.99-7.06(1H,m), 7.21(1H,dd,J=2.1,8.7Hz), 7.45(1H,s), 7.49-7.65(1H,m), 7.83(2H,dd,J=1.8,4.5Hz), 8.72(2H,dd,J=1.2,4.8Hz)(CDCI3)	405
XB158	2.22-2.32(4H, m), 3.22(2H, m), 3.37(1H, m), 3.58(3H, s), 3.82(2H, m, 6.71(1H, s), 7.10(1H, m), 7.29(1H, m), 7.67(1H, m), 7.83(2H, d, J = 6.3 Hz), 8.72(2H, d, J = 6.3 Hz) (CDCI3)	406
XB159	2.19-2.26(4H, m), 3.21(2H, m), 3.35(1H, m), 3.59(3H, s), 3.82(2H, m), 6.70(1H, s), 6.95(1H, dt, J = 9.0, 2.1 Hz), 7.13(1H, dd, J = 9.0, 2.1 Hz), 7.71(1H, m), 7.85(2H, d, J = 6.3 Hz), 8.72(2H, d, J = 6.3 Hz) (CDCI3)	405
XB160	2.13-2.34(2H,m), 2.34-2.43(2H,m), 3.10-3.38(3H,m), 3.57(3H,s), 3.68-3.83(2H,m), 6.69(1H;s), 7.29-7.40(2H,m), 7.46-7.59(1H,m), 7.64-7.78(1H,m), 7.80-7.78(2H,m), 8.72(2H,d,J=6.0Hz)(CDCl3)	388
XB161	2.19(2H, m), 2.38(2H, m), 3.18(2H, m), 3.39(1H, m), 3.58(3H, s), 3.80(2H, m), 6.70(1H, s), 7.39(1H, m), 7.50(1H, m), 7.83(2H, d, J = 6.0 Hz), 7.89(1H, d, J = 7.2 Hz), 8.01(1H, d, J = 7.8 Hz), 8.73(2H, d, J = 6.0 Hz) (CDCI3)	404
XB162	1.96(2H, m), 2.88(2H, m), 3.15(2H, m), 3.60(3H, s), 3.85(2H, m), 4.63(1H, m), 6.73(1H, s), 7.13-7.23(3H, m), 7.46(1H, d, J = 7.5 Hz), 7.84(2H, d, J = 6.3 Hz), 8.73(2H, d, J = 6.3 Hz)(CDCI3)	420
XB164	1.64(2H, m), 2.23(2H, m), 3.13(2H, m), 3.50(1H, m), 3.53(3H, s), 3.68(2H, m), 6.58(2H, m), 6.68(1H, s), 6.91(2H, m), 7.81(2H, d, J = 6.0 Hz), 8.72(2H, d, J = 6.0 Hz) (CDCI3)	380
XB165	1.91-1.99(4H, m), 2.84(3H, s), 3.07(2H, m), 3.55(3H, s), 3.77(2H, m), 3.84(1H, m), 6.69(1H, s), 6.75-6.87(3H, m), 7.27(2H, m), 7.82(2H, d, J = 6.3 Hz), 8.72(2H, d, J = 6.3 Hz) (CDCI3)	376
XB168	1.52(2H, m), 1.79(3H, s), 1.96(2H, m), 3.09(2H, m), 3.42(3H, s), 3.64(2H, m),	422

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XB16	(6.0  Hz), 8.71(2H, d, J = 7.5  Hz), 7.84(2H, d, J = 6.0  Hz)	363
XB20	2.20-2.31(4H, m), 3.20-3.29(2H, m), 3.46(3H, s), 3.87(2H, d, J=13.8 Hz), 6.86(1H, s), 7.29-7.35(2H, m), 7.64-7.69(2H, m), 8.01(2H, dd, J=1.5, 4.5 Hz), 8.70(2H, dd, J=1.5, 4.5 Hz)(DMSO-d6)	390
XB227	2.16-2.25(2H, m), 2.48-2.58(2H, m), 3.14-3.21(2H, m), 3.40(3H, s), 3.41-3.50(2H, m), 6.79(1H, s), 7.28-7.33(1H, m), 7.39-7.46(4H, m), 7.97(2H, dd, J=1.5, 4.5 Hz), 8.68(2H, dd, J=1.5, 4.5 Hz)/PM32.482	389
XB256	2.97-3.02(3H, m), 2.10(1H, m), 2.51(4H, m), 2.97-3.02(3H, m), 3.58(3H, s), 3.55(3H, s), 3.62(2H, s), 3.74(1H, m), 6.66(1H, s), 7.16(2H, d, J=7.8Hz), 7.32(1H, d, J=7.8Hz), 7.80(2H, dd, J=1.5, 4.8Hz), 8.70(2H, dd, J=1.5, 4.8Hz)(CDCI3)	430
XB257	1.77-1.85(8H, m), 2.10(1H, m), 2.51(4H, m), 2.97-3.02(3H, m), 3.58(3H, s), 3.55(3H, s), 3.62(2H, s), 3.74(1H, m), 6.66(1H, s), 7.16(2H, d, J=7.8Hz), 7.32(1H, d, J=7.8Hz), 7.80(2H, dd, J=1.5, 4.8Hz), 8.70(2H, dd, J=1.5, 4.8Hz)(CDCI3)	430
XB258	1.86 (4H, m), 1.99 (4H, m), 3.03 (5H, m), 3.35 (4H, m), 3.43 (3H, s), 3.73 (2H, m), 4.30 (2H, s), 6.81 (1H, s), 7.43 (2H, d, J = 8.1 Hz), 7.69 (2H, d, J = 8.1 Hz), 7.97 (2H, d, J = 6.0 Hz), 8.69 (2H, d, J = 6.0 Hz), 11.01 (1H, br s) (DMSO-d6)	429
XB259	1.75 (1H, m), 1.89 (3H, m), 1.97 (3H, m), 2.13 (1H, d, J = 13.6 Hz), 3.02 (3H, m), 3.46 (2H, t, J = 7.0 Hz), 3.55 (3H, s), 3.66 (2H, t, J = 7.0 Hz), 3.75 (2H, m), 6.66 (1H, s), 7.30 (2H, d, J = 8.0 Hz), 7.52 (2H, d, J = 8.0 Hz), 7.80 (2H, dd, J = 6.0, 1.2 Hz), 8.71 (2H, dd, J = 6.0, 1.2 Hz)	443
XB260	1.77-1.86(8H, m), 2.94-3.06(5H, m), 3.43(3H, s), 3.73-3.78(2H, m), 4.28-4.31(2H, m), 6.81(1H, s), 7.44(2H, d, J=7.3Hz), 7.57(2H, d, J=7.3Hz), 7.96(2H, d, J=4.2Hz), 8.63(2H, d, J=4.2Hz), 10.75-10.80(1H, br)(DMSO-d6)	430
1	1.45-1.59(6H, m), 1.73-1.94(4H, m), 2.10-2.15(4H, m), 2.98-3.05(3H, m), 3.49(2H, m), 3.55(3H, s), 3.74-3.77(2H, m), 6.65(1H, s), 7.22(2H, d, J=8.4 Hz), 7.33(2H, d, J=8.4 Hz), 7.80(2H, d, J=6.0 Hz), 8.70(2H, d, J=6.0 Hz)(CDCI3)	444

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XB262	J=8.4 Hz), 7.33(2H, d, J=8.4 Hz), 7.81(2H, d, J=6.0 Hz), 8.71(2H, d, J=6.0 Hz)(CDCI3)	472
XB263	1.77-1.86(4H, m), 2.44(1H, m), 2.80(6H, s), 2.98-3.16(4H, m), 3.42(3H, s), 3.62-3.79(6H, m), 4.42(3H, m), 6.93(1H, s), 7.45(2H, d, J=8.4 Hz), 7.58(2H, d, J=8.4 Hz), 8.21(2H, d, J=6.0 Hz), 8.82(2H, d, J=6.0 Hz)(DMSO-d6)	í
XB264	0.99(3H, t, J=7.2Hz), 1.20-1.24(6H, m), 1.80-1.93(7H, m), 2.10(1H, m), 2.50-2.55(2H, m), 2.97-3.00(3H, m), 3.55(3H, s), 3.60(2H, s), 3.69-3.74(2H, m), 6.65(1H, s), 7.18(2H, d, J=8.4 Hz), 7.34(2H, d, J=8.4 Hz), 7.80(2H, d, J=6.0 Hz), 8.70(2H, d, J=6.0 Hz)(CDCI3)	486
XB265	1.02(6H, d, J=6.6Hz), 1.23-1.28(5H, m), 1.72-2.15(9H, m), 2.51(1H, m), 2.97-3.08(4H, m), 3.55(3H, s), 3.70(2H, s), 3.74-3.78(2H, m), 6.65(1H, s), 7.18(2H, d, J=7.8 Hz), 7.34(2H, d, J=7.8 Hz), 7.81(2H, d, J=6.0 Hz), 8.70(2H, d, J=6.0 Hz)(CDCI3)	500
XB266	1.77-1.87(4H, m), 2.44(1H, m), 2.80(6H, s), 2.99-3.09(4H, m), 3.42(3H, s), 3.62-3.79(6H, m), 4.42(3H, m), 6.95(1H, s), 7.45(2H, d, J=8.1 Hz), 7.58(2H, d, J=8.1 Hz), 8.29(2H, d, J=6.0 Hz), 8.86(2H, d, J=6.0 Hz)(DMSO-d6)	473
XB267	1.85-1.88(4H, m), 2.81(1H, m), 2.99-3.07(2H, m), 3.44(3H, s), 3.79-3.84(2H, m), 6.82(1H, s), 7.29(2H, d, J=8.4 Hz), 7.51(2H, d, J=8.4 Hz), 8.01(2H, d, J=6.0 Hz), 8.70(2H, d, J=6.0 Hz)(DMSO-d6)	425
XB268	1.83-1.99(4H, m), 2.83(1H, m), 2.98-3.06(2H, m), 3.45(3H, s), 3.79-3.84(2H, m), 6.82(1H, s), 7.29-7.43(3H, m), 7.53(1H, s), 8.01(2H, d, J=6.0 Hz), 8.70(2H, d, J=6.0 Hz)(DMSO-d6)	425
XB269	1.74-1.96(8H, m), 2.51(1H, m), 2.65-3.01(2H, m), 3.04-3.18(4H, m), 3.44(3H, s), 3.77-3.81(2H, m), 6.49(2H, d, J=8.4 Hz), 6.80(1H, s), 7.09(2H, d, J=8.4 Hz), 8.00(2H, dd, J=4.5, 1.8 Hz), 8.69(2H, dd, J=4.5, 1.8 Hz)(DMSO-d6)	416
XB270	1.83-1.99(8H, m), 2.72(1H, m), 2.97-3.07(2H, m), 3.19-3.23(4H, m), 3.45(3H, s), 3.78-3.83(2H, m), 6.38(1H, d, J=7.8 Hz) 6.44(1H, s), 6.53(1H, d, J=7.5 Hz), 6.81(1H, s), 7.09(1H, dd, J=7.8, 7.8 Hz), 8.00(2H, d, J=5.4 Hz), 8.70(2H, d, J=5.7 Hz)(DMSO-d6)	416

XB27	7.58-7.63(1H, m), 8.00(2H, d, J=4.2Hz) 8.69(2H, d, J=4.2Hz), 10.90(1H, brs)(DMSO-d6)	404
XB272	1.53-1.63(2H, m), 2.02-2.07(2H, m), 3.11-3.19(2H, m), 3.41(3H, s), 3.60-3.72(3H, m), 6.12(1H, d, J=8.2Hz), 6.79-6.80(2H, m), 6.88-6.91(2H, m), 7.25-7.31(1H, m), 8.00(2H, d, J=4.2Hz), 8.70(2H, d, J=4.2Hz)(DMSO-d6)	430
XB273	6.56-6.65(4H, m), 6.79(1H, s), 7.99(2H, d, J=4.2Hz), 8.69(2H, d, J=4.2Hz)(DMSO-d6)	ľ
XB274	1.51-1.61(2H, m), 2.01-2.07(2H, m), 3.08-3.16(2H, m), 3.43(3H, s), 3.50-3.53(1H, m), 3.67(3H, s), 3.70-3.73(2H, m), 5.56(1H, d, J=8.2Hz), 6.09-6.24(3H, m), 6.78(1H, s), 6.96(1H, dd, J=7.2Hz, 7.3Hz), 7.99(2H, d, J=4.2Hz), 8.69(2H, d, J=4.2Hz)(DMSO-d6)	392
XB275	1.48-1.59(2H, m), 2.00-2.07(2H, m), 3.06-3.13(2H, m), 3.40(3H, s), 3.44-3.46(1H, m), 3.64(3H, s), 3.66-3.71(2H, m), 5.07(1H, d, J=8.2Hz), 6.59(2H, d, J=7.2Hz), 6.70(2H, d, J=7.2Hz), 6.79(1H, s), 7.98(2H, d, J=4.2Hz), 8.68(2H, d, J=4.2Hz)(DMSO-d6)	392
XB276	1.57-1.68(2H, m), 2.03-2.07(2H, m), 3.05-3.09(2H, m), 3.41(3H, s), 3.51-3.77(6H, m), 4.57(1H, d, J=8.2Hz), 6.53-6.58(1H, m), 6.66-6.69(1H, m), 6.74-6.82(3H, m), 7.99(2H, d, J=4.2Hz), 8.68(2H, d, J=4.2Hz)(DMSO-d6)	392
XB277	1.78-1.92(4H, m), 2.94-3.07(5H, m), 3.41-3.86(10H, m), 6.88-6.92(1H, m), 7.04(1H, s), 7.21-7.24(2H, m), 7.39-7.44(1H, m), 8.48(2H, d, J=4.2Hz), 8.95(2H, d, J=4.2Hz)(DMSO-d6)	406
	1.68-2.08(4H, m), 2.90-2.96(2H, m), 3.15(3H, s), 3.38(3H, s), 3.81-4.04(7H, m), 7.03(1H, s), 7.13(2H, d, J=7.2Hz), 7.81(2H, d, J=7.2Hz), 8.45(2H, d, J=4.2Hz), 8.94(2H, d, J=4.2Hz)(DMSO-d6)	406
XB279	1.76-1.85(4H, m), 2.65(3H, s), 2.85-2.94(2H, m), 3.41-3.42(1H, m), 3.44(3H, s), 3.74-3.79(2H, m), 4.02(3H, s), 6.78(1H, s), 6.83-6.99(4H, m), 7.97(2H, d, J=4.2Hz), 8.68(2H, d, J=4.2Hz)(DMSO-d6)	406

XB280	1.86-1.98(4H, m), 2.98(6H, s), 3.01-3.10(2H, m), 3.40-3.92(11H, m), 7.00-7.13(2H, m), 7.42-7.50(2H, m), 8.51(2H, d, J=4.2Hz), 8.97(2H, d, J=4.2Hz)(DMSO-d6)	419
XB281	1.69-1.88(3H, m), 1.92-2.00(1H, m), 2.92-3.06(3H, m), 3.42(3H, s), 3.63-3.88(2H, m), 6.79(1H, s), 7.33(2H, d, J=8.4 Hz), 7.54(2H, d, J=8.4 Hz), 7.96(2H, d, J=5.7 Hz), 8.68(2H, d, J=6.0 Hz)(DMSO-d6)	425
XB282	2.51-2.60(4H, m), 3.47(3H, s), 3.65-3.68(4H, m), 6.54(1H, s), 8.00(2H, d, J=4.2Hz), 8.70(1H, d, J=4.2Hz)(DMSO-d6)	285
XB283	1.71-1.82(4H, m), 2.40-2.49(2H, m), 2.50-2.53(4H, m), 2.86-2.94(3H, m), 3.06-3.09(4H, m), 3.41(3H, s), 3.50-3.68(4H, m), 4.43-4.46(1H, m), 6.78(1H, s), 6.89(2H, d, J=7.2Hz), 7.17(2H, d, J=7.2Hz), 7.95(2H, d, J=4.2Hz), 8.67(2H, d, J=4.2Hz)(DMSO-d6)	475
XB284	1.71-1.93(4H, m), 2.86(6H, s), 2.88-2.97(3H, m), 3.41(3H, s), 3.65-3.75(2H, m), 6.73(2H, d, J=7.2Hz), 6.78(1H, s), 7.15(2H, d, J=7.2Hz), 7.96(2H, d, J=4.2Hz), 8.68(2H, d, J=4.2Hz)(DMSO-d6)	390
XB285	1.72-1.83(4H, m), 2.89-2.96(3H, m), 3.05-3.09(4H, m), 3.42(3H, s), 3.71-3.75(4H, m), 6.78(1H, s), 6.91(2H, d, J=7.2Hz), 7.20(2H, d, J=7.2Hz), 7.96(2H, d, J=4.2Hz), 8.68(2H, d, J=4.2Hz)(DMSO-d6)	432
XB286	1.52-1.91(10H, m), 2.86-2.94(3H, m), 3.07-3.10(4H, m), 3.41(3H, s), 3.66-3.75(2H, m), 6.78(1H, s), 6.89(2H, d, J=7.2Hz), 7.16(2H, d, J=7.2Hz), 7.95(2H, d, J=4.2Hz), 8.68(2H, d, J=4.2Hz)(DMSO-d6)	430
XB287	1.64-1.88(4H, m), 2.21(3H, s), 2.42-2.45(4H, m), 2.89-2.94(3H, m), 3.07-3.11(4H, m), 3.41(3H, s), 3.69-3.75(2H, m), 6.78(1H, s), 6.90(2H, d, J=7.2Hz), 7.18(2H, d, J=7.2Hz), 7.96(2H, d, J=4.2Hz), 8.68(2H, d, J=4.2Hz)(DMSO-d6)	445
XB288	1.43-1.47(2H, m), 1.71-1.90(6H, m), 2.19(6H, s), 2.58-2.66(2H, m), 2.87-2.95(2H, m), 2.87-2.98(3H, m), 3.30-3.32(1H, m), 3.41(3H, s), 3.64-3.75(4H, m), 6.78(1H, s), 6.90(2H, d, J=7.2Hz), 7.16(2H, d, J=7.2Hz), 7.96(2H, d, J=4.2Hz), 8.68(2H, d, J=4.2Hz)(DMSO-d6)	473

XB289	1.72-1.94(4H, m), 2.92-2.99(3H, m), 3.08-3.11(4H, m), 3.41(3H, s), 3.52-3.56(4H, m), 3.66-3.75(2H, m), 5.11(2H, s), 6.78(1H, s), 6.93(2H, d, J=7.2Hz), 7.20(2H, d, J=7.2Hz), 7.28-7.39(5H, m), 7.95(2H, d, J=4.2Hz), 8.69(2H, d, J=4.2Hz)(DMSO-d6)	565
XB290	1.53-1.63(2H, m), 1.85-1.89(2H, m), 2.14(3H, s), 2.31-2.46(8H, m), 2.86-2.94(2H, m), 3.34-3.35(1H, m), 3.39(3H, s), 3.70-3.74(2H, m), 6.79(1H, s), 7.98(2H, d, J=4.2Hz), 8.69(2H, d, J=4.2Hz)(DMSO-d6)	369
XB291	1.52-1.63(2H, m), 1.85-1.90(2H, m), 2.34-2.42(11H, m), 2.86-2.94(2H, m), 3.39(3H, s), 3.45-3.50(2H, m), 3.70-3.74(2H, m), 4.38-4.40(1H, m), 6.80(1H, s), 7.98(2H, d, J=4.2Hz), 8.69(2H, d, J=4.2Hz)	399
XB292	1.71-1.83(4H, m), 2.81-3.00(11H, m), 3.28-3.30(1H, m), 3.41(3H, s), 3.66-3.75(2H, m), 6.78(1H, s), 6.89(2H, d, J=7.2Hz), 7.17(2H, d, J=7.2Hz), 7.96(2H, d, J=4.2Hz), 8.68(2H, d, J=4.2Hz)(DMSO-d6)	431
XB293	1.43-1.53(2H, m), 1.93-1.98(3H, m), 2.63-2.66(1H, m), 2.92-3.00(2H, m), 3.39(3H, s), 3.62-3.79(7H, m), 6.78(1H, s), 6.88-6.97(2H, m), 7.18-7.22(1H, m), 7.35(1H, d, J=7.3Hz), 7.98(2H, d, J=4.2Hz), 8.68(2H, d, J=4.2Hz)(DMSO-d6)	406
XB294	1.42-1.53(2H, m), 1.96-2.08(3H, m), 2.61-2.67(1H, m), 2.91-2.99(2H, m), 3.39(3H, s), 3.62-3.80(7H, m), 6.77(1H, s), 6.86(2H, d, J=7.2Hz), 7.25(2H, d, J=7.2Hz), 7.97(2H, d, J=4.2Hz), 8.68(2H, d, J=4.2Hz)(DMSO-d6)	406
XB295	1.81-1.91(2H, m), 2.61-2.20(2H, m), 2.96-3.17(6H, m), 3.41-3.47(5H, m), 3.74-3.86(4H, m), 6.90-7.03(3H, m), 7.21-7.29(2H, m), 8.44(2H, d, J=4.2Hz), 8.93(2H, d, J=4.2Hz), 9.30-9.38(2H, br)(DMSO-d6)	420
XB296	1.80-1.91(2H, m), 2.07-2.21(2H, m), 2.96-3.11(6H, m), 3.34-3.41(5H, m), 3.69-3.86(4H, m), 6.91(2H, d, J=7.2Hz), 7.05(1H, s), 7.20(2H, d, J=7.2Hz), 8.49(2H, d, J=4.2Hz), 8.96(2H, d, J=4.2Hz), 9.44-9.50(2H, br)(DMSO-d6)	420

	1 41 1 51(2)	
XB297	1.41-1.51(2H, m), 1.91-1.96(3H, m), 2.61-2.65(1H, m), 2.86(6H, s), 2.91-2.98(2H, m), 3.38(3H, s), 3.61-3.67(4H, m), 6.70(2H, d, J=7.2Hz), 6.77(1H, s), 7.20(2H, d, J=7.2Hz), 7.97(2H, d, J=4.2Hz), 8.68(2H, d, J=4.2Hz)(DMSO-d6)	
XB298	2.04(2H, d, J=13.1Hz), 2.34(3H, s), 2.53(2H, m), 2.91(2H, m), 3.55(3H, s), 3.70(2H, d, J=13.1Hz), 4.27(1H, m), 6.08(1H, s), 6.86(1H, s), 7.36-7.48(5H, m), 7.80(2H, dd, J=1.6, 4.3Hz), 8.69(2H, dd, J=1.3, 4.5Hz)(CDCI3)	426
XB299	2.06(2H, d, J=13.1Hz), 2.22(2H, m), 2.99(2H, m), 3.13(1H, m), 3.54(3H, s), 3.70(2H, d, J=13.1Hz), 6.68(1H, s), 7.25(1H, s), 7.44-7.48(2H, m), 7.64-7.67(3H, m), 7.78(2H, dd, J=1.6, 4.3Hz), 8.69(2H, dd, J=1.3, 4.5Hz)(CDCI3)	413
XB300	1.75-1.85(4H, m), 2.97-3.10(5H, m), 3.43(3H, s), 3.71-3.76(2H, m), 3.88-3.93(2H, m), 6.70(1H, dd, J=7.2, 7.3Hz), 6.79(1H, s), 7.02-7.06(2H, m), 7.15-7.23(3H, m), 7.31-7.35(2H, m), 7.97(2H, d, J=4.2Hz), 8.69(2H, d, J=4.2Hz)(DMSO-d6)	464
XB301	1.09-1.34(5H, m), 1.57-1.88(9H, m), 2.78-2.93(3H, m), 3.08-3.18(1H, m), 3.41(3H, s), 3.62-3.74(2H, m), 5.27(1H, d, J=8.2Hz), 6.52(2H, d, J=7.2Hz), 6.79(1H, s), 7.01(2H, d, J=7.2Hz), 7.96(2H, d, J=4.2Hz), 8.68(2H, d, J=4.2Hz)(DMSO-d6)	444
XB302	1.10-1.16(1H, m), 1.32-1.46(4H, m), 1.64-1.82(9H, m), 2.68(3H, s), 2.82-2.93(3H, m), 3.41(3H, s), 3.54-3.74(3H, m), 6.72(2H, d, J=7.2Hz), 6.78(1H, s), 7.12(2H, d, J=7.2Hz), 7.95(2H, d, J=4.2Hz), 8.68(2H, d, J=4.2Hz)(DMSO-d6)	458

No.	NMR .	MS[M+1]
YA0262	(DMSO-d6): 3.47(3H, s), 3.48-3.66(4H, m), 3.89-4.02(2H, m), 4.98(1H, m), 7.06(1H, s), 7.35-7.59(3H, m), 7.99(1H, dd, J=7.2, 6.9Hz), 8.25(1H, dd, J=5.4, 1.2Hz), 9.01(1H, d, J=5.1Hz), 9.31(1H, s), 9.84(1H, m), 10.19(1H, m).	367
YA0263	(CDCl3):3.01(1H,dd,J=10.5,12.4Hz), 3.10-3.35(3H,m), 3.57(3H,s), 3.55-3.65(2H,m), 4.05(1H,dd,J=2.4,10.4Hz), 7.00-7.10(1H,m), 7.30(1H,s), 7.22(2H,m), 7.30-7.42(2H,m), 8.15(1H,dd,J=1.3,5.2Hz), 8.86(1H,d,J=5.2Hz), 9.27(1H,d,J=1.0Hz).	367

	2 92/44 44 1 44 2	
YA0264	2.83(1H, dd, J=11.0, 11.9 Hz), 2.93(1H, s), 2.99-3.10(3H, m), 3.45(3H, s), 3.61-3.69(2H, m), 3.95(1H, dd, J=2.1, 10.3 Hz), 6.97(1H, s), 7.19(2H, t, J=8.8 Hz), 7.48-7.56(2H, m), 8.17(1H, dd, J=1.0, 5.0 Hz), 8.99(1H, d, J=5.1 Hz), 9.29(1H, d, J=1.0 Hz)(DMSO-d6)	367
YA0264 (HCI)	3.39-3.47(2H, m), 3.45(3H, s), 3.55-3.66(2H, m), 3.86-3.96(2H, m), 4.64-4.71(1H, m), 7.05(1H, s), 7.36(2H, t, J=8.7 Hz), 7.77-7.81(2H, m), 8.23(1H, dd, J=1.2, 5.1 Hz), 9.02(1H, d, J=5.1 Hz), 9.32(1H, d, J=1.2 Hz), 9.79(1H, d, J=10.2 Hz), 10.13-10.28(1H, m)(DMSO-d6)	ł
YA0267	(CDCl3):2.81(1H,dd,J=10.5,12.6Hz), 3.15-3.40(3H,m), 3.50-3.65(4H,m),3.65-3.80(1H,m), 4.51(1H,dd,J=2.7,10.5Hz), 7.20-7.45(4H,m), 7.74(1H,dd,J=1.5,7.5Hz), 8.15-8.20(1H,m), 8.85(1H,d,J=5.1Hz), 9.27(1H.s)	383
YA0268	(CDCl3):3.00(1H,dd,J=10.5,12.6Hz), 3.10-3.35(3H,m), 3.50-3.70(5H,m), 4.03(1H,dd,J=2.4,10.5Hz), 7.32(4H,m), 7.50(1H,s), 8.15(1H,dd,J=1.2,5.1Hz), 8.87(1H,d,J=5.1Hz), 9.27(1H,d,J=1.5Hz).	383
YA0269	3.40-3.50(2H, m), 3.45(3H, s), 3.53-3.65(2H, m), 3.87-3.97(2H, m), 4.68(1H, t, J=10.2 Hz), 7.05(1H, s), 7.59(2H, d, J=11.1 Hz), 7.75(2H, d, J=11.1 Hz), 8.22(1H, dd, J=1.5, 5.4 Hz), 9.02(1H, d, J=5.1 Hz), 9.31(1H, s), 9.83(1H, d, J=9.6 Hz), 10.11-10.25(1H, m)(DMSO-d6)	383
YA0274	(DMSO-d6):3.45(3H,s), 3.40-3.70(4H,m), 3.92(2H,t,J=14.1Hz), 4.67(1H,br s), 7.06(1H,s), 7.68(2H,d,J=10.0Hz), 7.72(2H,d,J=10.0Hz), 8.22(1H,d,J=4.8Hz), 9.03(1H,d,J=4.8Hz), 9.31(1H,s), 9.88(1H,br s), 10.22(1H br s)	427
YA0289	3.38-3.57(4H, m), 3.35(3H,s), 3.89(3H,s), 3.91-3.97(2H, m), 4.84-4.94(1H, m), 7.06(1H, s), 7.08-7.15(1H, m), 7.18(1H, d, J=8.4 Hz), 7.41-7.49(1H, m), 7.68(1H, d, J=7.6 Hz), 8.25(1H, d, J=4.9 Hz), 9.04(1H, d, J=5.1 Hz), 9.32(1H, s)(DMSO)	379
YA0290	(DMSO-d6):3.40-3.75(7H,m), 3.92(2H,t,J=13.2Hz), 4.64(1H,t,J=9.1Hz), 7.00-7.10(2H,m), 7.23(1H,d,J=7.6Hz), 7.35(1H,s), 7.42(1H,t, J=7.8Hz), 8.23(1H,d,J=5.6Hz), 9.02(1H,d,J=5.2Hz), 9.32(1H,s), 9.65-9.80(1H,brd), 9.90-10.15(1H,brd).	379
YA0291	(DMSO-d6): 3.42(3H, s), 3.36-3.58(4H, m), 3.79(3H, s), 3.83-3.95(2H, m), 4.61(1H, m), 7.05(1H, s), 7.07(2H, d, J=8.1Hz), 7.60(2H, d, J=8.7Hz), 8.22(1H, dd, J=5.1, 1.2Hz), 9.02(1H, d, J=5.4Hz), 9.31(1H, s), 9.58-9.74(2H, m).	379

YA0294	1.31(3H, t, J=6.8 Hz), 3.44-3.59(2H, m), 3.48(3H, s), 3.87-3.97(2H, m), 4.09-4.20(2H, m), 4.80-4.91(1H, m), 7.06(1H, s), 7.09-7.17(2H, m), 7.44(1H, t, J=7.4 Hz), 7.64(1H, d, J=7.5 Hz), 8.23(1H, d, J=5.3 Hz), 9.03(1H, d, J=5.2 Hz), 9.32(1H, s), 9.49-9.60(2H, m)(DMSO-d6)	393
YA0304	(DMSO-d6):3.45(3H,s), 3.64(3H,m), 3.93(3H,m), 4.78(1H,t,J=9.6Hz), 7.13(1H,s), 7.97(2H,d,J=8.7Hz), 8.01(2H,d,J=8.7Hz), 8.43(2H,d,J=6.2Hz), 8.93(2H,d,J=6.2Hz), 10.12(1H,s), 10.70(1H,s).	374
YA0331	(CDCI3):2.00(4H,m), 3.05(1H,t,J=11.7Hz), 3.18-3.30(3H,m), 3.29(4H,m), 3.56(3H,s), 3.62(2H,m), 3.91(1H,d,J=8.4Hz), 6.57(2H,d,J=8.7Hz), 7.31(3H,m), 8.17(1H,dd,J=1.2,5.1Hz), 8.85(1H,d,J=5.1Hz), 9.27(1H,d,J=1.2Hz).	418
YA0337	(CDCl3):3.02(1H,dd,J=10.8,12.6Hz), 3.18(8H,m), 3.56(3H,s), 3.61(1H,t,J=9.0Hz), 3.87(4H,m), 3.95(1H,dd,J=2.7,10.8Hz), 6.93(2H,d,J=8.9Hz), 7.31(1H,s), 7.36(2H,d,J=8.9Hz), 8.16(1H,dd,J=1.5,5.4Hz), 8.85(1H,d,J=5.4Hz), 9.27(1H,d,J=1.5Hz).	434
YA0340	(CDCl3):2.36(3H,s), 2.59(4H,m), 3.02(1H,t,J=11.4Hz), 3.16-3.29(7H,m), 3.26(3H,s), 3.61(2H,m), 3.94(1H,d,J=8.0Hz), 6.94(2H,d,J=8.7Hz), 7.31(1H,s), 7.34(2H,d,J=8.7Hz), 8.16(1H,d,J=5.1Hz), 8.85(1H,d,J=5.1Hz), 9.27(1H,s).	447
YA0361	3.39-3.50(2H, m), 3.47(3H, s), 3.61-3.73(1H, m), 3.78(3H, s), 3.83(3H, s), 3.87-3.92(3H, m), 4.92(1H, t, J=10.5 Hz), 6.99-7.11(3H, m), 7.57(1H, d, J=2.7 Hz), 8.25(1H, dd, J=1.2, 5.1 Hz), 9.03(1H, d, J=4.8 Hz), 9.31(1H, d, J=0.9 Hz), 9.78(1H, d, J=9.0 Hz), 10.21-10.38(1H, m)(DMSO-d6)	409
YA0362	(DMSO-d6): 3.47(3H, s), 3.37-4.04(6H, m), 3.94(6H, s), 5.09(1H, m), 6.82(2H, d, J=8.4Hz), 7.05(1H, s), 7.45(1H, t, J=8.4Hz), 8.22(1H, m), 8.24(1H, dd, J=5.4, 1.5Hz), 9.05(1H, d, J=5.1Hz), 9.32(1H, s), 10.06(1H, m).	409
YA0366	3.38-3.60(4H, m), 3.47(3H, s), 3.88-3.95(2H, m), 3.90(3H, s), 4.86-4.92(1H, m), 6.96-7.01(1H, m), 7.06(1H, s), 7.12(1H, d, J=8.8 Hz), 7.71-7.79(1H, m), 8.23-8.24(1H, m), 9.03(1H, d, J=5.1 Hz), 9.32(1H, d, J=1.2 Hz), 9.55-9.72(2H, m)(DMSO)	397
YA0367/ YA0368	(DMSO-d6):3.30-3.75(7H,m), 3.80-4.00(5H,m), 4.80-5.00(1H,m), 6.93-7.00(1H,m), 7.05(1H,s), 7.11(1H,dd,J=2.4,11.4Hz), 7.84(1H,m), 8.23(1H,d,J=5.1Hz), 9.03(1H,d,J=5.1Hz), 9.31(1H,s), 9.60-9.80(1H,brd), 9.90-10.15(1H,brd).	397

ł	3.31-3.56(3H, m), 3.45(3H, s), 3.69-3.78(1H, m)	
	1 -1-5 0.00(%)1. IIII. 3 94(3H e) 4 0E E 09/4(1)	'
YA0370	1 3 3 3 4 4 4 5 1111. / U.S. / DQ/2H m/	·
'	1 1 45-1 30(1H, M) 8 24/1H A 1-4 4 U-1	397
	8.51-8.69(1H, m), 9.03(1H, d, J=5.1 Hz),	
	9.32(1H, s), 10.55-10.67(1H, m) (DMSO)	
	2 77(1H dd 1=10.5 40.0 H) (DMSO)	
	2.77(1H, dd, J=10.5, 12.0 Hz), 3.18-3.30(3H, m),	,
1	1 0.0 (01) 0/, 0.04-0 / 11/H m) 2 26/2U 5	
YA0378	T-0/\ 11, UU, J=2.1. 10.1 Hz) 6.80/4H 2 1-4 5	
	1'2/, 0'33(10, uu, J=1,b, 8 2 Hz) 7 22/4U a)	413
	1'.50(10, 4, 3=8.2 Hz) 8 19/1H d 1=5 2 U=1	ľ
	0.00(   D, U, J=5.2 Hz)   9 27/1H   e\/CDC(2\	
	(CDCI3):2./6(1H.dd J=10.2.12.3U=)	
	3.10-3.40(3H,m), 3.55-3.80(5H,m), 3.85(3H,s),	1
YA0399	4.39(1H,dd,J=2.4,10.2Hz), 6.78(1H,d,J=8.7Hz),	-
1 40399	7.32(1H,s), 7.39(1H,dd,J=2.7,8.7Hz),	457
	7.72(1H d l=2.04H)	457
	7.72(1H,d,J=2.4Hz), 8.20(1H,dd,J=1.2,5.1Hz), 8.87(1H,d,J=5.4Hz), 0.77(1H,d,J=5.4Hz),	
	1 2.27 111.0.323 1821 9 27/19 4 124 0112	
	1 (3000), 1.30-2.03(4H m) 2 84/1H m)	
	19.17.3.34(/ [I, III), 3.60/3H e) 3.50.2.74/2H ===	
YA0408	1 9.00(01), 81, 4.20(1H d 8 AU <sub>7</sub> )	1
	1 0 1.01.12/1 0.10(11), 0.1=8 3Hz) 7 20/4U 5)	448
	1 1 1 2 2 1 1 1 1 1 2 2 2 2 2 2 2 2 2 2	<b> </b>
	<u>  0.03(10, 0, J=5.2Hz), 9.27(1H_e)</u>	
	(CDCI3):1.95-2.10(4H m) 2.95-3.10(4H m)	<b></b>
	3.19-3.45(7H,m), 3.59(3H,s), 3.50-3.80(2H,m),	
V 4 0 4 0 0	3.80(3H,s), 4.48(1H,dd,J=2.2,10.2Hz),	
YA0409	6 49(1H dd 1=3.0.8 0H=) C 00.0 07(0Hz)	448
	6.49(1H,dd,J=3.0,8.9Hz), 6.63-6.87(2H,m),	740
	7.32(1H,s), 8.20(1H,dd,J=1.4,5.2Hz),	
	8.86(2H,d,J=5.2Hz), 9.27(1H,d,J=1.1Hz).	
	(CDCl3):3.14(2H,m), 3.22(1H,t,J=11.6Hz),	
	3.41(1H,t,J=11.6Hz), 3.82(2H,m), 3.83(3H,s), 3.88(3H,s), 4.52(4H,d), 4.52(4H,d	
YA0414	♥.♥♥♥♥ ,\$/, 4.00E  H  nn   =₹ 1 11 nu=\	
1A0414		
	0.51(2H,m), 7.32(1H.s)	415
	0.51(2H,m), 7.32(1H,s),   8.19(1H,dd,J=1.5.5.3Hz), 8.86(1H,d, l=5.3Hz)	415
	8.19(1H,dd,J=1.5,5.3Hz), 8.86(1H,d,J=5.3Hz), 9.27(1H,d,J=1.5Hz)	415
	0.51(2H,m), 7.32(1H,s), 8.19(1H,dd,J=1.5,5.3Hz), 8.86(1H,d,J=5.3Hz), 9.27(1H,d,J=1.5Hz). (DMSO-d6):3.35-3.70(4H,m), 3.48(3H,s)	415
	0.51(2H,m), 7.32(1H,s), 8.19(1H,dd,J=1.5,5.3Hz), 8.86(1H,d,J=5.3Hz), 9.27(1H,d,J=1.5Hz). (DMSO-d6):3.35-3.70(4H,m), 3.48(3H,s)	415
	0.51(2H,m), 7.32(1H,s), 8.19(1H,dd,J=1.5,5.3Hz), 8.86(1H,d,J=5.3Hz), 9.27(1H,d,J=1.5Hz). (DMSO-d6):3.35-3.70(4H,m), 3.48(3H,s), 3.78(3H,s), 3.97(2H,m), 4.70(1H,m)	415
YA0423	0.51(2H,m), 7.32(1H,s), 8.19(1H,dd,J=1.5,5.3Hz), 8.86(1H,d,J=5.3Hz), 9.27(1H,d,J=1.5Hz). (DMSO-d6):3.35-3.70(4H,m), 3.48(3H,s), 3.78(3H,s), 3.97(2H,m), 4.70(1H,m), 7.06(1H,t,J=7.7Hz), 7.07(1H s)	
YA0423	0.51(2H,m), 7.32(1H,s), 8.19(1H,dd,J=1.5,5.3Hz), 8.86(1H,d,J=5.3Hz), 9.27(1H,d,J=1.5Hz). (DMSO-d6):3.35-3.70(4H,m), 3.48(3H,s), 3.78(3H,s), 3.97(2H,m), 4.70(1H,m), 7.06(1H,t,J=7.7Hz), 7.07(1H,s), 7.15(1H,d,J=7.7Hz), 7.31(1H,d,J=7.7Hz)	415 455
YA0423	0.51(2H,m), 7.32(1H,s), 8.19(1H,dd,J=1.5,5.3Hz), 8.86(1H,d,J=5.3Hz), 9.27(1H,d,J=1.5Hz). (DMSO-d6):3.35-3.70(4H,m), 3.48(3H,s), 3.78(3H,s), 3.97(2H,m), 4.70(1H,m), 7.06(1H,t,J=7.7Hz), 7.07(1H,s), 7.15(1H,d,J=7.7Hz), 7.31(1H,d,J=7.7Hz), 7.39(1H,t,J=7.7Hz), 7.61(2H,d,J=8.1Hz)	
YA0423	0.51(2H,m), 7.32(1H,s), 8.19(1H,dd,J=1.5,5.3Hz), 8.86(1H,d,J=5.3Hz), 9.27(1H,d,J=1.5Hz). (DMSO-d6):3.35-3.70(4H,m), 3.48(3H,s), 3.78(3H,s), 3.97(2H,m), 4.70(1H,m), 7.06(1H,t,J=7.7Hz), 7.07(1H,s), 7.15(1H,d,J=7.7Hz), 7.31(1H,d,J=7.7Hz), 7.39(1H,t,J=7.7Hz), 7.61(2H,d,J=8.1Hz), 7.70(2H,d,J=8.1Hz), 8.25(1H,d,J=8.1Hz),	
YA0423	0.51(2H,m), 7.32(1H,s), 8.19(1H,dd,J=1.5,5.3Hz), 8.86(1H,d,J=5.3Hz), 9.27(1H,d,J=1.5Hz). (DMSO-d6):3.35-3.70(4H,m), 3.48(3H,s), 3.78(3H,s), 3.97(2H,m), 4.70(1H,m), 7.06(1H,t,J=7.7Hz), 7.07(1H,s), 7.15(1H,d,J=7.7Hz), 7.31(1H,d,J=7.7Hz), 7.39(1H,t,J=7.7Hz), 7.61(2H,d,J=8.1Hz), 7.70(2H,d,J=8.1Hz), 8.25(1H,d,J=4.5Hz), 9.07(1H,d,J=4.5Hz), 9.33(1H s), 9.66(1H hr a)	
YA0423	0.51(2H,m), 7.32(1H,s), 8.19(1H,dd,J=1.5,5.3Hz), 8.86(1H,d,J=5.3Hz), 9.27(1H,d,J=1.5Hz). (DMSO-d6):3.35-3.70(4H,m), 3.48(3H,s), 3.78(3H,s), 3.97(2H,m), 4.70(1H,m), 7.06(1H,t,J=7.7Hz), 7.07(1H,s), 7.15(1H,d,J=7.7Hz), 7.31(1H,d,J=7.7Hz), 7.39(1H,t,J=7.7Hz), 7.61(2H,d,J=8.1Hz), 7.70(2H,d,J=8.1Hz), 8.25(1H,d,J=4.5Hz), 9.07(1H,d,J=4.5Hz), 9.33(1H,s), 9.66(1H,br s). (DMSO-d6):3.61(3H,m), 3.76(3H s), 3.81(2H s)	
YA0423	0.51(2H,m), 7.32(1H,s), 8.19(1H,dd,J=1.5,5.3Hz), 8.86(1H,d,J=5.3Hz), 9.27(1H,d,J=1.5Hz). (DMSO-d6):3.35-3.70(4H,m), 3.48(3H,s), 3.78(3H,s), 3.97(2H,m), 4.70(1H,m), 7.06(1H,t,J=7.7Hz), 7.07(1H,s), 7.15(1H,d,J=7.7Hz), 7.31(1H,d,J=7.7Hz), 7.39(1H,t,J=7.7Hz), 7.61(2H,d,J=8.1Hz), 7.70(2H,d,J=8.1Hz), 8.25(1H,d,J=4.5Hz), 9.07(1H,d,J=4.5Hz), 9.33(1H,s), 9.66(1H,br s). (DMSO-d6):3.61(3H,m), 3.76(3H,s), 3.81(3H,s), 4.01(3H,m), 4.69(1H,t,J=9.9Hz)	
-	0.51(2H,m), 7.32(1H,s), 8.19(1H,dd,J=1.5,5.3Hz), 8.86(1H,d,J=5.3Hz), 9.27(1H,d,J=1.5Hz). (DMSO-d6):3.35-3.70(4H,m), 3.48(3H,s), 3.78(3H,s), 3.97(2H,m), 4.70(1H,m), 7.06(1H,t,J=7.7Hz), 7.07(1H,s), 7.15(1H,d,J=7.7Hz), 7.31(1H,d,J=7.7Hz), 7.39(1H,t,J=7.7Hz), 7.61(2H,d,J=8.1Hz), 7.70(2H,d,J=8.1Hz), 8.25(1H,d,J=4.5Hz), 9.07(1H,d,J=4.5Hz), 9.33(1H,s), 9.66(1H,br s). (DMSO-d6):3.61(3H,m), 3.76(3H,s), 3.81(3H,s), 4.01(3H,m), 4.69(1H,t,J=9.9Hz), 7.05(2H,d,J=9.0Hz), 7.07(1H s)	
YA0423 YA0425	0.51(2H,m), 7.32(1H,s), 8.19(1H,dd,J=1.5,5.3Hz), 8.86(1H,d,J=5.3Hz), 9.27(1H,d,J=1.5Hz). (DMSO-d6):3.35-3.70(4H,m), 3.48(3H,s), 3.78(3H,s), 3.97(2H,m), 4.70(1H,m), 7.06(1H,t,J=7.7Hz), 7.07(1H,s), 7.15(1H,d,J=7.7Hz), 7.31(1H,d,J=7.7Hz), 7.39(1H,t,J=7.7Hz), 7.61(2H,d,J=8.1Hz), 7.70(2H,d,J=8.1Hz), 8.25(1H,d,J=4.5Hz), 9.07(1H,d,J=4.5Hz), 9.33(1H,s), 9.66(1H,br s). (DMSO-d6):3.61(3H,m), 3.76(3H,s), 3.81(3H,s), 4.01(3H,m), 4.69(1H,t,J=9.9Hz), 7.05(2H,d,J=9.0Hz), 7.07(1H,s), 7.67(2H,d,J=9.0Hz), 7.76(4H s)	455
-	0.51(2H,m), 7.32(1H,s), 8.19(1H,dd,J=1.5,5.3Hz), 8.86(1H,d,J=5.3Hz), 9.27(1H,d,J=1.5Hz). (DMSO-d6):3.35-3.70(4H,m), 3.48(3H,s), 3.78(3H,s), 3.97(2H,m), 4.70(1H,m), 7.06(1H,t,J=7.7Hz), 7.07(1H,s), 7.15(1H,d,J=7.7Hz), 7.31(1H,d,J=7.7Hz), 7.39(1H,t,J=7.7Hz), 7.61(2H,d,J=8.1Hz), 7.70(2H,d,J=8.1Hz), 8.25(1H,d,J=4.5Hz), 9.07(1H,d,J=4.5Hz), 9.33(1H,s), 9.66(1H,br s). (DMSO-d6):3.61(3H,m), 3.76(3H,s), 3.81(3H,s), 4.01(3H,m), 4.69(1H,t,J=9.9Hz), 7.05(2H,d,J=9.0Hz), 7.07(1H,s), 7.67(2H,d,J=9.0Hz), 7.76(4H,s), 8.24(1H,dd,J=1.2,5.1Hz), 9.03(1H,d,J=5.1Hz)	
-	0.51(2H,m), 7.32(1H,s), 8.19(1H,dd,J=1.5,5.3Hz), 8.86(1H,d,J=5.3Hz), 9.27(1H,d,J=1.5Hz). (DMSO-d6):3.35-3.70(4H,m), 3.48(3H,s), 3.78(3H,s), 3.97(2H,m), 4.70(1H,m), 7.06(1H,t,J=7.7Hz), 7.07(1H,s), 7.15(1H,d,J=7.7Hz), 7.31(1H,d,J=7.7Hz), 7.39(1H,t,J=7.7Hz), 7.61(2H,d,J=8.1Hz), 7.70(2H,d,J=8.1Hz), 8.25(1H,d,J=4.5Hz), 9.07(1H,d,J=4.5Hz), 9.33(1H,s), 9.66(1H,br s). (DMSO-d6):3.61(3H,m), 3.76(3H,s), 3.81(3H,s), 4.01(3H,m), 4.69(1H,t,J=9.9Hz), 7.05(2H,d,J=9.0Hz), 7.07(1H,s), 7.67(2H,d,J=9.0Hz), 7.76(4H,s), 8.24(1H,dd,J=1.2,5.1Hz), 9.03(1H,d,J=5.1Hz)	455
-	0.51(2H,m), 7.32(1H,s), 8.19(1H,dd,J=1.5,5.3Hz), 8.86(1H,d,J=5.3Hz), 9.27(1H,d,J=1.5Hz). (DMSO-d6):3.35-3.70(4H,m), 3.48(3H,s), 3.78(3H,s), 3.97(2H,m), 4.70(1H,m), 7.06(1H,t,J=7.7Hz), 7.07(1H,s), 7.15(1H,d,J=7.7Hz), 7.31(1H,d,J=7.7Hz), 7.39(1H,t,J=7.7Hz), 7.61(2H,d,J=8.1Hz), 7.70(2H,d,J=8.1Hz), 8.25(1H,d,J=4.5Hz), 9.07(1H,d,J=4.5Hz), 9.33(1H,s), 9.66(1H,br s). (DMSO-d6):3.61(3H,m), 3.76(3H,s), 3.81(3H,s), 4.01(3H,m), 4.69(1H,t,J=9.9Hz), 7.05(2H,d,J=9.0Hz), 7.07(1H,s), 7.67(2H,d,J=9.0Hz), 7.76(4H,s), 8.24(1H,dd,J=1.2,5.1Hz), 9.03(1H,d,J=5.1Hz), 9.32(1H,d,J=1.2Hz), 9.79(1H d,J=10.2Hz)	455
-	0.51(2H,m), 7.32(1H,s), 8.19(1H,dd,J=1.5,5.3Hz), 8.86(1H,d,J=5.3Hz), 9.27(1H,d,J=1.5Hz). (DMSO-d6):3.35-3.70(4H,m), 3.48(3H,s), 3.78(3H,s), 3.97(2H,m), 4.70(1H,m), 7.06(1H,t,J=7.7Hz), 7.07(1H,s), 7.15(1H,d,J=7.7Hz), 7.31(1H,d,J=7.7Hz), 7.39(1H,t,J=7.7Hz), 7.61(2H,d,J=8.1Hz), 7.70(2H,d,J=8.1Hz), 8.25(1H,d,J=4.5Hz), 9.07(1H,d,J=4.5Hz), 9.33(1H,s), 9.66(1H,br s). (DMSO-d6):3.61(3H,m), 3.76(3H,s), 3.81(3H,s), 4.01(3H,m), 4.69(1H,t,J=9.9Hz), 7.05(2H,d,J=9.0Hz), 7.07(1H,s), 7.67(2H,d,J=9.0Hz), 7.76(4H,s), 8.24(1H,dd,J=1.2,5.1Hz), 9.03(1H,d,J=5.1Hz), 9.32(1H,d,J=1.2Hz), 9.79(1H,d,J=10.2Hz), 10.07(1H,s).	455
-	0.51(2H,m), 7.32(1H,s), 8.19(1H,dd,J=1.5,5.3Hz), 8.86(1H,d,J=5.3Hz), 9.27(1H,d,J=1.5Hz). (DMSO-d6):3.35-3.70(4H,m), 3.48(3H,s), 3.78(3H,s), 3.97(2H,m), 4.70(1H,m), 7.06(1H,t,J=7.7Hz), 7.07(1H,s), 7.15(1H,d,J=7.7Hz), 7.31(1H,d,J=7.7Hz), 7.39(1H,t,J=7.7Hz), 7.61(2H,d,J=8.1Hz), 7.70(2H,d,J=8.1Hz), 8.25(1H,d,J=4.5Hz), 9.07(1H,d,J=4.5Hz), 9.33(1H,s), 9.66(1H,br s). (DMSO-d6):3.61(3H,m), 3.76(3H,s), 3.81(3H,s), 4.01(3H,m), 4.69(1H,t,J=9.9Hz), 7.05(2H,d,J=9.0Hz), 7.07(1H,s), 7.67(2H,d,J=9.0Hz), 7.76(4H,s), 8.24(1H,dd,J=1.2,5.1Hz), 9.03(1H,d,J=5.1Hz), 9.32(1H,d,J=1.2Hz), 9.79(1H,d,J=10.2Hz), 10.07(1H,s). (DMSO-d6):3.30-3.70(4H m) 3.42(3H s)	455
YA0425	0.51(2H,m), 7.32(1H,s), 8.19(1H,dd,J=1.5,5.3Hz), 8.86(1H,d,J=5.3Hz), 9.27(1H,d,J=1.5Hz). (DMSO-d6):3.35-3.70(4H,m), 3.48(3H,s), 3.78(3H,s), 3.97(2H,m), 4.70(1H,m), 7.06(1H,t,J=7.7Hz), 7.07(1H,s), 7.15(1H,d,J=7.7Hz), 7.31(1H,d,J=7.7Hz), 7.39(1H,t,J=7.7Hz), 7.61(2H,d,J=8.1Hz), 7.70(2H,d,J=8.1Hz), 8.25(1H,d,J=4.5Hz), 9.07(1H,d,J=4.5Hz), 9.33(1H,s), 9.66(1H,br s). (DMSO-d6):3.61(3H,m), 3.76(3H,s), 3.81(3H,s), 4.01(3H,m), 4.69(1H,t,J=9.9Hz), 7.05(2H,d,J=9.0Hz), 7.07(1H,s), 7.67(2H,d,J=9.0Hz), 7.76(4H,s), 8.24(1H,dd,J=1.2,5.1Hz), 9.03(1H,d,J=5.1Hz), 9.32(1H,d,J=1.2Hz), 9.79(1H,d,J=10.2Hz), 10.07(1H,s). (DMSO-d6):3.30-3.70(4H,m), 3.42(3H,s), 3.96(2H,d,J=13.8Hz), 4.71(1H,t,l=11.3Hz)	455
-	0.51(2H,m), 7.32(1H,s), 8.19(1H,dd,J=1.5,5.3Hz), 8.86(1H,d,J=5.3Hz), 9.27(1H,d,J=1.5Hz). (DMSO-d6):3.35-3.70(4H,m), 3.48(3H,s), 3.78(3H,s), 3.97(2H,m), 4.70(1H,m), 7.06(1H,t,J=7.7Hz), 7.07(1H,s), 7.15(1H,d,J=7.7Hz), 7.31(1H,d,J=7.7Hz), 7.39(1H,t,J=7.7Hz), 7.61(2H,d,J=8.1Hz), 7.70(2H,d,J=8.1Hz), 8.25(1H,d,J=4.5Hz), 9.07(1H,d,J=4.5Hz), 9.33(1H,s), 9.66(1H,br s). (DMSO-d6):3.61(3H,m), 3.76(3H,s), 3.81(3H,s), 4.01(3H,m), 4.69(1H,t,J=9.9Hz), 7.05(2H,d,J=9.0Hz), 7.07(1H,s), 7.67(2H,d,J=9.0Hz), 7.76(4H,s), 8.24(1H,dd,J=1.2,5.1Hz), 9.03(1H,d,J=5.1Hz), 9.32(1H,d,J=1.2Hz), 9.79(1H,d,J=10.2Hz), 10.07(1H,s). (DMSO-d6):3.30-3.70(4H,m), 3.42(3H,s), 3.96(2H,d,J=13.8Hz), 4.71(1H,t,J=11.3Hz), 7.06(1H,s), 7.33(2H,t,J=8.0Hz), 7.77(6H,m)	<b>455</b>
YA0425	0.51(2H,m), 7.32(1H,s), 8.19(1H,dd,J=1.5,5.3Hz), 8.86(1H,d,J=5.3Hz), 9.27(1H,d,J=1.5Hz). (DMSO-d6):3.35-3.70(4H,m), 3.48(3H,s), 3.78(3H,s), 3.97(2H,m), 4.70(1H,m), 7.06(1H,t,J=7.7Hz), 7.07(1H,s), 7.15(1H,d,J=7.7Hz), 7.31(1H,d,J=7.7Hz), 7.39(1H,t,J=7.7Hz), 7.61(2H,d,J=8.1Hz), 7.70(2H,d,J=8.1Hz), 8.25(1H,d,J=4.5Hz), 9.07(1H,d,J=4.5Hz), 9.33(1H,s), 9.66(1H,br s). (DMSO-d6):3.61(3H,m), 3.76(3H,s), 3.81(3H,s), 4.01(3H,m), 4.69(1H,t,J=9.9Hz), 7.05(2H,d,J=9.0Hz), 7.07(1H,s), 7.67(2H,d,J=9.0Hz), 7.76(4H,s), 8.24(1H,dd,J=1.2,5.1Hz), 9.03(1H,d,J=5.1Hz), 9.32(1H,d,J=1.2Hz), 9.79(1H,d,J=10.2Hz), 10.07(1H,s). (DMSO-d6):3.30-3.70(4H m) 3.42(3H s)	455

YA0442	3.43-3.59(2H, m), 3.48(3H, s), 3.63-3.75(2H, m), 3.97-4.01(2H, m), 4.80-4.86(1H, m), 7.06(1H, s), 7.60-7.64(2H, m), 7.86-7.88(1H, m), 7.95-8.00(2H, m), 8.05-8.07(1H, m), 8.24-8.27(2H, m), 9.02(1H, d, J=5.4 Hz), 9.32(1H, s), 10.01(1H, d, J=10.2 Hz), 10.30-10.41(1H, m)(DMSO-d6)	399
YA0517	(CDCl3): 2.97(1H, dd, J=12.3, 10.5Hz), 3.18-3.28(5H, m), 3.58(3H, s), 3.59(1H, m), 3.77(1H, m), 4.27(1H, dd, 10.2, 2.7Hz), 4.62(2H, m), 6.89(1H, t, J=7.5Hz), 7.16(1H, m), 7.27(1H, m), 7.28(1H, s), 8.26(1H, dd, J=5.4, 1.5Hz), 8.86(1H, d, J=5.4Hz), 9.26(1H, s).	391
YA0864	(DMSO-d6):3.15-3.35(1H,m), 3.38-3.50(4H,m), 3.70-4.30(9H,m), 5.00-5.20(1H,m), 7.00-7.10(2H,m), 7.10-7.20(1H,m), 7.30-7.50(6H,m), 8.15-8.20(1H,m), 8.30-8.40(1H,brd), 9.05(1H,d,J=5.1Hz), 9.31(1H,d,J=0.9Hz).	487
YA1074	(CDCl3):1.80-2.40(3H, m), 3.12-3.34(4H, m), 3.39-4.20(7.6H, m), 4.50-5.07(0.6H, m), 5.30-5.60(0.7H, m), 5.72-6.05(0.1H, m), 6.52-6.80(2H, m), 6.82-7.22(1H, m), 7.28(1H, s), 8.18(1H, d,J=4.8Hz), 8.89(1H, d,J=5.1Hz), 9.28(1H, d,J=1.2Hz)	439
YA1339	(CDCl3):2.50-2.62(1H,m), 2.80-2.95(1H,m), 3.02-3.20(1H,m), 3.25-3.40(1H,m), 3.50-3.74(5H,m), 3.75-3.80(1H,m), 3.85(3H,s), 6.60-6.80(2H,m), 7.30(1H,s), 7.48(1H,t,J=8.4Hz), 8.19(1H,dd,J=1.2,5.1Hz), 8.86(1H,d,J=5.1Hz), 9.27(1H,d,J=1.5Hz).	411
YA1340/ YA1341	(DMSO-d6):2.55(3H,d,J=3.9Hz), 3.40-3.80(3H,m), 3.45(3H,s), 3.80-4.15(6H,m), 4.85-5.15(1H,m), 6.90-7.05(1H,m), 7.05(1H,s), 7.13(1H,dd,J=2.4,11.4Hz), 8.21(1H,dd,J=1.2,5.1Hz), 9.04(1H,d,J=5.1Hz), 9.31(1H,d,J=1.2Hz), 11.50-12.20(1H,brd).	411
YA1534	2.90-3.10 (1H, m), 3.15-3.35 (3H, m), 3.50-3.70 (5H, m), 3.80-4.05 (7H, m), 6.87 (1H, d, J = 8.1 Hz), 6.90-7.10 (2H, m), 7.31 (1H, s), 8.16 (1H, d, J = 4.6 Hz), 8.85 (1H, d, J = 5.0 Hz), 9.27 (1H, s) (CDCI3)	408
YA1535	3.45 (3H, s), 3.46 (2H, m), 3.64 (m, 2H), 3.91 (2H, t, J = 16.1 Hz), 4.68 (1H, t, J = 10.5 Hz), 7.05 (1H, s), 7.59 (2H, d, J = 8.4 Hz), 7.79 (2H, d, J = 8.4 Hz), 8.23 (1H, dd, J = 5.1, 1.2 Hz), 9.02 (1H, d, J = 5.1 Hz), 9.31 (1H, d, J = 1.2 Hz), 10.00 (1H, d, J = 8.7 Hz), 10.49 (1H, br s) (DMSO-6)	383
YA1536	3.45 (3H, s), 3.46 (2H, m), 3.64 (m, 2H), 3.91 (2H, t, J = 16.1 Hz), 4.68 (1H, t, J = 10.5 Hz), 7.05 (1H, s), 7.59 (2H, d, J = 8.4 Hz), 7.79 (2H, d, J = 8.4 Hz), 8.23 (1H, dd, J = 5.1, 1.2 Hz), 9.02 (1H, d, J = 5.1 Hz), 9.31 (1H, d, J = 1.2 Hz), 10.00 (1H, d, J = 8.7 Hz), 10.49 (1H, br s) (DMSO-6)	383

YA1537	2.39(3H, s), 2.60(4H, t, J=4.6Hz), 3.37(4H, t, J=4.8Hz), 3.53(3H, s), 7.27(1H, s), 8.18(1H, dd, J=1.2, 5.4Hz), 8.87(1H, d, J=5.1Hz), 9.28(1H, s)(CDCI3)	286
YA1538	2.64-2.74(1H, br.s), 2.66(2H, t, J=5.3Hz), 2.73(4H, t, J=4.4Hz), 3.39(4H, t, J=4.0Hz), 3.54(3H, s), 3.69-3.70(2H, m), 7.26(1H, s), 8.18(1H, d, J=5.0Hz), 8.88(1H, t, J=5.0Hz), 9.28(1H, s)(CDCI3)	316
YA1539	1.10(6H, t, J=6.6Hz), 2.71(4H, t, J=4.9Hz), 2.77(1H, m), 3.36(4H, t, J=4.9Hz), 3.54(3H, s), 7.27(1H, s), 8.18(1H, dd, J=1.1, 5.2Hz), 8.87(2H, d, J=5.1Hz), 9.27(1H, s)(CDCI3)	314
YA1540	1.15(6H, d, J=6.2Hz), 1.50(1H, br.s), 2.61(2H, dd, J=1.6, 12.4Hz), 3.06-3.16(2H, m), 3.49(2H, d, J=13.0Hz), 3.52(3H, s), 7.27(1H, s), 8.16(1H, dd, J=1.3, 5.0Hz), 8.88(1H, d, J=5.0Hz), 9.27(1H, d, J=1.3Hz)(CDCI3)	300
YA1541	2.98 (1H, t, J = 11.5 Hz), 3.20 (3H, m), 3.57 (3H, s), 3.58 (2H, m), 4.02 (1H, dd, J = 10.5, 2.2 Hz), 7.27 (1H, s), 7.29 (1H, d, J = 8.3 Hz), 7.46 (1H, d, J = 8.3 Hz), 7.61 (1H, s), 8.13 (1H, d, J = 5.2 Hz), 8.86 (1H, d, J = 5.2 Hz), 9.27 (1H, s) (CDCI3)	417
YA1542	3.44(3H, s), 3.62-3.73(2H, m), 3.86-3.93(2H, m), 4.66(1H, m), 7.05(1H, s), 7.45(1H, dd, J=8.4, 8.4Hz), 7.67(1H, d, J=8.4Hz), 7.81(1H, d, J=8.4Hz), 8.04(1H, s), 8.25(1H, dd, J=5.4, 1.5Hz), 9.02(1H, d, J=5.4 Hz), 8.18(1H, dd, J=5.4, 1.2 Hz), 8.99(1H, d, J=5.1 Hz), 9.32(1H, d, J=1.5 Hz), 10.13(1H, m), 10.67(1H, m) (DMSO)	427
YA1543	3.53 (1H, dd, J = 13.5, 8.9 Hz), 3.47 (3H, s), 3.79 (1H, dd, J = 13.5, 3.9 Hz), 4.73 (1H, d, J = 17.1 Hz), 4.22 (1H, d, J = 17.1 Hz), 4.82 (1H, dd, J = 8.9, 3.9 Hz), 6.08 (1H, s), 7.31 (2H, d, J = 8.4 Hz), 7.42 (2H, d, J = 8.4 Hz), 8.14 (1H, d, J = 5.1, 1.5 Hz), 8.90 (1H, d, J = 5.1 Hz), 9.29 (1H, d, J = 1.5 Hz) (CDCI3)	397
YA1544	1.97 (4H, m), 3.26 (4H, m), 3.39 (2H, m), 3.44 (3H, s), 3.60 (2H, m), 3.79 (1H, d, J = 13.5 Hz), 3.91 (1H, d, J = 13.8 Hz), 4.48 (1H, t, J = 10.1 Hz), 6.66 (2H, d, J = 8.4 Hz), 7.04 (1H, s), 7.51 (2H, d, J = 8.4 Hz), 8.21 (1H, d, J = 5.1 Hz), 9.02 (1H, d, J = 5.1 Hz), 9.31 (1H, s), 9.70 (1H, d, J = 10.8 Hz), 10.07 (1H, br s) (DMSO-d6)	418
YA1545	3.21 (4H, m), 3.42 (2H, m), 3.44 (3H, s), 3.62 (2H, m), 3.79 (4H, m), 3.90 (2H, t, J = 14.6 Hz), 4.54 (1H, t, J = 10.5 Hz), 7.05 (1H, s), 7.13 (2H, d, J = 8.7 Hz), 7.62 (2H, d, J = 8.7 Hz), 8.22 (1H, d, J = 4.8 Hz), 9.02 (1H, d, J = 4.8 Hz), 9.31 (1H, s), 9.80 (1H, d, J = 9.3 Hz), 10.23 (1H, br s) (DMSO-d6)	434

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YA1546	2.80 (3H, d, J = 4.5 Hz), 3.26 (4H, m), 3.44 (3H, s), 3.45 (4H, m), 3.60 (2H, m), 3.80 (1H, d, J = 3.5 Hz), 3.90 (3H, m), 4.54 (1H, t, J = 10.5 Hz), 7.04 (1H, s), 7.10 (2H, d, J = 8.7 Hz), 7.62 (2H, d, J = 8.7 Hz), 8.20 (1H, dd, J = 5.1, 1.2 Hz), 9.02 (1H, d, J = 5.1 Hz), 9.32 (1H, d, J = 1.2 Hz), 9.86 (1H, d, J = 10.2 Hz), 10.33 (1H, br s), 11.15 (1H, br s) (DMSO-d6)	447
YA1547	2.28(3H, s), 3.07(4H, t, J=4.7Hz), 3.37(4H, t, J=4.8Hz), 3.75(3H, s), 5.76(1H, s), 7.26-7.33(2H, m), 7.45(2H, dd, J=7.8, 7.8Hz), 7.79(2H, d, J=7.8Hz), 8.14(1H, d, J=5.4Hz), 8.87(1H, dd, J=7.8, 7.8Hz), 9.28(1H, d, J=1.2Hz)(CDCI3)	428
YA1548	2.37 (1H, m), 2.43 (1H, m), 2.80 (3H, d, J = 5.2 Hz), 2.81 (3H, d, J = 5.2 Hz), 3.28 (1H, q, J = 8.8 Hz), 3.40 (2H, m), 3.44 (3H, s), 3.57 (5H, m), 3.79 (1H, d, J = 11.4 Hz), 3.97 (2H, m), 4.50 (1H, t, J = 10.0 Hz), 6.69 (2H, d, J = 8.4 Hz), 7.05 (1H, s), 7.54 (2H, d, J = 8.4 Hz), 8.20 (1H, dd, J = 4.8, 1.2 Hz), 9.03 (1H, d, J = 4.8 Hz), 9.32 (1H, d, J = 1.2 Hz), 9.71 (1H, br s), 10.06 (1H, br s), 11.35 (1H, br s) (DMSO-d6)	461
YA1549	2.33 (1H, m), 2.41 (1H, m), 2.79 (3H, d, J = 4.8 Hz), 2.81 (3H, d, J = 4.8 Hz), 3.28 (1H, d, J = 8.4 Hz), 3.39 (2H, m), 3.44 (3H, s), 3.57 (5H, m), 3.79 (1H, d, J = 13.3 Hz), 3.97 (2H, m), 4.50 (1H, t, J = 11.6 Hz), 6.69 (2H, d, J = 8.4 Hz), 7.04 (1H, s), 7.55 (2H, d, J = 8.4 Hz), 8.21 (2H, d, J = 5.2 Hz), 9.02 (2H, d, J = 5.2 Hz), 9.32 (1H, s), 9.75 (1H, br s), 10.14 (1H, br s), 11.45 (1H, br s) (DMSO-d6)	461
YA1550	3.47 (3H, s), 3.60 (2H, m), 3.76 (2H, m), 3.81 (3H, s), 3.94 (2H, m), 4.68 (1H, m), 7.05 (2H, d, J = 8.6 Hz), 7.06 (1H, s), 7.67 (2H, d, J = 8.6 Hz), 7.76 (4H, s), 8.25 (1H, d, J = 5.0 Hz), 9.03 (1H, d, J = 5.0 Hz), 9.32 (1H, s) (DMSO-d6)	455
YA1551	1.18 (1H, m), 1.40 (4H, m), 1.70 (1H, m), 1.80 (4H, m), 2.55 (1H, m), 3.43 (2H, m), 3.45 (3H, s), 3.60 (2H, m), 3.91 (2H, m), 4.60 (1H, t, J = 10.8 Hz), 7.05 (1H, s), 7.35 (2H, d, J = 8.0 Hz), 7.64 (2H, d, J = 8.0 Hz), 9.03 (1H, d, J = 4.8 Hz), 9.31 (1H, s), 9.80 (1H, d, J = 8.8 Hz), 10.24 (1H, m) (DMSO-d6)	431
YA1552	3.02(4H, m), 3.23(4H, m), 3.49(3H, s), 7.08-7.67(10H, m), 8.15(1H, d, J=5.1Hz), 8.87(1H, d, J=5.1Hz), 9.27(1H, s)(CDCl3)	424
YA1553	2.90 (1H, dd, J = 13.2, 9.6 Hz), 3.16 (2H, m), 3.24 (1H, d, 14.4 Hz), 3.31 (3H, s), 3.34 (1H, d, J = 13.6 Hz), 3.47 (1H, t, J = 13.2 Hz), 3.80 (3H, m), 6.97 (1H, s), 7.38 (2H, m), 7.45 (3H, m), 7.64 (1H, dd, J = 5.2, 1.2 Hz), 8.94 (1H, d, J = 5.2 Hz), 9.28 (1H, d, J = 1.2 Hz), 9.54 (1H, br s), 9.78 (1H, br s) (DMSO-d6)	363

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YA1554	2.95 (1H, m), 3.29-3.05 (3H, m), 3.34 (3H, s), 3.35 (1H, m), 3.44 (1H, t, J = 12.4 Hz), 3.79 (3H, m), 6.99 (1H, s), 7.40 (2H, d, J = 8.4 Hz), 7.51 (2H, d, J = 8.4 Hz), 7.76 (1H, dd, J = 4.8, 1.2 Hz), 8.96 (1H, d, J = 4.8 Hz), 9.29 (1H, d, J = 1.2 Hz), 9.38 (1H, br s), 9.71 (1H, br s) (DMSO-d6)	397
YA1555	1.65 (2H, brs), 1.90 (4H, brs), 3.44 (6H, m), 3.45 (3H, s), 3.61 (2H, m), 3.88 (1H, d, J = 13.6 Hz), 3.94 (1H, d, J = 13.6 Hz), 4.66 (1H, t, J = 8.8 Hz), 7.05 (1H, s), 7.82 (4H, brs), 8.23 (1H, dd, J = 5.2, 1.2 Hz), 9.02 (1H, d, J = 5.2 Hz), 9.31 (1H, d, J = 1.2 Hz), 9.89 (1H, br s), 10.37 (1H, br s) (DMSO-d6)	432
YA1556	3.42 (2H, m), 3.45 (3H, s), 3.56 (2H, m), 3.85 (1H, d, J = 13.2 Hz), 3.93 (1H, d, J = 14.0 Hz), 4.55 (1H, t, J = 10.8 Hz), 6.94 (1H, br s), 7.05 (1H, s), 7.15 (4H, br s), 7.31 (2H, br s), 7.57 (2H, br s), 8.22 (1H, d, J = 4.8 Hz), 9.03 (1H, d, J = 4.8 Hz), 9.32 (1H, s), 9.66 (1H, br s), 9.90 (1H, br s) (DMSO-d6)	509
YA1557	1.40 (1H, m), 1.78 (8H, m), 2.18 (2H, d, J = 11.2 Hz), 2.78 (2H, m), 2.91 (2H, m), 3.30 (1H, m), 3.40 (3H, m), 3.44 (3H, s), 3.58 (2H, m), 3.82 (1H, d, J = 13.3 Hz), 3.93 (3H, m), 4.53 (1H, m), 7.05 (1H, s), 7.11 (2H, d, J = 8.8 Hz), 7.57 (2H, d, J = 8.8 Hz), 8.21 (1H, d, J = 5.2 Hz), 9.02 (1H, d, J = 5.2 Hz), 9.32 (1H, s), 9.73 (1H, d, J = 8.4 Hz), 10.09 (1H, br s), 10.39 (1H, br s) (DMSO-d6)	515
YA1558	2.84-2.91(1H, m), 3.01-3.05(4H, m), 3.22(3H, s), 3.46(3H, s), 3.68-3.72(2H, m), 4.07-4.11(1H, m), 6.95(1H, s), 7.78(2H, d, J=7.2Hz), 7.93(2H, d, J=7.2Hz), 8.31(1H, d, J=4.2Hz), 8.99(1H, d, J=4.2Hz), 9.28(1H, s)(DMSO-d6)	427
YA1559	1.84 (4H, m), 1.97 (2H, m), 2.13 (2H, m), 2.79 (2H, t, J = 11.6 Hz), 3.04 (2H, m), 3.24 (1H, m), 3.40 (2H, m), 3.44 (3H, s), 3.59 (2H, m), 3.80 (1H, d, J = 14.0 Hz), 3.91 (3H, m), 4.53 (1H, t, J = 11.2 Hz), 7.05 (1H, s), 7.13 (2H, d, J = 8.4 Hz), 7.58 (2H, d, J = 8.4 Hz), 8.22 (1H, d, J = 5.2 Hz), 9.02 (1H, d, J = 5.2 Hz), 9.31 (1H, s), 9.75 (1H, d, J = 8.4 Hz), 10.10 (1H, br s), 11.04 (1H, br s) (DMSO-d6)	501
YA1560	1.71(2H, m), 2.12(2H, m), 2.74(6H, d, J=4.8 Hz), 2.74-2.80(3H, m), 3.30-3.96(8H, m), 3.40(3H, s), 4.54(1H, m), 7.05(1H, s), 7.10(2H, d, J=9.0 Hz), 7.54(2H, d, J=9.0 Hz), 8.21(1H, dd, J=5.1, 1.2 Hz), 9.03(1H, d, J=5.4 Hz), 9.32(1H, s), 9.68(1H, m), 9.92(1H, m), 10.54(1H, m), (DMSO-d6)	475
YA1561	1.51(2H, m), 1.84(2H, m),3.00-3.20(3H, m), 3.38(3H, s), 3.38-3.91(8H, m), 4.55(1H, m), 7.05(1H, s), 7.18(2H, d, J=9.0 Hz), 7.51(2H, d, J=9.0 Hz), 8.21(1H, d, J=6.0 Hz), 9.02(1H, d, J=5.1 Hz), 9.31(1H, s), 9.54-9.62(3H, m), (DMSO-d6)	448

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YA1562	1.89-2.05(2H, m), 2.65-3.20(5H, m), 3.25-3.82(5H, m), 3.41(3H, s), 4.39(1H, m), 4.91(1H, m), 6.49(2H, d, J= 8.4 Hz), 6.96(1H, s), 7.25(2H, d, J=8.4 Hz), 8.18(1H, dd, J=4.2, 0.9 Hz), 8.99(1H, d, J=5.1 Hz), 9.28(1H, s), (DMSO-d6)	434
YA1563	1.06 (1H, m), 1.30 (2H, m), 1.43 (2H, m), 1.60 (2H, m), 1.79 (3H, m), 2.97 (3H, m), 3.45 (3H, s), 3.60 (2H, m), 3.80 (3H, s), 3.90 (2H, m), 4.63 (1H, m), 7.05 (1H, s), 7.70 (4H, br s), 8.23 (1H, d, J = 5.2 Hz), 9.03 (1H, d, J = 5.2 Hz), 9.32 (1H, s), 9.75 (1H, br s) (DMSO-d6)	460
YA1564	2.99 (6H, m), 3.44 (1H, m), 3.45 (3H, s), 3.57 (3H, m), 3.82 (1H, d, J = 13.2 Hz), 4.92 (1H, d, J = 14.4 Hz), 4.55 (1H, t, J = 10.0 Hz), 7.05 (1H, s), 7.06 (2H, br s), 7.61 (2H, br s), 8.22 (1H, d, J = 5.2 Hz), 9.03 (1H, d, J = 5.2 Hz), 9.32 (1H, s), 9.73 (1H, br s), 10.11 (1H, br s) (DMSO-d6)	392
YA1565	3.20-3.22(4H, m), 3.44-3.89(15H, m), 4.51-4.55(1H, m), 5.11(2H, s), 7.04-7.07(3H, m), 7.35-7.39(5H, m), 7.53(2H, d, J=7.2Hz), 8.20(1H, d, J=4.2Hz), 9.01(1H, d, J=4.2Hz), 9.31(1H, s), 9.78-9.92(2H, br)(DMSO-d6)	567
YA1566	1.33(6H, d, J=6.8Hz), 3.02-3.55(13H, m), 3.89-3.93(5H, m), 4.52-4.55(1H, m), 6.99-7.13(3H, m), 7.60(2H, d, J=7.2Hz), 8.21(1H, d, J=4.2Hz), 9.02(1H, d, J=4.2Hz), 9.32(1H, s), 9.67-10.15(3H, br), 10.84-10.88(1H, br)(DMSO-d6)	475
YA1567	3.17-3.26(8H, m), 3.44-3.55(6H, m), 3.80-3.94(9H, m), 4.50-4.57(1H, m), 7.05-7.12(3H, m), 7.60(2H, d, J=7.2Hz), 8.21(1H, d, J=4.2Hz), 9.02(1H, d, J=4.2Hz), 9.32(1H, s), 9.77-9.80(1H, br), 10.16-10.20(1H, br), 10.49-10.52(1H, br)(DMSO-d6)	477
YA1568	3.18-3.24(3H, m), 3.40-3.59(13H, m), 4.02-4.06(2H, m), 4.51-4.55(1H, m), 7.03-7.11(3H, m), 7.52(2H, d, J=7.2Hz), 8.21(1H, d, J=4.2Hz), 9.02(1H, d, J=4.2Hz), 9.18-9.22(1H, br), 9.38(1H, s), 9.72-9.78(1H, br), 10.04-10.10(1H, br)(DMSO-d6)	433
YA1569	1.90-2.02(2H, m), 2.80-3.06(5H, m), 3.25-3.82(5H, m), 3.65(3H, s), 4.39(1H, m), 4.94(1H, m), 6.49(2H, d, J= 8.4 Hz), 6.96(1H, s), 7.25(2H, d, J=8.4 Hz), 8.16(1H, dd, J=5.4, 0.9 Hz), 8.99(1H, d, J=5.1 Hz), 9.29(1H, s) (DMSO-d6)	434
YA1570	1.15(6H, d, J= 6.3 Hz), 2.31(2H, dd, J= 11.1 Hz), 2.98-3.23(6H, m), 3.48-3.62(4H, m), 3.56(3H, s), 3.94(1H, dd, J= 10.2, 2.1 Hz), 6.94(2H, d, J= 8.7 Hz), 7.31(1H, s), 7.34(2H, d, J=8.7 Hz), 8.16(1H, dd, J=5.1, 1.2 Hz), 8.86(1H, d, J=5.1 Hz), 9.26(1H, s) (CDCI3)	461

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YA1571	1.27(6H, d, J= 6.0 Hz), 2.43(2H, dd, J= 11.1, 11.1 Hz), 3.02(1H, dd, J=12.0, 10.5 Hz), 3.17-3.23(3H, m), 3.45-3.61(4H, m), 3.56(3H, s), 3.81(1H, m), 3.95(1H, m), 6.92(2H, d, J= 8.7 Hz), 7.32(1H, s), 7.35(2H, d, J=8.7 Hz), 8.17(1H, m), 8.86(1H, d, J=5.1 Hz), 9.26(1H, d, J=1.2 Hz) (CDCI3)	462
YA1572	3.27-3.32(8H, m), 3.47(3H, s), 3.82-3.86(2H, m), 4.36-4.39(1H, m), 7.02(1H, s), 7.72(2H, d, J=7.2Hz), 7.84(2H, d, J=7.2Hz), 7.96-8.04(4H, m), 8.22(1H, d, J=4.2Hz), 9.01(1H, d, J=4.2Hz), 9.30(1H, s)(DMSO-d6)	503
YA1573	2.93-3.10(5H, m), 3.46(3H, s), 3.69-3.71(1H, m), 4.01-4.04(1H, m), 6.99(1H, s), 7.63(2H, d, J=7.2Hz), 7.77(2H, d, J=7.2Hz), 7.88-7.95(4H, m), 8.18(1H, d, J=4.2Hz), 8.99(1H, d, J=4.2Hz), 9.29(1H, s)(DMSO-d6)	450
YA1574	3.08 (1H, dd, J = 12.5, 10.4 Hz), 3.24 (3H, m), 3.59 (3H, s), 3.66 (2H, m), 4.09 (1H, dd, J = 10.4, 2.4 Hz), 7.29 (2H, d, J = 8.3 Hz), 7.33 (1H, s), 7.54 (2H, d, J = 8.3 Hz), 7.56 (2H, d, J = 8.3 Hz), 7.59 (2H, d, J = 8.3 Hz), 8.17 (1H, d, J = 4.9 Hz), 8.86 (1H, d, J = 4.9 Hz), 9.27 (1H, s) (CDCI3)	509
YA1575	3.08 (1H, dd, J = 12.4, 10.0 Hz), 3.25 (3H, m), 3.59 (3H, s), 3.67 (2H, m), 4.11 (1H, dd, J = 10.0, 2.0 Hz), 7.33 (1H, s), 7.57 (2H, d, J = 8.0 Hz), 7.63 (2H, d, J = 8.0 Hz), 7.71 (4H, s), 8.16 (1H, dd, J = 5.2, 1.2 Hz), 8.16 (1H, dd, J = 5.2, 1.2 Hz), 8.86 (1H, d, J = 5.2 Hz), 9.27 (1H, d, J = 1.2 Hz) (CDCI3)	493
YA1576	1.45 (3H, t, J = 7.0 Hz), 3.08 (1H, dd, J = 12.5, 10.6 Hz), 3.22 (3H, m), 3.58 (3H, s), 3.62 (2H, m), 4.05 (1H, m), 4.08 (2H, q, J = 7.0 Hz), 6.98 (2H, d, J = 8.0 Hz), 7.32 (1H, s), 7.49 (2H, d, J = 8.0 Hz), 7.52 (2H, d, J = 8.0 Hz), 7.58 (2H, d, J = 8.0 Hz), 8.17 (1H, d, J = 5.3 Hz), 8.86 (1H, d, J = 5.3 Hz), 9.27 (1H, s), (CDCI3)	469
YA1577	1.83 (4H, m), 1.99 (1H, m), 2.21 (1H, m), 2.61 (4H, m), 2.87 (1H, m), 3.03 (1H, dd, J = 12.0, 10.0 Hz), 3.20 (4H, m), 3.33 (1H, m), 3.42 (1H, m), 3.49 (1H, m), 3.56 (3H, s), 3.61 (2H, m), 3.90 (1H, dd, J = 10.0, 2.0 Hz), 6.55 (2H, d, J = 8.8 Hz), 7.29 (2H, d, J = 8.8 Hz), 7.30 (1H, s), 8.16 (1H, d, J = 5.2 Hz), 8.85 (1H, d, J = 5.2 Hz), 9.26 (1H, s) (CDCI3)	487
YA1578	3.09 (1H, dd, J = 12.4, 10.8 Hz), 3.20 (3H, m), 3.58 (3H, s), 3.64 (2H, m), 3.82 (3H, s), 3.86 (3H, s), 4.05 (1H, dd, J = 10.4, 2.8 Hz), 6.58 (2H, m), 7.24 (2H, m), 7.32 (1H, s), 7.47 (2H, d, J = 8.4 Hz), 7.53 (2H, d, J = 8.4 Hz), 8.17 (1H, dd, J = 5.2, 1.2 Hz), 8.86 (1H, d, J = 5.2 Hz), 9.27 (1H, d, J = 1.2 Hz) (CDCI3)	485

YA1579	3.08 (1H, dd, J = 12.5, 10.6 Hz), 3.23 (3H, m), 3.59 (3H, s), 3.66 (2H, m), 3.93 (3H, s), 3.96 (3H, s), 4.07 (1H, dd, J = 10.3, 2.2 Hz), 6.95 (1H, d, J = 8.3 Hz), 7.11 (1H, d, J = 2.0 Hz), 7.16 (1H, dd, J = 8.3, 2.0 Hz), 7.33 (1H, s), 7.52 (1H, d, J = 8.1 Hz), 7.59 (1H, d, J = 8.1 Hz), 8.17 (1H, dd, J = 5.3, 1.2 Hz), 8.85 (1H, d, J = 5.3 Hz), 9.27 (1H, d, J = 1.2 Hz) (CDCI3)	485
YA1580	3.07 (1H, dd, J = 12.4, 10.4 Hz), 3.23 (3H, m), 3.59 (3H, s), 3.65 (2H, m), 4.08 (1H, dd, J = 10.4, 2.0 Hz), 7.32 (1H, s), 7.41 (2H, d, J = 8.4 Hz), 7.52 (2H, d, J = 8.4 Hz), 7.53 (2H, d, J = 8.4 Hz), 7.58 (2H, d, J = 8.4 Hz), 8.16 (1H, d, J = 4.8 Hz), 8.86 (1H, d, J = 4.8 Hz), 9.27 (1H, s) (CDCl3)	459
YA1581	3.09 (1H, dd, J = 12.2, 11.0 Hz), 3.24 (3H, m), 3.59 (3H, s), 3.66 (2H, m), 4.10 (1H, dd, J = 10.4, 2.4 Hz), 7.29 (2H, m), 7.33 (1H, s), 7.44 (2H, d, J = 8.0 Hz), 7.52 (3H, m), 8.18 (1H, dd, J = 5.3, 1.0 Hz), 8.87 (1H, d, J = 5.3 Hz), 9.27 (1H, d, J = 1.0 Hz) (CDCI3)	493
YA1582	3.06 (1H, dd, J = 12.4, 10.4 Hz), 3.25 (3H, m), 3.58 (3H, s), 3.65 (2H, m), 4.09 (1H, dd, J = 10.0, 2.0 Hz), 7.33 (1H, s), 7.42 (1H, dd, J = 8.0, 2.0 Hz), 7.56 (5H, m), 7.68 (1H, d, J = 2.0 Hz), 8.16 (1H, dd, J = 5.2, 1.2 Hz), 8.85 (1H, d, J = 5.2 Hz), 9.27 (1H, d, J = 1.2 Hz) (CDCI3)	493
YA1583	3.06 (1H, dd, J = 12.3, 10.8 Hz), 3.23 (3H, m), 3.59 (3H, s), 3.65 (2H, m), 4.13 (1H, dd, J = 10.2, 2.2 Hz), 7.33 (1H, s), 8.14 (1H, d, J = 5.3 Hz), 8.15 (2H, d, J = 8.4 Hz), 8.78 (1H, s), 8.86 (1H, d, J = 5.3 Hz), 9.27 (1H, s) (CDCl3)	417
YA1584	1.37(6H, d, J= 6.0 Hz), 3.07(1H, dd, J=12.6, 10.8 Hz), 3.20-3.26(3H, m), 3.58(3H, s), 3.65-3.68(2H, m), 4.07(1H, m), 4.59(1H, m), 6.98(2H, d, J= 8.7 Hz), 7.48(1H, s), 7.50-7.61(6H, m), 8.17(1H, d, J=4.8 Hz), 8.86(1H, d, J=5.1 Hz), 9.26(1H, d, J=1.2 Hz) (CDCI3)	483
YA1585	0.99(3H, t, J= 7.5 Hz), 1.47-1.82(4H, m), 3.07(1H, dd, J=12.3, 10.5 Hz), 3.22-3.27(3H, m), 3.58(3H, s), 3.62-3.65(2H, m), 4.03(2H, t, J= 6.3 Hz), 4.04(1H, m), 6.98(2H, d, J= 8.7 Hz), 7.48(1H, s), 7.50-7.59(6H, m), 8.17(1H, dd, J=5.1, 1.2 Hz), 8.86(1H, d, J=5.1 Hz), 9.26(1H, d, J=1.2 Hz) (CDCI3)	497
YA1586	1.28(1H, br.s), 2.51(3H, s), 3.07(1H, dd, J=10.8, 12.6Hz), 3.21-3.28(3H, m), 3.58(3H, s), 3.64(2H, m), 4.08(1H, dd, J=2.5, 19.5Hz), 7.34(2H, d, J=7.8Hz), 7.45-7.67(7H, m), 8.17(1H, d, J=5.4Hz), 8.86(1H, d, J=5.1Hz), 9.27(1H, d, J=1.2Hz)(CDCI3)	470

YA1587	1.86(1H, br.s), 2.40(3H, s), 3.07(1H, dd, J=10.8, 12.6Hz), 3.20-3.27(2H, m), 3.58(3H, s), 3.62-3.68(3H, m), 4.06(1H, dd, J=2.5, 19.5Hz), 7.24-7.27(2H, m), 7.49-7.52(5H, m), 7.60(2H, d, J=8.2Hz), 8.17(1H, d, J=5.4Hz), 8.85(1H, d, J=5.2Hz), 9.27(1H, s)(CDCI3)	
YA1588	1.29(6H, s), 1.85(1H, br.s), 2.94-2.96(1H, m), 3.08(1H, dd, J=10.8, 12.6Hz), 3.21-3.27(3H, m), 3.59(3H, s), 3.65(2H, m), 4.07(1H, dd, J=2.5, 19.5Hz), 7.28-7.62(9H, m), 8.17(1H, dd, J=1.2, 5.7Hz), 8.86(1H, d, J=5.1Hz), 9.27(1H, d, J=1.2Hz)(CDCI3)	466
YA1589	1.72(1H, br.s), 3.10(1H, m), 3.21-3.24(3H, m), 3.58(3H, s), 3.58-3.73(4H, m), 4.09(1H, dd, J=2.5, 19.5Hz), 6.75(2H, dd, J=2.1, 6.6Hz), 7.23-7.57(7H, m), 8.16(1H, d, J=5.4Hz), 8.86(1H, d, J=5.1Hz), 9.27(1H, d, J=1.2Hz)(CDCI3)	439
YA1590	2.79 (1H, dd, J = 10.5, 12.6 Hz), 3.20-3.40 (3H, m), 3.50-3.80 (5H, m), 4.45 (1H, dd, J = 3.0, 10.2 Hz), 7.10-7.20 (1H, m), 7.30-7.40 (2H, m), 7.58 (1H, dd, J = 0.9, 7.8 Hz), 7.73 (1H, dd, J = 1.5, 7.8 Hz), 8.19 (1H, dd, J = 0.9, 4.8 Hz), 8.85 (1H, d, J = 5.1 Hz), 9.26 (1H, d, J = 0.9 Hz) (CDCI3)	427
YB013	7.31-7.46(1H, m), 1.60-1.96(3H, m), 2.17-2.30(1H, m), 2.89-3.02(2H, m), 3.41(3H, s), 3.61(1H, d, J=12.4 Hz), 3.80(1H, d, J=13.5 Hz), 3.90-4.01(2H, m), 6.89-7.01(3H, m), 6.96(1H, s), 7.27-7.32(2H, m), 8.18(1H, d, J=4.4 Hz), 8.96(1H, d, J=5.0 Hz), 9.28(1H, s)(DMSO-d6)	378
YB014	1.33-1.49(1H, m), 1.60-1.93(3H, m), 2.20-2.32(1H, m), 2.89-3.04(2H, m), 3.41(3H, s), 3.63(1H, d, J=13.3 Hz), 3.82(1H, d, J=11.1 Hz), 4.22-4.37(2H, m), 6.95(1H, s), 7.51-7.56(2H, m), 7.65-7.70(1H, m), 8.00-8.03(2H, m), 8.17(1H, dd, J=1.1, 5.1 Hz), 8.87(1H, d, J=5.1 Hz), 9.28(1H, d, J=1.0 Hz)(DMSO-d6)	406
YB048	(CDCl3): 1.93-2.07(3H, m), 2.38(1H, m), 3.09(1H, m), 3.46(1H, m), 3.57(3H, s), 3.61-3.70(2H, m), 4.05(1H, m), 7.26-7.34(2H, m), 7.59-7.61(2H, m), 7.76(1H, m), 8.16(1H, m), 8.83(1H, m), 9.27(1H, s).	389
YB049	(CDCl3): 1.92-2.08(3H, m), 2.36(1H, m), 3.11(1H, m), 3.44(1H, dd, J=12.9, 10.8Hz), 3.58(3H, s), 3.61-3.70(2H, m), 4.06(1H, m), 7.11(1H, m), 7.28-7.33(2H, m), 7.70(1H, dd, J=8.7, 4.8Hz), 8.15(1H, m), 8.86(1H, d, J=5.4Hz), 9.28(1H, s).	407
YB050	1.93-2.11(3H, m), 2.33-2.45(1H, m), 3.08-3.16(1H, m), 3.46(1H, dd, J=11.4, 12.9 Hz), 3.59(3H, s), 3.62-3.71(2H, m), 4.06(1H, d, J=12.6 Hz), 7.32-7.37(1H, m), 7.32(1H, s), 7.57-7.64(2H, m), 7.75(1H, d, J=8.1 Hz), 8.16(1H, dd, J=1.2, 5.4 Hz), 8.84(1H, d, J=4.8 Hz), 9.28(1H, d, J=0.9 Hz)(CDCI3)	389

YB051	1.91-2.11(3H, m), 2.35-2.43(1H, m), 3.08-3.16(1H, m), 3.42-3.50(1H, m), 3.59(3H, s), 3.62-3.71(2H, m), 4.05(1H, d, J=11.1 Hz), 7.32(1H, s), 7.33-7.37(1H, m), 7.57-7.65(2H, m), 7.75(1H, d, J=7.8 Hz), 8.16(1H, d, J=5.7 Hz), 8.84(1H, d, J=5.4 Hz), 9.28(1H, d, J=1.2 Hz)(CDCI3)	
YB130	1.78-1.96(4H, m), 2.73-2.90(1H, m), 3.02-3.09(2H, m), 3.46(3H, s), 3.84(2H, d, J=12.6 Hz), 6.98(1H, s), 7.11-7.17(2H, m), 7.33-7.38(2H, m), 8.25(1H, d, J=5.1 Hz), 9.01(1H, d, J=4.8 Hz), 9.30(1H, s)(DMSO-d6)	366
YB157	1.90-2.05(2H,m), 2.18-2.35(2H,m), 2.92-3.09(1H,m), 3.10-3.23(2H,m), 3.58(3H,s), 3.72-3.83(2H,m), 6.95-7.07(1H,m), 7.22(1H,dd,J=2.2,9.0Hz), 7.34(1H,s), 7.46(1H,s), 7.48-7.55(1H,m), 8.20(1H,d,J=5.3Hz), 8.88(1H,d,J=5.2Hz), 9.29(1H.s)(CDCI3)	406
YB158	1.91-2.04(2H, m), 2.23(2H, d, J=8.9Hz), 2.44(3H, s), 2.97-3.11(1H, m), 3.16(2H, dd, J=11.1, 12.4Hz), 3.58(3H, s), 3.77(2H, d, J=13.0Hz), 7.12(1H, d, J=8.5Hz), 7.36-7.41(4H, m), 8.20(1H, d, J=5.3Hz), 8.87(1H, d, J=4.8Hz), 9.28(1H, s) (CDCI3)	402
YB159 <sub>.</sub>	1.93-2.05(2H, m), 2.23(2H, d, J=12.6Hz), 3.19(3H, m), 3.58(3H, s), 3.81(2H, d, J=13.2Hz), 7.12-7.16(1H, m), 7.26(1H, s), 7.34(1H, s), 7.56(1H, dd, J=2.4, 8.7Hz), 7.77-7.76(1H, m), 8.20(1H, dd, J=1.2, 5.1Hz), 8.87(1H, d, J=5.1Hz), 9.29(1H, s) (CDCI3)	422
YB160	2.01-2.22(5H, m), 3.20(2H, dd, J=1.4, 11.7Hz), 3.47(3H, s), 3.84(2H, d, J=13.2Hz), 6.99(1H, s), 7.32(1H, m), 7.72(1H, dd, J=2.1, 9.0Hz), 8.09(1H, dd, J=2.7, 9.1Hz), 8.27(1H, m), 9.01(1H, d, J=5.1Hz), 9.31(1H, d, J=1.5Hz) (DMSO-d6)	407
YB162	2.13-2.43(4H,m), 3.10-3.38(3H,m), 3.57(3H,s), 3.65-3.83(2H,m), 7.30-7.40(3H,m), 7.45-7.59(1H,m), 7.62-7.80(1H,m), 8.10-8.22(1H,m), 8.88(1H,d,J=5.1Hz), 9.28(1H,s)(CDCl3)	389
YB193	2.22-2.39(4H, m), 3.21-3.35(2H, m), 3.48(3H, s), 3.90(2H, d, J=13.5 Hz), 7.03(1H, s), 7.38-7.43(1H, m), 7.46-7.51(2H, m), 7.59-7.66(2H, m), 8.28(1H, d, J=5.0 Hz), 9.01(1H, d, J=5.0 Hz), 9.30(1H, s)(DMSO-d6)	373
YB251	2.01-2.22(5H, m), 3.20(2H, dd, J=11.4, 11.7Hz), 3.47(3H, s), 3.82(2H, d, J=13.2Hz), 7.32(1H, m), 6.70(1H, s), 7.72(1H, dd, J=2.1, 9.0Hz), 8.09(1H, dd, J=2.7, 9.1Hz), 8.27(1H, m), 9.01(1H, d, J=5.1Hz), 9.31(1H, d, J=1.5Hz)(DMSO-d6)	406

	1.64(2H, m), 2.23(2H, d, J=8.9Hz), 2.44(3H, s), 2.97-3.11(1H, m), 3.16(2H, dd, J=11.1, 11.4Hz), 3.58(3H, s), 3.77(2H, d, J=1.1)	, ]
YB252	J=8.5Hz), 7.36-7.41(4H, m), 8.20(1H, d, J=5.3Hz), 8.87(1H, d, J=4.8Hz), 9.28(1H, s)(CDCI3)	401
YB253	1.93-2.05(2H, m), 2.23(2H, d, J=12.6Hz), 3.19(3H, m), 3.58(3H, s), 3.81(2H, d, J=13.2Hz), 7.12-7.16(1H, m), 7.26(1H, s) 7.34(1H, s), 7.56(1H, dd, J=2.4, 8.7Hz), 7.11-7.76(1H, m), 8.20(1H, dd, J=1.2, 5.1Hz), 8.87(1H, d, J=5.1Hz), 9.29(1H, s)(CDCl3)	421
YB254	1.72-1.94(8H, m), 2.52(4H, m), 2.97-3.05(3H, m), 3.56(3H, s), 3.61(2H, s), 3.67-3.73(2H, m), 7.21-7.34(4H, m), 8.17(1H, d, J=5.4 Hz), 8.86(1H, d, J=5.1 Hz), 9.27(1H, s) (CDCI3)	431
YB255	1.78 (1H, m), 1.89 (3H, m), 1.96 (3H, m), 2.13 (1H, d, J = 13.6 Hz), 3.46 (2H, m), 3.56 (3H, s), 3.66 (2H, t, J = 6.8 Hz), 3.73 (2H, m), 7.30 (2H, d, J = 8.0 Hz), 7.31 (1H, s), 7.52 (2H, d, J = 5.2 Hz), 8.15 (1H, d, J = 5.2 Hz), 8.86 (1H, d, J = 5.2 Hz), 9.27 (1H, s)	444
YB256	1.46-1.73(9H, m), 2.01(2H, d, J=12.1Hz), 2.56(4H, t, J=5.0Hz), 2.94(2H, td, J=1.3, 12.7Hz), 3.52(3H, s), 3.70(2H, d, J=13.8Hz), 7.27(1H, s), 8.18(1H, dd, J=1.3, 5.3Hz), 8.86(1H, d, J=5.3Hz), 9.27(1H, d, J=1.3Hz)(CDCI3)	354
YB257	7.36-1.86(4H, m), 2.80(1H, m), 2.99-3.08(2H, m), 3.46(3H, s), 3.82-3.86(2H, m), 6.98(1H, s), 7.26-7.43(3H, m), 7.53(1H, s), 8.26(1H, d, J=4.8Hz), 9.01(1H, d, J=4.8 Hz), 9.30(1H, s) (DMSO-d6)	425
YB258	1.80-1.90(4H, m), 2.83(1H, m), 2.99-3.08(2H, m), 3.46(3H, s), 3.81-3.86(2H, m), 6.98(1H, s), 7.26-7.43(3H, m), 7.53(1H, s), 8.26(1H, d, J=4.8Hz), 9.01(1H, d, J=4.8 Hz), 9.30(1H, s) (DMSO-d6)	425
YB259	1.76-1.96(8H, m), 2.67(1H, m), 2.99-3.07(2H, m), 3.16-3.21(4H, m), 3.45(3H, s), 3.79-3.84(2H, m), 6.49(2H, d, J=8.4 Hz) 6.97(1H, s), 7.09(2H, d, J=8.4 Hz), 8.24(1H, d, J=5.1Hz), 9.01(1H, d, J=5.1 Hz), 9.30(1H, s) (DMSO-d6)	417
YB260	1.87-1.99(8H, m), 2.72(1H, m), 2.99-3.09(2H, m), 3.19-3.23(4H, m), 3.46(3H, s), 3.80-3.85(2H, m), 6.38(1H, d, J=7.8 Hz) 6.44(1H, s), 6.53(1H, d, J=7.8 Hz), 6.98(1H, s), 7.09(1H, dd, J=7.8, 7.8Hz), 8.25(1H, d, J=5.1Hz), 9.01(1H, d, J=5.1Hz), 9.30(1H, s) (DMSO-d6)	417
YB261	1.48-1.58(2H, m), 2.00-2.07(2H, m), 2.71(6H, s), 3.07-3.14(2H, m), 3.34-3.36(1H, m), 3.48(3H, s), 3.69-3.73(2H, m), 4.87(1H, d, J=8.2Hz), 6.56-6.66(4H, m), 6.96(1H, s), 8.24(1H, d, J=4.2Hz), 9.00(1H, d, J=4.2Hz), 9.30(1H, s)(DMSO-d6)	406

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YB262	1.51-1.62(2H, m), 2.02-2.08(2H, m), 3.10-3.18(2H, m), 3.42(3H, s), 3.46-3.50(1H, m), 3.67(3H, s), 3.69-3.73(2H, m), 5.56(1H, d, J=8.2Hz), 6.10-6.24(3H, m), 6.94-6.99(2H, m), 8.24(1H, d, J=4.2Hz), 9.00(1H, d, J=4.2Hz), 9.29(1H, s)(DMSO-d6)	393
YB263	1.48-1.58(2H, m), 2.01-2.08(2H, m), 3.08-3.17(2H, m), 3.40(3H, s), 3.41-3.43(1H, m), 3.63(3H, s), 3.69-3.73(2H, m), 5.09(1H, d, J=8.2Hz), 6.59(2H, d, J=7.2Hz), 6.72(2H, d, J=7.2Hz), 6.96(1H, s), 8.24(1H, d, J=4.2Hz), 9.01(1H, d, J=4.2Hz), 9.29(1H, s)(DMSO-d6)	393
Y.B264	1.56-1.69(2H, m), 2.04-2.08(2H, m), 3.08-3.15(2H, m), 3.42(3H, s), 3.55-3.83(6H, m), 4.57(1H, d, J=8.2Hz), 6.53-6.90(4H, m), 7.03(1H, s), 8.25(1H, d, J=4.2Hz), 9.00(1H, d, J=4.2Hz), 9.30(1H, s)(DMSO-d6)	393
YB265	1.66-1.87(3H, m), 1.91-1.99(1H, m), 2.93-3.08(3H, m), 3.43(3H, s), 3.72-3.78(2H, m), 6.97(1H, s), 7.34(2H, d, J=5.7 Hz), 7.54(2H, d, J=5.4 Hz), 8.18(1H, dd, J=5.4, 1.2 Hz), 8.99(1H, d, J=5.1 Hz), 9.29(1H, d, J=0.9 Hz)(DMSO)	426
YB266	1.71-1.91(4H, m), 2.41-2.45(2H, m), 2.53-2.56(4H, m), 2.93-3.00(3H, m), 3.08-3.10(4H, m), 3.43(3H, s), 3.50-3.54(2H, m), 3.67-3.71(2H, m), 4.42-4.46(1H, m), 6.90(2H, d, J=7.2Hz), 6.96(1H, s), 7.19(2H, d, J=7.2Hz), 8.17(1H, dd, J=1.2, 4.2Hz), 8.99(1H, d, J=4.2Hz), 9.29(1H, d, J=1.2Hz)(DMSO-d6)	476
YB267	1.70-1.94(4H, m), 2.86(6H, s), 2.89-2.90(3H, m), 3.43(3H, s), 3.66-3.77(2H, m), 6.71(2H, d, J=7.2Hz), 6.96(1H, s), 7.15(2H, d, J=7.2Hz), 8.17(1H, d, J=4.2Hz), 8.99(1H, d, J=4.2Hz), 9.28(1H, s)(DMSO-d6)	391
YB268	1.72-1.84(4H, m), 2.89-3.08(7H, m), 3.43(3H, s), 3.67-3.77(6H, m), 6.90-6.96(3H, m), 7.21(2H, d, J=7.2Hz), 8.17(1H, d, J=4.2Hz), 8.99(1H, d, J=4.2Hz), 9.29(1H, s)(DMSO-d6)	433
YB269	1.51-1.83(10H, m), 2.87-3.00(3H, m), 3.07-3.10(4H, m), 3.43(3H, s), 3/68-3.77(2H, m), 6.89(2H, d, J=7.2Hz), 6.96(1H, s), 7.17(2H, d, J=7.2Hz), 8.18(1H, d, J=4.2Hz), 8.99(1H, d, J=4.2Hz), 9.29(1H, s)(DMSO-d6)	431
YB270	1.72-1.90(4H, m), 2.21(3H, s), 2.42-2.45(4H, m), 2.87-2.97(3H, m), 3.08-3.10(4H, m), 3.43(3H, s), 3.67-3.77(2H, m), 6.90(2H, d, J=7.2Hz), 6.96(1H, s), 7.19(2H, d, J=7.2Hz), 8.17(1H, d, J=4.2Hz), 8.98(1H, d, J=4.2Hz), 9.29(1H, s)(DMSO-d6)	446
YB271	1.63-1.95(6H, m), 2.04-2.08(2H, m), 2.61-2.65(2H, m), 2.69(6H, s), 2.86-3.00(3H, m), 3.13-3.16(1H, m), 3.43(3H, s), 3.67-3.81(4H, m), 6.92-6.96(3H, m), 7.20(2H, d, J=7.2Hz), 8.17(1H, d, J=4.2Hz), 9.00(1H, d, J=4.2Hz), 9.29(1H, s)(DMSO-d6)	474

	4 70 4 00 4	
YB272	1.72-1.83(4H, m), 2.89-3.09(7H, m), 3.42(3H, s), 3.54-3.57(4H, m), 3.67-3.77(2H, m), 5.11(2H, s), 6.91-6.96(3H, m), 7.21(2H, d, J=7.2Hz), 7.26-7.44(5H, m), 8.17(1H, d, J=4.2Hz), 8.99(1H, d, J=4.2Hz), 9.29(1H, s)(DMSO-d6)	566
YB273	1.57-1.63(2H, m), 1.82-1.89(2H, m), 2.51-2.98(13H, m), 3.41(3H, s), 3.76-3.80(3H, m), 6.70(1H, s), 8.22(1H, d, J=4.2Hz), 9.01(1H, d, J=4.2Hz), 9.30(1H, s)(DMSO-d6)	370
YB274	1.52-1.63(2H, m), 1.84-1.90(2H, m), 2.36-2.42(11H, m), 2.86-2.94(2H, m), 3.40(3H, s), 3.49-3.53(2H, m), 3.73-3.77(2H, m), 4.40-4.43(1H, m), 6.96(1H, s), 8.22(1H, d, J=4.2Hz), 9.01(1H, d, J=4.2Hz), 9.30(1H, s)(DMSO-d6)	400
YB275	1.72-1.92(4H, m), 2.80-3.02(11H, m), 3.28-3.30(1H, m), 3.43(3H, s), 6.88(2H, d, J=7.2Hz), 6.96(1H, s), 7.18(2H, d, J=7.2Hz), 8.18(1H, d, J=4.2Hz), 8.99(1H, d, J=4.2Hz), 9.29(1H, s)(DMSO-d6)	432
YB276	1.06-1.38(5H, m), 1.61-1.92(9H, m), 2.77-2.91(3H, m), 3.03-3.12(1H, m), 3.42(3H, s), 3.64-3.75(2H, m), 5.27(1H, d, J=8.2Hz), 6.52(2H, d, J=7.2Hz), 6.96(1H, s), 7.02(2H, d, J=7.2Hz), 8.17(1H, d, J=4.2Hz), 8.99(1H, d, J=4.2Hz), 9.28(1H, s)(DMSO-d6)	445
YB277	1.76-1.97(4H, m), 2.97-3.10(5H, m), 3.47(3H, s), 3.73-3.76(2H, m), 3.88-3.93(2H, m), 6.71(1H, dd, J=7.2, 7.3Hz), 6.96-7.34(8H, m), 8.19(1H, d, J=4.2Hz), 9.00(1H, d, J=4.2Hz), 9.29(1H, s)(DMSO-d6)	465
YB278	1.10-1.15(1H, m), 1.32-1.47(4H, m), 1.64-1.82(9H, m), 2.69(3H, s), 2.82-2.97(3H, m), 3.42(3H, s), 3.54-3.75(3H, m), 6.73(2H, d, J=7.2Hz), 6.95(1H, s), 7.13(2H, d, J=7.2Hz), 8.16(1H, d, J=4.2Hz), 8.98(1H, d, J=4.2Hz), 9.28(1H, s)(DMSO-d6)	459

Test Example: Inhibitory activity of the medicament of the present invention against P-GS1 phosphorylation by bovine cerebral TPK1

A mixture containing 100 mM MES-sodium hydroxide (pH 6.5), 1 mM magnesium acetate, 0.5 mM EGTA, 5 mM  $\beta$ -mercaptoethanol, 0.02% Tween 20, 10% glycerol, 12  $\mu$  g/ml P-GS1, 41.7  $\mu$  M [ $\gamma$ -32P] ATP (68 kBq/ml), bovine cerebral TPK1 and a compound shown in Table (a final mixture contained 1.7% DMSO deriving from a solution of a test compound prepared in the presence of 10% DMSO) was used as a reaction system. The phosphorylation was started by adding ATP, and the

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reaction was conducted at 25°C for 2 hours, and then stopped by adding 21% perchloric acid on ice cooling. The reaction mixture was centrifuged at 12,000 rpm for 5 minutes and adsorbed on P81 paper (Whatmann), and then the paper was washed four times with 75 mM phosphoric acid, three times with water and once with acetone. The paper was dried, and the residual radioactivity was measured using a liquid scintillation counter. The results are shown in the table below. The test compound markedly inhibited the P-GS1 phosphorylation by TPK1. The results strongly suggest that the medicaments of the present invention inhibit the TPK1 activity, thereby suppress the A $\beta$  neurotoxicity and the PHF formation, and that the medicaments of the present invention are effective for preventive and/or therapeutic treatment of Alzheimer disease and the above-mentioned diseases.

Table 6

IC <sub>50</sub>		
0.018 μ M		
0.23 μ Μ		
0.216 μ M		
0.014 μ M		

## Formulation Example

### (1) Tablets

The ingredients below were mixed by an ordinary method and compressed by using a conventional apparatus.

Compound of Example 1	$30~\mathrm{mg}$
Crystalline cellulose	60 mg
Corn starch	100 mg
Lactose	$200 \; \mathrm{mg}$
Magnesium stearate	4 mg

## (2) Soft capsules

The ingredients below were mixed by an ordinary method and filled in soft capsules.

Compound of Example 1	30 mg
Olive oil	300 mg
Lecithin	 20 mg

# Industrial Applicability

The compounds of the present invention have TPK1 inhibitory activity and are useful as an active ingredient of a medicament for preventive and/or therapeutic treatment of diseases caused by abnormal advance of TPK1 such as neurodegenerative diseases (e.g. Alzheimer disease) and the above-mentioned diseases.

#### **CLAIMS**

1. A pyrimidone derivative represented by formula (I) or a salt thereof, or a solvate thereof or a hydrate thereof:

$$(X)_{m} \xrightarrow{N} \stackrel{N}{\underset{R}{\bigvee}} O$$

$$(Y)_{n} \stackrel{(X)_{m}}{\underset{R}{\bigvee}} O$$

wherein Q represents CH or nitrogen atom;

R represents a  $C_1$ - $C_{12}$  alkyl group which may be substituted; the ring of:

 $\binom{N}{N}$ 

represents piperazine ring or piperidine ring;

each X independently represents

 $X^1 - X^2 -$ 

wherein X¹ represents an oxo group; a C¹-C³ alkyl group which may be substituted; a C³-C³ cycloalkyl group which may be substituted; an optionally partially hydrogenated C⁶-C¹⁰ aryl ring which may be substituted; an indan ring which may be substituted; an optionally substituted heterocyclic ring having 1 to 4 hetero atoms selected from the group consisting of oxygen atom, sulfur atom, and nitrogen atom, and having 5 to 10 ring-constituting atoms in total; an aralkyloxy group; a group represented by ¬N(Ra)(Rb) wherein Ra and Rb are the same or different and each is hydrogen, a C¹-C⁴ alkyl group which may be substituted, an aralkyl group which may be substituted, an

aryl group which may be substituted,  $C_1$ - $C_8$  alkylcarbonyl group which may be substituted,

C<sub>3</sub>-C<sub>8</sub> cycloalkylcarbonyl group which may be substituted, aralkycarbonyl group which may be substituted, C<sub>6</sub>-C<sub>10</sub> arylcarbonyl group which may be substituted, C<sub>1</sub>-C<sub>8</sub> alkysulfonyl group which may be substituted, C<sub>3</sub>-C<sub>8</sub> cycloalkylsulfonyl group which may be substituted, aralkysulfonyl group which may be substituted,

C<sub>1</sub>-C<sub>8</sub> alkyloxycarbonyl group which may be substituted,

C<sub>6</sub>-C<sub>10</sub> arylsulfonyl group which may be substituted,

 $C_3$ - $C_8$  cycloalkyloxycarbonyl group which may be substituted, aralkyoxycarbonyl group which may be substituted,

 $C_{6}$ - $C_{10}$  aryloxycarbonyl group which may be substituted, aminocarbonyl,

N-C1-C8 alkylaminocarbonyl group which may be substituted,

N, N'-C1-C8 dialkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-C3-C8 cycloalkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-aralkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-C6-C10 arylaminocarbonyl group which may be substituted,

C3-C8 cycloalkylaminocarbonyl group which may be substituted,

N,N'-C3-C8 dicycloalkylaminoycarbonyl group which may be substituted,

N-C3-C8 cycloalkyl-N'-aralkylaminocarbonyl group which may be substituted,

N-C<sub>3</sub>-C<sub>8</sub> cycloalkyl-N'-C<sub>6</sub>-C<sub>10</sub> arylaminocarbonyl group which may be substituted, aralkylaminocarbonyl group which may be substituted,

N,N'-diaralkylaminocarbonyl group which may be substituted,

M-aralkyl- N'-C6-C10 arylaminocarbonyl group which may be substituted,

C<sub>6</sub>-C<sub>10</sub> arylaminocarbonyl group which may be substituted,

N,N'-C6-C10 diarylaminocarbonyl group which may be substituted,

or an optionally substituted heterocyclic ring having 1 to 4 hetero atoms selected from the group consisting of oxygen atom, sulfur atom, and nitrogen atom, and having 5 to 10 ring-constituting atoms in total; or Ra and Rb together with the adjacent nitrogen atom form a 4 to 7 membered heterocyclic ring which may further contain 1 to 4 groups selected from an oxygen atom, a sulfur atom, N-Rc (wherein Rc represents a hydrogen atom, a C1-C4 alkyl group which may be substituted, an aralkyl group which may be substituted, C<sub>3</sub>-C<sub>8</sub> cycloalkyl group which may be substituted or an aryl group which may be substituted, C<sub>1</sub>-C<sub>8</sub> alkylcarbonyl group which may be substituted, C<sub>3</sub>-C<sub>8</sub> cycloalkylcarbonyl group which may be substituted, aralkycarbonyl group which may be substituted, C<sub>6</sub>-C<sub>10</sub> arylcarbonyl group which may be substituted, C<sub>1</sub>-C<sub>8</sub> alkysulfonyl group which may be substituted, C<sub>3</sub>-C<sub>8</sub> cycloalkylsulfonyl group which may be substituted, aralkysulfonyl group which may be substituted, C<sub>6</sub>-C<sub>10</sub> arylsulfonyl group which may be substituted, C<sub>1</sub>-C<sub>8</sub> alkyloxycarbonyl group which may be substituted, C<sub>3</sub>-C<sub>8</sub> cycloalkyloxycarbonyl group which may be substituted, aralkyoxycarbonyl group which may be substituted,  $C_6$ - $C_{10}$  aryloxycarbonyl group which may be substituted, aminocarbonyl,

N-C<sub>1</sub>-C<sub>8</sub> alkylaminocarbonyl group which may be substituted,
N, N'-C<sub>1</sub>-C<sub>8</sub> dialkylaminocarbonyl group which may be substituted,
N-C<sub>1</sub>-C<sub>8</sub> alkyl-N'-C<sub>3</sub>-C<sub>8</sub> cycloalkylaminocarbonyl group which may be substituted,
N-C<sub>1</sub>-C<sub>8</sub> alkyl-N'-aralkylaminocarbonyl group which may be substituted,
N-C<sub>1</sub>-C<sub>8</sub> alkyl-N'-C<sub>6</sub>-C<sub>10</sub> arylaminocarbonyl group which may be substituted,
C<sub>3</sub>-C<sub>8</sub> cycloalkylaminocarbonyl group which may be substituted,
N,N'-C<sub>3</sub>-C<sub>8</sub> dicycloalkylaminoycarbonyl group which may be substituted,

N-C<sub>3</sub>-C<sub>8</sub> cycloalkyl-N'-aralkylaminocarbonyl group which may be substituted, N-C<sub>3</sub>-C<sub>8</sub> cycloalkyl-N'-C<sub>6</sub>-C<sub>10</sub> arylaminocarbonyl group which may be substituted, aralkylaminocarbonyl group which may be substituted, N,N'-diaralkylaminocarbonyl group which may be substituted, N-aralkyl-N'-C<sub>6</sub>-C<sub>10</sub> arylaminocarbonyl group which may be substituted, C<sub>6</sub>-C<sub>10</sub> arylaminocarbonyl group which may be substituted, N,N'-C<sub>6</sub>-C<sub>10</sub> diarylaminocarbonyl group which may be substituted, or an optionally substituted heterocyclic ring having 1 to 4 hetero atoms selected from the group consisting of oxygen atom, sulfur atom, and nitrogen atom, and

a carbonyl group, a sulfinyl group or a sulfonyl group in the ring, and said 4 to 7
membered heterocyclic ring may optionally be fused with an aryl group which may
be substituted;

having 5 to 10 ring-constituting atoms in total).

X² represents a bond, a carbonyl group, a sulfinyl group, a sulfonyl group, an oxygen atom, a sulfur atom, a C¹-C⁴ alkylene group which may be substituted or N-Rd (Rd represents a hydrogen atom, a C¹-C⁴ alkyl group which may be substituted, an aralkyl group which may be substituted, C³-C³ cycloalkyl group which may be substituted or an aryl group which may be substituted,
C¹-C³ alkylcarbonyl group which may be substituted,
C³-C³ cycloalkylcarbonyl group which may be substituted,
aralkycarbonyl group which may be substituted,
C¹-C³ alkysulfonyl group which may be substituted,
C¹-C³ alkysulfonyl group which may be substituted,
C³-C³ cycloalkylsulfonyl group which may be substituted,
C³-C³ cycloalkylsulfonyl group which may be substituted,
C³-C³ cycloalkylsulfonyl group which may be substituted,

 $C_1$ - $C_8$  alkyloxycarbonyl group which may be substituted,  $C_3$ - $C_8$  cycloalkyloxycarbonyl group which may be substituted, aralkyoxycarbonyl group which may be substituted,  $C_6$ - $C_{10}$  aryloxycarbonyl group which may be substituted, aminocarbonyl,

N-C<sub>1</sub>-C<sub>8</sub> alkylaminocarbonyl group which may be substituted, N, N'-C1-C8 dialkylaminocarbonyl group which may be substituted, N-C1-C8 alkyl-N'-C3-C8 cycloalkylaminocarbonyl group which may be substituted, N-C1-C8 alkyl-N'-aralkylaminocarbonyl group which may be substituted, N-C<sub>1</sub>-C<sub>8</sub> alkyl-N'-C<sub>6</sub>-C<sub>10</sub> arylaminocarbonyl group which may be substituted, C3-C8 cycloalkylaminocarbonyl group which may be substituted, N,N'-C3-C8 dicycloalkylaminoycarbonyl group which may be substituted, N-C3-C8 cycloalkyl-N'-aralkylaminocarbonyl group which may be substituted, N-C<sub>3</sub>-C<sub>8</sub> cycloalkyl-N'-C<sub>6</sub>-C<sub>10</sub> arylaminocarbonyl group which may be substituted, aralkylaminocarbonyl group which may be substituted, N,N'-diaralkylaminocarbonyl group which may be substituted, N-aralkyl- N'-C6-C10 arylaminocarbonyl group which may be substituted, C<sub>6</sub>-C<sub>10</sub> arylaminocarbonyl group which may be substituted, N,N'-C6-C10 diarylaminocarbonyl group which may be substituted, or an optionally substituted heterocyclic ring having 1 to 4 hetero atoms selected from the group consisting of oxygen atom, sulfur atom, and nitrogen atom, and having 5 to 10 ring-constituting atoms in total); m represents an integer of 1 to 3;

each Y independently represents a halogen atom, a hydroxy group, a cyano group, Y1-Y3- wherein Y1 represents a C1-C8 alkyl group which may be substituted; a C3-C8 cycloalkyl group which may be substituted or a C6-C10 aryl ring which may be substituted; Y3 represents a carbonyl group, a sulfinyl group, a sulfonyl group, an oxygen atom, a sulfur atom, a C1-C4 alkylene group which may be substituted or

N-Re (Re represents a hydrogen atom, a C<sub>1</sub>-C<sub>4</sub> alkyl group which may be substituted, an aralkyl group which may be substituted, C<sub>3</sub>-C<sub>8</sub> cycloalkyl group which may be substituted or an aryl group which may be substituted,

C<sub>1</sub>-C<sub>8</sub> alkylcarbonyl group which may be substituted,

C<sub>3</sub>-C<sub>8</sub> cycloalkylcarbonyl group which may be substituted,

aralkycarbonyl group which may be substituted,

C<sub>6</sub>-C<sub>10</sub> arylcarbonyl group which may be substituted,

C<sub>3</sub>-C<sub>8</sub> cycloalkylsulfonyl group which may be substituted, aralkysulfonyl group which may be substituted,

C<sub>6</sub>-C<sub>10</sub> arylsulfonyl group which may be substituted,

C<sub>1</sub>-C<sub>8</sub> alkyloxycarbonyl group which may be substituted,

C<sub>3</sub>-C<sub>8</sub> cycloalkyloxycarbonyl group which may be substituted,

aralkyoxycarbonyl group which may be substituted,

C<sub>6</sub>-C<sub>10</sub> aryloxycarbonyl group which may be substituted, aminocarbonyl,

N-C1-C8 alkylaminocarbonyl group which may be substituted,

N, N'-C1-C8 dialkylaminocarbonyl group which may be substituted,

N-C1-C8 alkyl-N'-C3-C8 cycloalkylaminocarbonyl group which may be substituted,

 $N-C_1-C_8$  alkyl-N'-aralkylaminocarbonyl group which may be substituted,

 $N\text{-}C_1\text{-}C_8$  alkyl-N'-C\_6-C\_{10} arylaminocarbonyl group which may be substituted,

 $\mathrm{C}_3\text{-}\mathrm{C}_8$  cycloalkylaminocarbonyl group which may be substituted,

 $N,N'-C_3-C_8$  dicycloalkylaminoycarbonyl group which may be substituted,

N-C<sub>3</sub>-C<sub>8</sub> cycloalkyl-N'-aralkylaminocarbonyl group which may be substituted,

N-C<sub>3</sub>-C<sub>8</sub> cycloalkyl-N'-C<sub>6</sub>-C<sub>10</sub> arylaminocarbonyl group which may be substituted, aralkylaminocarbonyl group which may be substituted,

N,N'-diaralkylaminocarbonyl group which may be substituted,

N-aralkyl- N'-C6-C10 arylaminocarbonyl group which may be substituted,

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C6-C10 arylaminocarbonyl group which may be substituted,

N,N'-C6-C10 diarylaminocarbonyl group which may be substituted,

or an optionally substituted heterocyclic ring having 1 to 4 hetero atoms selected from the group consisting of oxygen atom, sulfur atom, and nitrogen atom, and having 5 to 10 ring-constituting atoms in total),

n represents an integer of 0 to 8;

when X and Y or two Y groups are attached on the same carbon atom, they may combine to each other to form a  $C_2$ - $C_6$  alkylene group; and when m is 1, n is 0, and X is  $X^1$ -CO-,

- (1) X does not bind to 3-position of unsubstituted 1-piperazinyl group or does not bind to 3-position of a 4-alkyl-1-piperazinyl group; or
- (2) X does not bind to 3-position or 4-position of non-substituted 1-piperidinyl group.
- 2. The pyrimidone derivative or the salt thereof, or the solvate thereof or the hydrate thereof according to claim 1 having the following formula(II)

$$(X)_{p} \qquad (II)$$

$$(X)_{q} \qquad (Y)_{r}$$

wherein Q, R, X and Y are the same as those defined in claim 1; p is 0 or 1; q is 0 or 1; r is an integer of 0 to 6; p+q is 1 or 2; and  $\mathbb{Z}$  represents N or  $\mathbb{C}\mathbb{Z}^1$  wherein  $\mathbb{Z}^1$  represents hydrogen atom or Y.

3. The pyrimidone derivative or the salt thereof, or the solvate thereof or the hydrate thereof according to claim 2, wherein R is a C<sub>1</sub>-C<sub>3</sub> alkyl group which

may be substituted by a C3-C8 cycloalkyl group.

4. The pyrimidone derivative or the salt thereof, or the solvate thereof or the hydrate thereof according to claim 3, wherein R is methyl group or ethyl group; Y is in 3-, 4- or 5-position of the piperazine ring or the piperidine ring; p+q is 1; and r is an integer of 0 to 3.

- 5. The pyrimidone derivative or the salt thereof, or the solvate thereof or the hydrate thereof according to claim 4, wherein X is a C<sub>1</sub>-C<sub>8</sub> alkyl group which may be substituted or a C<sub>6</sub>-C<sub>10</sub> aryl ring which may be substituted; Y is a C<sub>1</sub>-C<sub>6</sub> alkyl group which may be substituted; p is 1; q is 0; r is an integer of 0 to 3; and Z is N or CH.
- 6. The pyrimidone derivative or the salt thereof, or the solvate thereof or the hydrate thereof according to claim 5, wherein X is a benzene ring which may be substituted, a benzyl group which may be substituted; Y is a methyl group which may be substituted; Z is N and r is 0 or 1.
- 7. The pyrimidone derivative or the salt thereof, or the solvate thereof or the hydrate thereof according to claim 4, wherein X is a benzene ring which may be substituted, a benzyl group which may be substituted, a benzyl group which may be substituted; Y is a methyl group which may be substituted; Z is N and p is 0.
- 8. The pyrimidone derivative or the salt thereof, or the solvate thereof or the hydrate thereof according to claim 4, wherein X is a C<sub>1</sub>-C<sub>8</sub> alkyl group substituted by a benzene ring which may be substituted or a benzene ring which may be substituted; Y is a hydroxy group, a cyano group, or Y<sup>1</sup>-CO- wherein Y<sup>1</sup> is a C<sub>1</sub>-C<sub>8</sub> alkyl group; Z is CH or C-Y and r is 0 or 1.
- 9. The pyrimidone derivative or the salt thereof, or the solvate thereof or the hydrate thereof according to claim 8, wherein X is a benzyl group which may be substituted or a benzene ring which may be substituted; Y is a hydroxy group, a cyano group, or an acetyl group; Z is CH or C-Y and r is 0 or 1.

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10. A pyrimidone derivative which is selected from the group consisting of: 2-(3-Phenylpiperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; 2-(3-(4-Fluorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; 2-(3-(3-Fluorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; 2-(3-(2-Fluorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; 2-(3-(4-Chlorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; (S)-2-(3-(4-Chlorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4one; (R)-2-(3-(4-Chlorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4one; 2-(3-(3-Chlorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; 2-(3-(2-Chlorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; 2-(3-(4-Bromophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; 2-(3-(3-Bromophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; 2-(3-(2-Bromophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; 2-(3-(4-Methylphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; 2-(3-(3-Methylphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; 2-(3-(2-Methylphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; 2-(3-(4-Cyanophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; 2-(3-(3-Cyanophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; 2-(3-(2-Cyanophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; 2-(3-(4-Methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; 2-(3-(3-Methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; 2-(3-(2-Methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; 2-(3-(2-Ethoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; 2-(3-(5-Fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3Hpyrimidin-4-one; 2-(3-(4-Fluoro-3-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-

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pyrimidin-4-one;
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- 2-(3-(4-Fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- (S)-2-(3-(4-Fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- (R)-2-(3-(4-Fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(4-Chloro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(4-Fluoro-2-methylphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one;
- 2-(3-(2-Fluoro-6-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one;
- 2-(3-(5-Bromo-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one;
- 2-(3-(2-Bromo-4-fluorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(2-Chloro-6-fluorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(2,4-Difluorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(2,6-Difluorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(2,6-Dichlorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(2,4-Dimethoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(3,4-Dimethoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-

4-one;

- 2-(3-(2,5-Dimethoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one;
- 2-(3-(2,6-Dimethoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one;
- 2-(3-(2,4-Difluoro-6-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one;(1034)
- 2-(3-(5-Cyano-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(4-Cyano-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- $2\hbox{-}(3\hbox{-}(1\hbox{-Naphthyl})piperazin-1\hbox{--yl})\hbox{-}3\hbox{--methyl-}6\hbox{-}(4\hbox{--pyridyl})\hbox{-}3H\hbox{--pyrimidin-}4\hbox{--one};$
- 2-(3-(2-Naphthyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(2,3-Dihydrobenzofuran-7-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one;
- 2-(3-(Benzofuran-2-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one; (S)-2-(3-(Benzofuran-2-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one; one;
- 2-(3-(4-(Pyrrolidin-1-yl-methyl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(4-(Pyrrolidin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3*H*-pyrimidin-4-one;
- 2-(3-(2-methoxy-4-(pyrrolidin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(2-methoxy-5-(pyrrolidin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(4-(Phenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one; 2-(3-(4-(4-Fluorophenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-

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pyrimidin-4-one;
  2-(3-(4-(4-Methoxyphenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-
  pyrimidin-4-one;
 2\hbox{-}(3\hbox{-}(4\hbox{-}(2\hbox{-}Methoxyphenyl)phenyl)piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3H-2-(4\hbox{-}(4\hbox{-}pyridyl)-3H-2-(4\hbox{-}(4\hbox{-}pyridyl)-3H-2-(4\hbox{-}(4\hbox{-}pyridyl)-3H-2-(4\hbox{-}(4\hbox{-}pyridyl)-3H-2-(4\hbox{-}(4\hbox{-}pyridyl)-3H-2-(4\hbox{-}(4\hbox{-}pyridyl)-3H-2-(4\hbox{-}(4\hbox{-}pyridyl)-3H-2-(4\hbox{-}(4\hbox{-}(4\hbox{-}pyridyl)-3H-2-(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4\hbox{-}(4))))))))-2)})))))))))))))))))))))))))}
  pyrimidin-4-one;
  2-(3-(4-(Morpholin-4-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-
 pyrimidin-4-one;
 2\hbox{-}(3\hbox{-}(4\hbox{-}Methylpiperazin-1-yl)phenyl)piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyridyl)-3H-2-(4\hbox{-}Methylpiperazin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4\hbox{-}pyridyl)-3H-2-(4\hbox{-}Methylpiperazin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4\hbox{-}pyridyl)-3H-2-(4\hbox{-}Methylpiperazin-1-yl)-3-methyl-6-(4\hbox{-}pyridyl)-3H-2-(4\hbox{-}Methylpiperazin-1-yl)-3-methyl-6-(4\hbox{-}pyridyl)-3H-2-(4\hbox{-}Methylpiperazin-1-yl)-3-methyl-6-(4\hbox{-}pyridyl)-3H-2-(4\hbox{-}Methylpiperazin-1-yl)-3-methyl-6-(4\hbox{-}pyridyl)-3H-2-(4\hbox{-}Methylpiperazin-1-yl)-3-methyl-6-(4\hbox{-}pyridyl)-3H-2-(4\hbox{-}Methylpiperazin-1-yl)-3-methyl-6-(4\hbox{-}pyridyl)-3H-2-(4\hbox{-}Methylpiperazin-1-yl)-3-methyl-6-(4\hbox{-}pyridyl)-3H-2-(4\hbox{-}Methylpiperazin-1-yl)-3-methyl-6-(4\hbox{-}pyridyl)-3H-2-(4\hbox{-}Methylpiperazin-1-yl)-3-methyl-6-(4\hbox{-}pyridyl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-methyl-6-(4\hbox{-}pyridyl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-methyl-6-(4\hbox{-}pyridyl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{-}Methylpiperazin-1-yl)-3-(4\hbox{
 pyrimidin-4-one;
 2-(4-Phenylpiperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
 2-(4-Benzylpiperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
 2-(4-Benzoylpiperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
2-(4-(1,2-Benzisothiazol-3-yl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-
pyrimidin-4-one;
2-(4-Methyl-3-phenylpiperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
2-(3-(4-Fluoro-2-methoxyphenyl)-4-methylpiperazin-1-yl)-3-methyl-6-(4-pyridyl)-
 3H-pyrimidin-4-one;
(S)-2-(3-(4-Fluoro-2-methoxyphenyl)-4-methylpiperazin-1-yl)-3-methyl-6-(4-
pyridyl)-3H-pyrimidin-4-one;
(R)-2-(3-(4-Fluoro-2-methoxyphenyl)-4-methylpiperazin-1-yl)-3-methyl-6-(4-
pyridyl)-3H-pyrimidin-4-one;
2-(4-Acetyl-3-(4-fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-
3H-pyrimidin-4-one;
2-(4-Benzyl-3-(4-fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-
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2-(4-Benzyl-3-(ethoxycarbonyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;

3*H*-pyrimidin-4-one;

 $2\hbox{-}(4\hbox{-methyl-}3\hbox{-}(1\hbox{-naphthyl}) \hbox{piperazin-}1\hbox{-}yl)\hbox{-}3\hbox{-methyl-}6\hbox{-}(4\hbox{-pyridyl})\hbox{-}3H\hbox{-pyrimidin-}4\hbox{-}1$ 

one;

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2-(5,5-Dimethyl-3-(2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
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- 2-(3-Phenylpiperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(4-Fluorophenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(3-Fluorophenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(2-Fluorophenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(4-Chlorophenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(4-Bromophenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(4-Methoxyphenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(3-Methoxyphenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(2-Methoxyphenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- $2-(3-(4-((Pyrrolidin-1-yl)methyl)phenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3\mathit{H-1}-((Pyrrolidin-1-yl)methyl)phenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3\mathit{H-2}-((Pyrrolidin-1-yl)methyl)phenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3\mathit{H-2}-((Pyrrolidin-1-yl)methyl)phenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3\mathit{H-2}-((Pyrrolidin-1-yl)methyl)-3-methyl-6-(4-pyridyl)-3\mathit{H-2}-((Pyrrolidin-1-yl)methyl)-3-methyl-6-(4-pyridyl)-3\mathit{H-2}-((Pyrrolidin-1-yl)methyl)-3-methyl-6-(4-pyridyl)-3-methyl-6-(4-py$

pyrimidin-4-one;

- (S)-2-(3-(4-(Pyrrolidin-1-yl-methyl)phenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- (R)-2-(3-(4-(Pyrrolidin-1-yl-methyl)phenyl)piperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-Hydroxy-3-phenylpiperidin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- $2\hbox{-}(3\hbox{-Phenylpiperazin-1-yl})\hbox{-}3\hbox{-methyl-6-}(4\hbox{-pyrimidyl})\hbox{-}3H\hbox{-pyrimidin-4-one};$
- 2-(3-(4-Fluorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- 2-(3-(3-Fluorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- $2 (3 (2 Fluorophenyl) piperazin-1-yl) 3 methyl 6 (4 pyrimidyl) 3 \\ H-pyrimidin-4-one;$
- 2-(3-(4-Chlorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-

one;

- 2-(3-(3-Chlorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one:
- $2\hbox{-}(3\hbox{-}(2\hbox{-}Chlorophenyl) piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyrimidyl)-3$$H$-pyrimidin-4-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-3$$H$-pyrimidin-4-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-3$$H$-pyrimidin-4-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-3$$H$-pyrimidin-4-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-3$$H$-pyrimidin-4-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-3$$H$-pyrimidin-4-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-3$$H$-pyrimidin-4-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-3$$H$-pyrimidin-4-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-3$$H$-pyrimidin-4-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-3$$H$-pyrimidin-4-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-3$$H$-pyrimidin-4-yl)-3-methyl-6-(4\hbox{-}pyrimidyl)-3$$H$-pyrimidin-4-yl)-3-yl]$

one;

- 2-(3-(4-Bromophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one; 2-(3-(3-Bromophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one; 2-(3-(2-Bromophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one; 2-(3-(4-Cyanophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one; 2-(3-(3-Cyanophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one; 2-(3-(4-Cyanophenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one; 2-(3-(4-Methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;
- 2-(3-(3-Methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;
- 2-(3-(2-Methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;
- 2-(3-(2-Ethoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;
- 2-(3-(6-Fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;
- 2-(3-(5-Fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;
- 2-(3-(4-Fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;
- (S)-2-(3-(4-Fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- (R)-2-(3-(4-Fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- 2-(3-(4-Chloro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;

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pyrimidin-4-one;
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- 2-(3-(2,6-Dichlorophenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(2,4-Dimethoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyridyl)-3H-pyrimidin-4-one;
- 2-(3-(3,4-Dimethoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;
- $2\hbox{-}(3\hbox{-}(2,5\hbox{-}Dimethoxyphenyl) piperazin-1-yl)-3\hbox{-}methyl-6\hbox{-}(4\hbox{-}pyrimidyl)-3$$H-pyrimidin-4-one;$
- 2-(3-(2,6-Dimethoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;
- 2-(3-(2,4-Difluoro-6-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;
- $2 (3 (1 Naphthyl)piperazin-1-yl)-3 methyl-6 (4 pyrimidyl)-3 \\ H-pyrimidin-4-one;$
- 2-(3-(2-Naphthyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- 2-(3-(2,3-Dihydrobenzofuran-7-yl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;
- 2-(3-(Benzofuran-2-yl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;
- 2-(3-(4-(Pyrrolidin-1-yl-methyl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- 2-(3-(4-(Pyrrolidin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;
- 2-(3-(2-methoxy-4-(pyrrolidin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;
- 2-(3-(2-methoxy-5-(pyrrolidin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;
- 2-(3-(4-(Phenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-

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one;
2-(3-(4-(4-Fluorophenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-
pyrimidin-4-one;
2-(3-(4-(4-Methoxyphenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-
pyrimidin-4-one;
2-(3-(4-(2-Methoxyphenyl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-
pyrimidin-4-one;
2-(3-(4-(Morpholin-4-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-
pyrimidin-4-one;
2-(3-(4-(4-Methylpiperazin-1-yl)phenyl)piperazin-1-yl)-3-methyl-6-(4-pyrimidyl)-
3H-pyrimidin-4-one;
2-(3-(4-Fluoro-2-methoxyphenyl)-4-methylpiperazin-1-yl)-3-methyl-6-(4-
pyrimidyl)-3H-pyrimidin-4-one;
(S)-2-(3-(4-Fluoro-2-methoxyphenyl)-4-methylpiperazin-1-yl)-3-methyl-6-(4-
pyrimidyl)-3H-pyrimidin-4-one;
(R)-2-(3-(4-Fluoro-2-methoxyphenyl)-4-methylpiperazin-1-yl)-3-methyl-6-(4-
pyrimidyl)-3H-pyrimidin-4-one;
2-(4-Acetyl-3-(4-fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-
pyrimidyl)-3H-pyrimidin-4-one;
2-(4-Benzyl-3-(4-fluoro-2-methoxyphenyl)piperazin-1-yl)-3-methyl-6-(4-
pyrimidyl)-3H-pyrimidin-4-one;
 2-(4-(4-Fluorophenyl)piperidin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
 2-(4-Cyano-4-phenylpiperidin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
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- 2-(4-(6-Fluorobenofuran-3-yl)piperidin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-
- pyrimidin-4-one;
- 2-(3-(Benzoisoxazol-3-yl)piperidin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;
- (S)-2-(3-(Benzoisoxazol-3-yl)piperidin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-

pyrimidin-4-one;

(R)-2-(3-(Benzoisoxazol-3-yl)piperidin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;

2-(3-(6-Fluorobenzoisoxazol-3-yl)piperidin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one;

2-(4-(6-Fluorobenzoisoxazol-3-yl)piperidin-1-yl)-3-methyl-6-(4-pyrimidyl)-3H-pyrimidin-4-one;

2-(4-(5-Methylbenzofuran-3-yl)piperidin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one; and

2-(4-(6-Fluorobenzothiophene-3-yl)piperidin-1-yl)-3-methyl-6-(4-pyrimidyl)-3*H*-pyrimidin-4-one

or a salt thereof, or a solvate thereof or a hydrate thereof.

- 11. A medicament comprising as an active ingredient a substance selected from the group consisting of the pyrimidone derivative represented by formula (I) and a salt thereof, and a solvate thereof and a hydrate thereof according to claim 1.
- 12. A tau protein kinase 1 inhibitor selected from the group consisting of the pyrimidone derivative represented by formula (I) and a salt thereof, and a solvate thereof and a hydrate thereof according to claim 1.
- 13. The medicament according to claim 11 which is used for preventive and/or therapeutic treatment of a disease caused by tau protein kinase 1 hyperactivity.
- 14. The medicament according to claim 11 which is used for preventive and/or therapeutic treatment of a neurodegenerative disease.
- 15. The medicament according to claim 14, wherein the neurodegenerative disease is selected from the group consisting of Alzheimer disease, ischemic cerebrovascular accidents, Down syndrome, cerebral bleeding due to cerebral amyloid angiopathy, progressive supranuclear palsy, subacute sclerosing panencephalitic parkinsonism, postencephalitic parkinsonism, pugilistic

encephalitis, Guam parkinsonism-dementia complex, Lewy body disease, Pick's disease, corticobasal degeneration, frontotemporal dementia, vascular dementia, traumatic injuries, brain and spinal cord trauma, peripheral neuropathies, retinopathies, and glaucoma.

16. The medicament according to claim 11, wherein the disease is selected from the group consisting of non-insulin dependent diabetes, obesity, manic depressive illness, schizophrenia, alopecia, breast cancer, non-small cell lung carcinoma, thyroid cancer, T or B-cell leukemia, and a virus-induced tumor.

T V T / UT ZUU4/ UU43ZU A. CLASSIFICATION OF SUBJECT MATTER IPC 7 CO7D239/47 CO7D C07D401/14 C07D405/14 CO7D409/14 CO7D413/14 CO7D403/14 A61K31/513 A61K31/5377 C07D417/14 A61P25/28 According to International Patent Classification (IPC) or to both national classification and IPC B. FIELDS SEARCHED Minimum documentation searched (classification system followed by classification symbols) IPC 7 CO7D A61K Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched Electronic data base consulted during the international search (name of data base and, where practical, search terms used) EPO-Internal, BEILSTEIN Data, WPI Data, PAJ, CHEM ABS Data C. DOCUMENTS CONSIDERED TO BE RELEVANT Category ° Citation of document, with indication, where appropriate, of the relevant passages Relevant to claim No. χ EP 1 136 482 A (SANOFI SYNTHELABO 1-16 MITSUBISHI TOKYO PHARMACEUTICA (JP)) 26 September 2001 (2001-09-26) cited in the application paragraphs '0002!, '0006!; example 38; tables 1,2,4,8-12 P,A WO 03/027080 A (SANOFI SYNTHELABO ; HIKI 1 - 16SHINSUKE (JP); SHODA AYA (JP); ARITOMO KEIICH) 3 April 2003 (2003-04-03) page 104, line 9 - line 25; claims 1,2,10-25; examples C401-C499, C601-C651, C751-C768, D026-D050 Further documents are listed in the continuation of box C. X Patent family members are listed in annex. Special categories of cited documents: \*T\* later document published after the international filing date or priority date and not in conflict with the application but 'A' document defining the general state of the art which is not cited to understand the principle or theory underlying the considered to be of particular relevance invention 'E' earlier document but published on or after the international \*X\* document of particular relevance; the claimed invention filing date cannot be considered novel or cannot be considered to 'L' document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another involve an inventive step when the document is taken alone document of particular relevance; the claimed invention citation or other special reason (as specified) cannot be considered to involve an inventive step when the 'O' document referring to an oral disclosure, use, exhibition or document is combined with one or more other such documents, such combination being obvious to a person skilled in the art. document published prior to the international filing date but later than the priority date claimed "&" document member of the same patent family Date of the actual completion of the international search Date of mailing of the international search report 12/07/2004 1 July 2004 Name and mailing address of the ISA Authorized officer

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C (Continu	ation) DOCUMENTS CONSIDERED TO BE RELEVANT	PC1/0F2004/0043	20 .
Calegory °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant t	o claim No.
P,A	WO 03/037888 A (SANOFI SYNTHELABO; HIKI SHINSUKE (JP); SHODA AYA (JP); ARITOMO KEIICH) 8 May 2003 (2003-05-08) page 9, line 21 - page 10, line 10; claims 1,2,11-13,15-25; examples C001-C099,C201-C251,C351-C368,C388,D001-D0	1	16
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Patent document cited in search report		Publication date		Patent family member(s)	_	Publication date
EP 1136482	A	26-09-2001	EP AU CA CN WO EP JP US	1276738	A A1 T A1 A1 T	26-09-2001 03-10-2001 27-09-2001 21-05-2003 27-09-2001 22-01-2003 24-09-2003 02-10-2003
WO 03027080		03-04-2003	CA CA EP EP WO WO	1427709 1427720	A1 A1 A1 A1	08-05-2003 03-04-2003 16-06-2004 16-06-2004 03-04-2003 08-05-2003
WO 03037888		08-05-2003	CA CA EP EP WO WO	2460121 2460177 1427709 1427720 03027080 03037888	A1 A1 A1 A1	08-05-2003 03-04-2003 16-06-2004 16-06-2004 03-04-2003 08-05-2003

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